

10/569,873

Case/Application number: **10/569,873** **PALM**  
Priority App. Filing Date: **08/29/2003**  
Format for Search Results: **EMAIL**

Meaning of unusual acronyms or initials:

Identify the novelty:

Additional Comments:

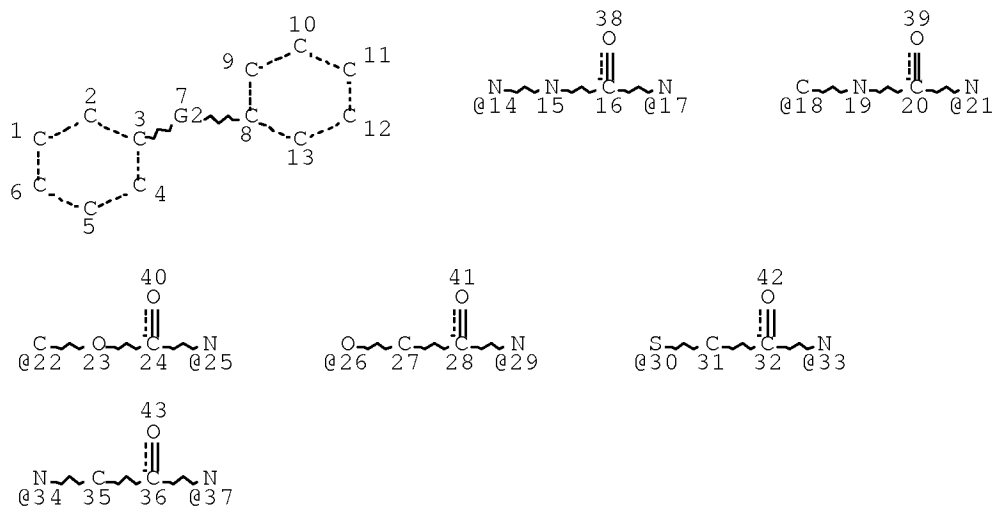
**Please search compounds of claim 1. Need ASAI' please (after final). Thank you!**

Follow-up search with limitations on R3.

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=> d que stat 19

L5 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

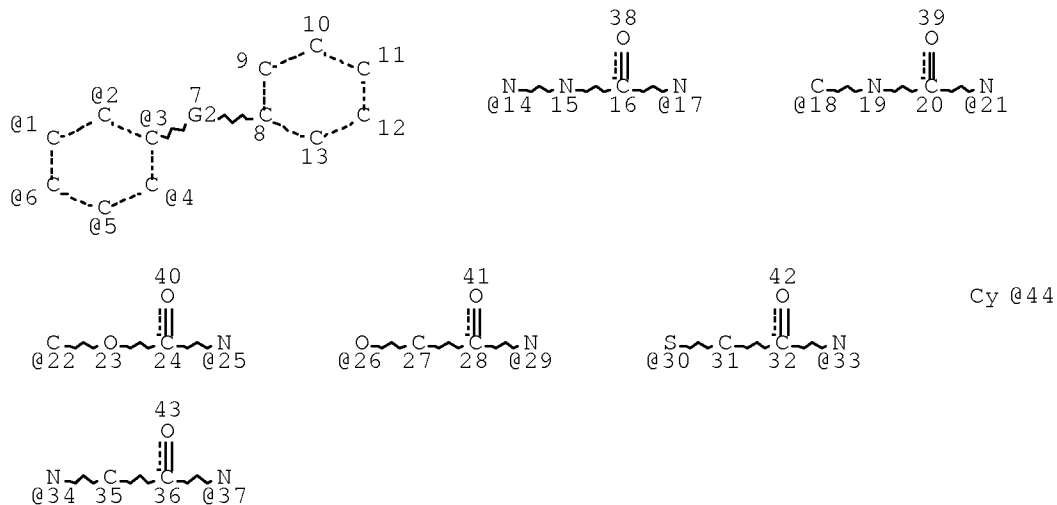
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE

L6 ( 402314)SEA FILE=REGISTRY SSS FUL L5

L7 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VPA 44-1/2/3/4/5/6 U

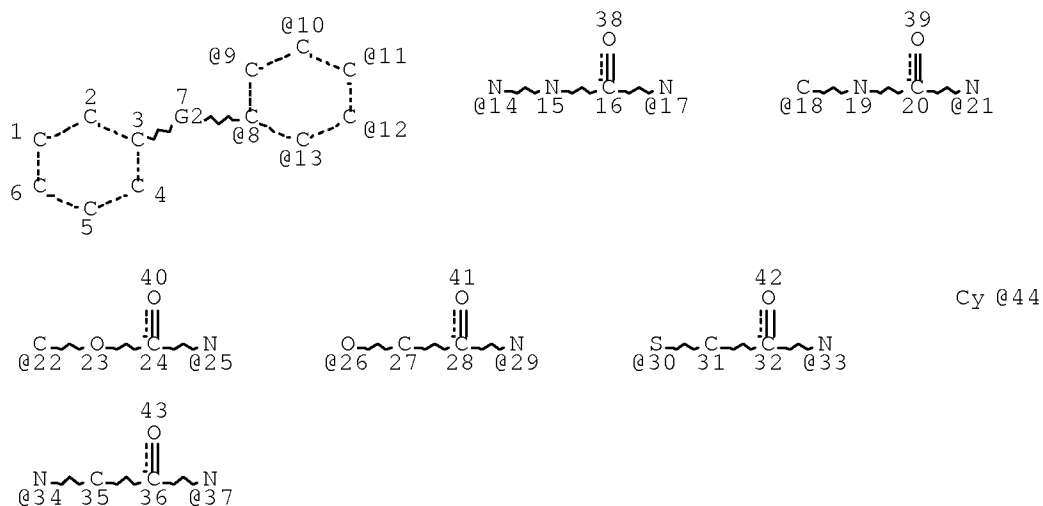
NODE ATTRIBUTES:

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DEFAULT MLEVEL IS ATOM  
GGCAT IS UNS AT 44  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE  
L8 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8  
VPA 44-9/10/11/12/13/8 U  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
GGCAT IS UNS AT 44  
DEFAULT ECLEVEL IS LIMITED

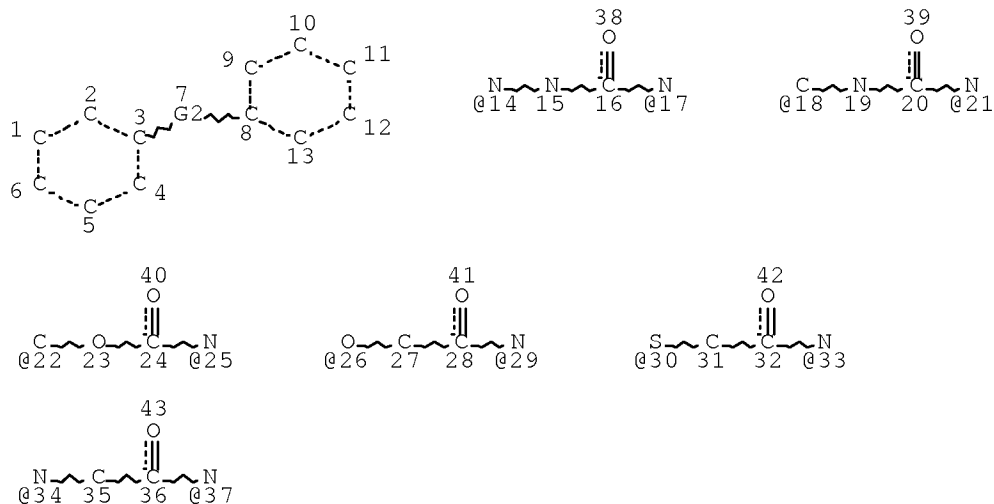
GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE  
L9 33651 SEA FILE=REGISTRY SUB=L6 SSS FUL (L7 OR L8)

100.0% PROCESSED 402314 ITERATIONS 33651 ANSWERS  
SEARCH TIME: 00.00.43

=> d que stat l21  
L5 STR

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VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

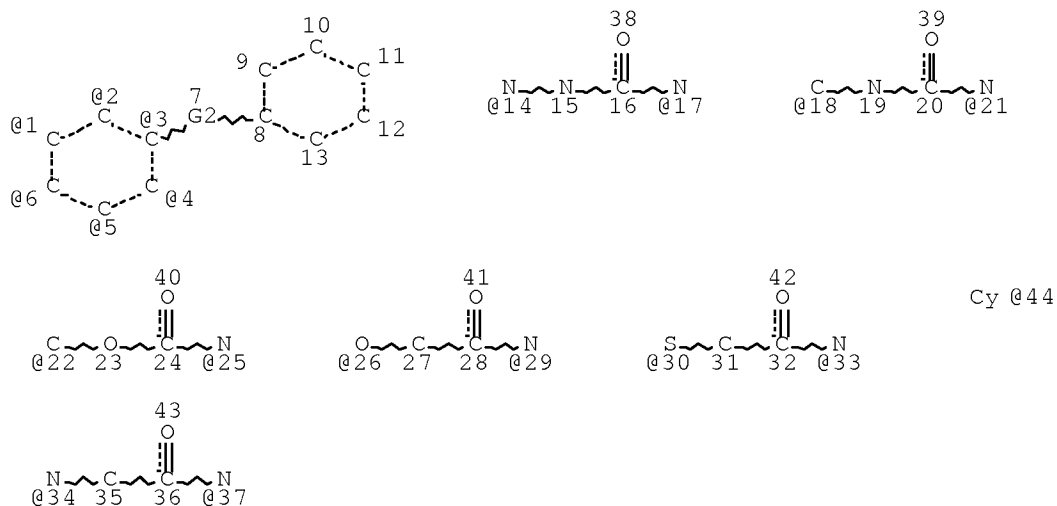
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE

L6 ( 402314)SEA FILE=REGISTRY SSS FUL L5

L7 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VPA 44-1/2/3/4/5/6 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 44

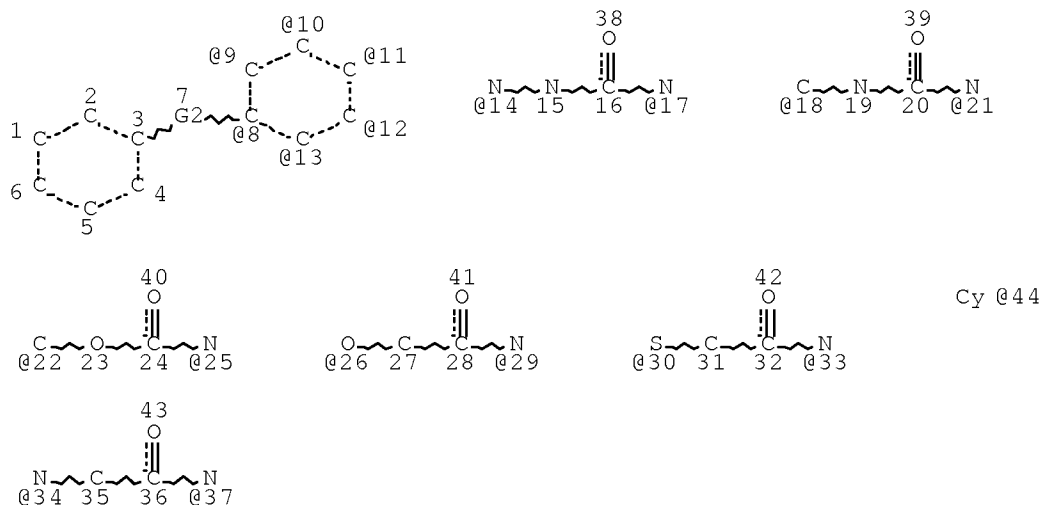
DEFAULT ECLEVEL IS LIMITED



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GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 44

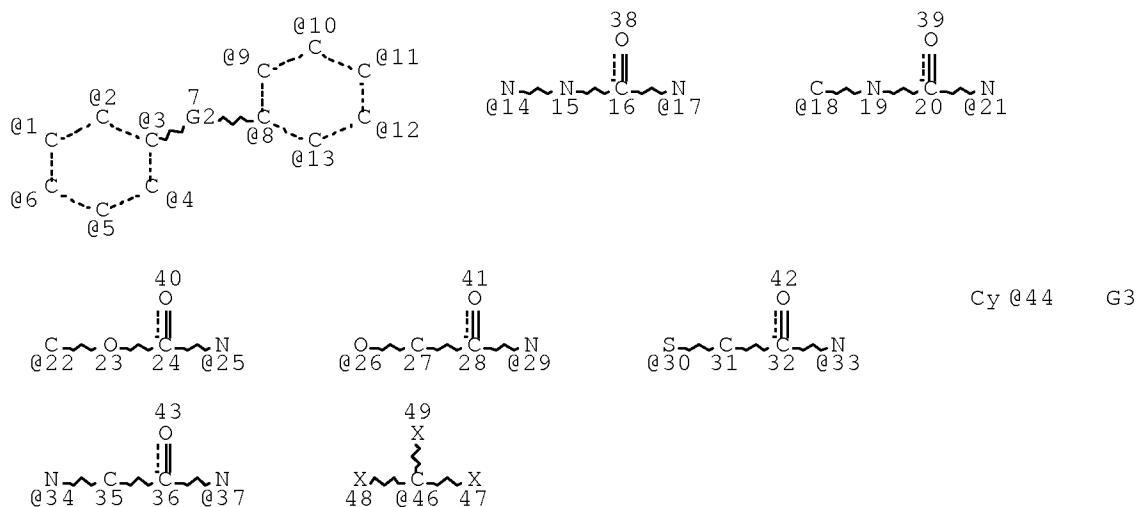
STEREO ATTRIBUTES: NONE  
L8 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8  
VPA 44-9/10/11/12/13/8 U  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
GGCAT IS UNS AT 44  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE  
L9 33651 SEA FILE=REGISTRY SUB=L6 SSS FUL (L7 OR L8)  
L18 STR



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VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VAR G3=X/46

VPA 44-1/2/3/4/5/6 U

VPA 45-8/9/10/11/12/13 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 44

DEFAULT ECLEVEL IS LIMITED

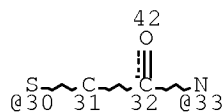
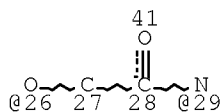
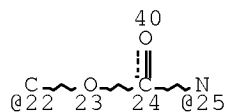
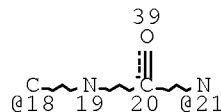
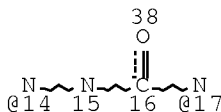
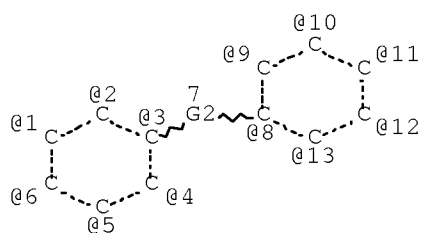
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

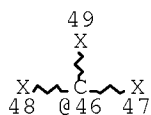
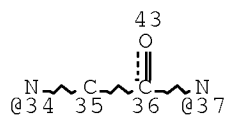
NUMBER OF NODES IS 49

STEREO ATTRIBUTES: NONE

L19 STR



Cy @44 G3



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Page 1-B

VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VAR G3=X/46

VPA 44-8/9/10/11/12/13 U

VPA 45-1/2/3/4/5/6 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 44

10/569,873

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 49

STEREO ATTRIBUTES: NONE

L21 9722 SEA FILE=REGISTRY SUB=L9 SSS FUL (L18 OR L19)

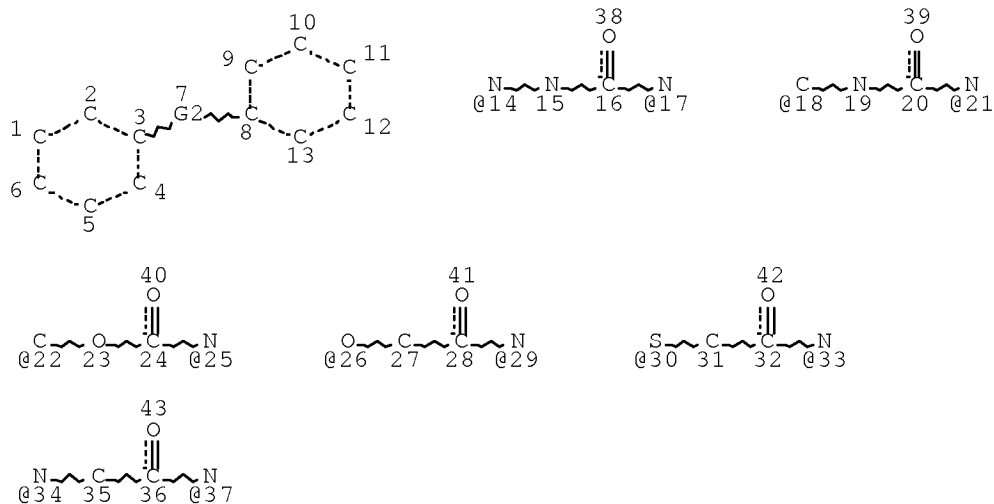
100.0% PROCESSED 33651 ITERATIONS

9722 ANSWERS

SEARCH TIME: 00.00.03

=> d que stat 136

L5 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

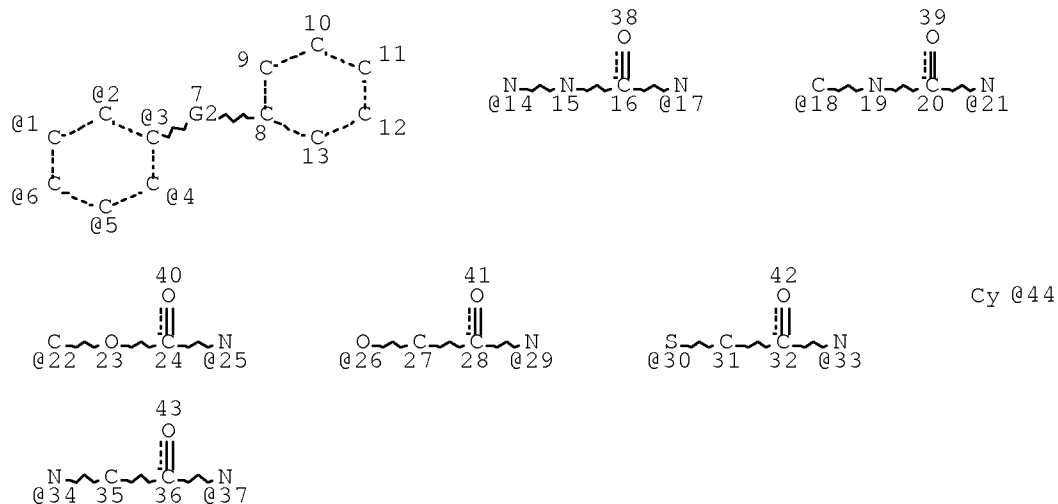
NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE

L6 ( 402314)SEA FILE=REGISTRY SSS FUL L5

L7 STR

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VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VPA 44-1/2/3/4/5/6 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 44

DEFAULT ECLEVEL IS LIMITED

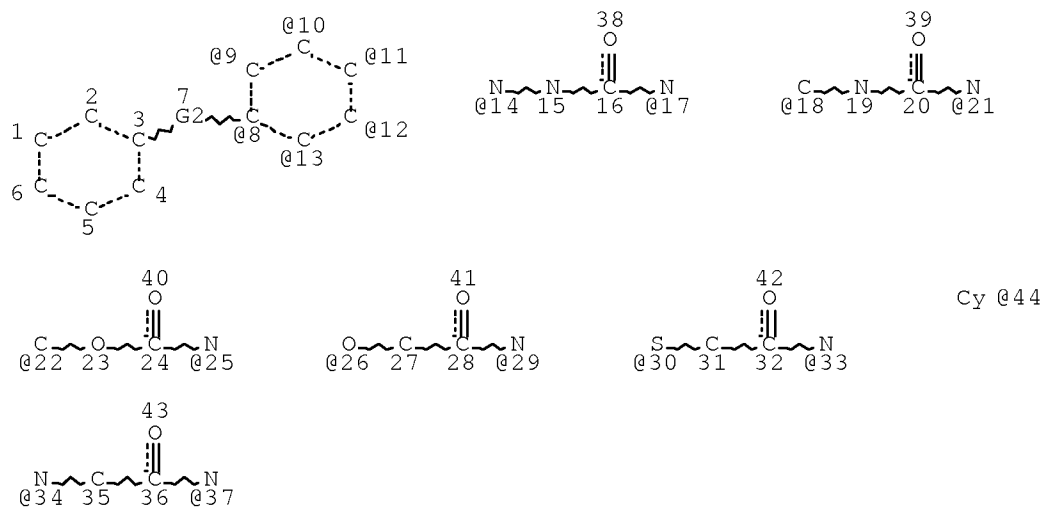
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE

L8 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VPA 44-9/10/11/12/13/8 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 44

10/569,873

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

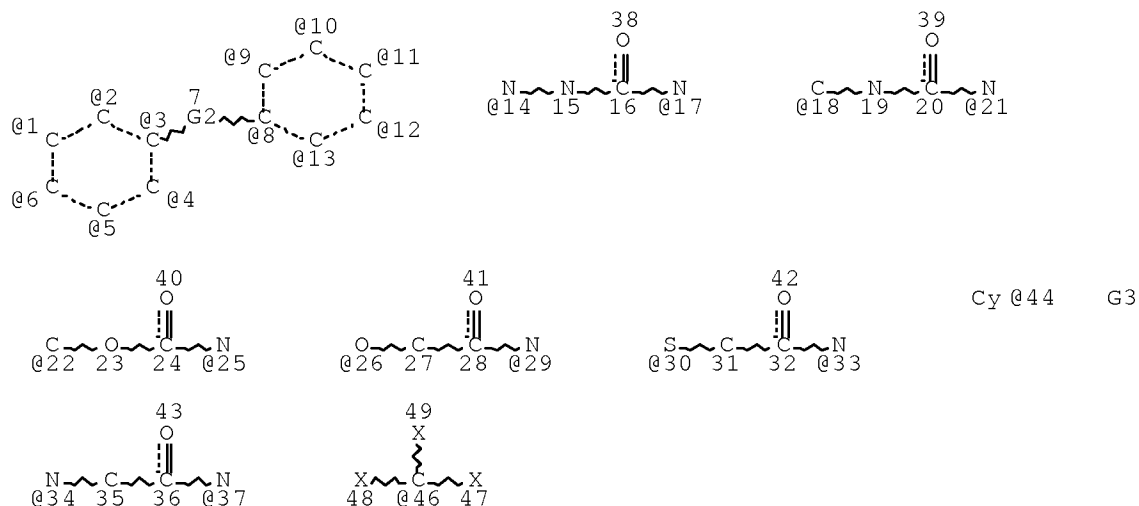
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE

L9 33651 SEA FILE=REGISTRY SUB=L6 SSS FUL (L7 OR L8)

L18 STR



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Page 1-B

VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VAR G3=X/46

VPA 44-1/2/3/4/5/6 U

VPA 45-8/9/10/11/12/13 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 44

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

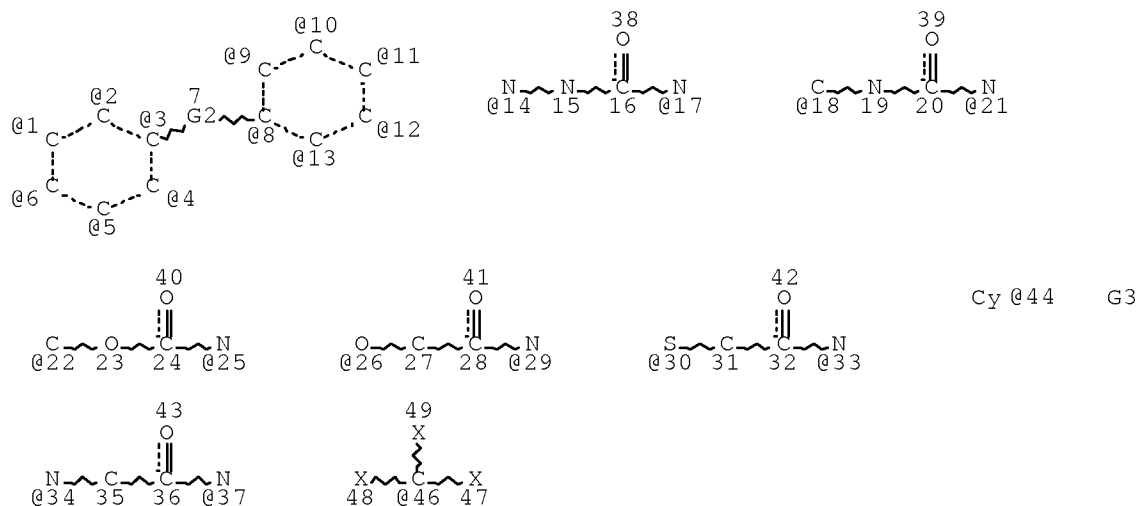
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 49

STEREO ATTRIBUTES: NONE

L19 STR

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Page 1-B

VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VAR G3=X/46

VPA 44-8/9/10/11/12/13 U

VPA 45-1/2/3/4/5/6 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 44

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

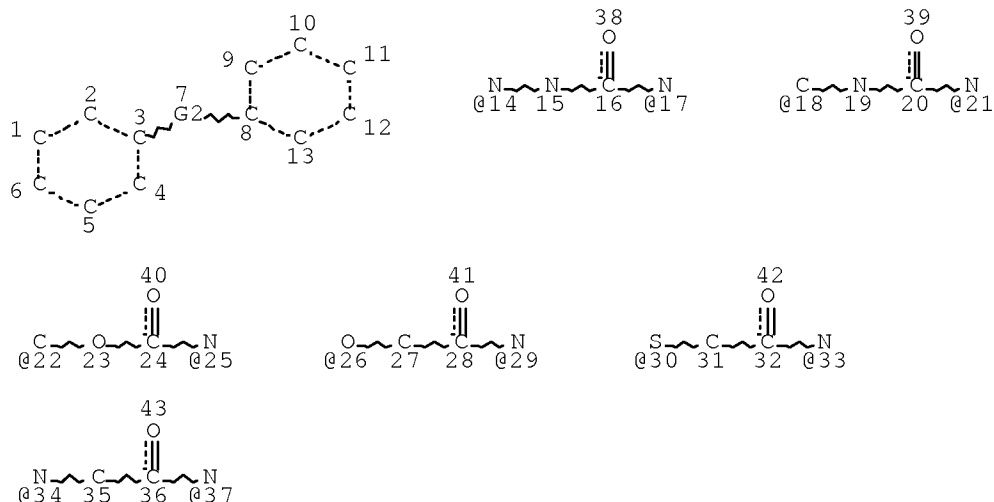
NUMBER OF NODES IS 49

STEREO ATTRIBUTES: NONE

L21 9722 SEA FILE=REGISTRY SUB=L9 SSS FUL (L18 OR L19)

L22 STR

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VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

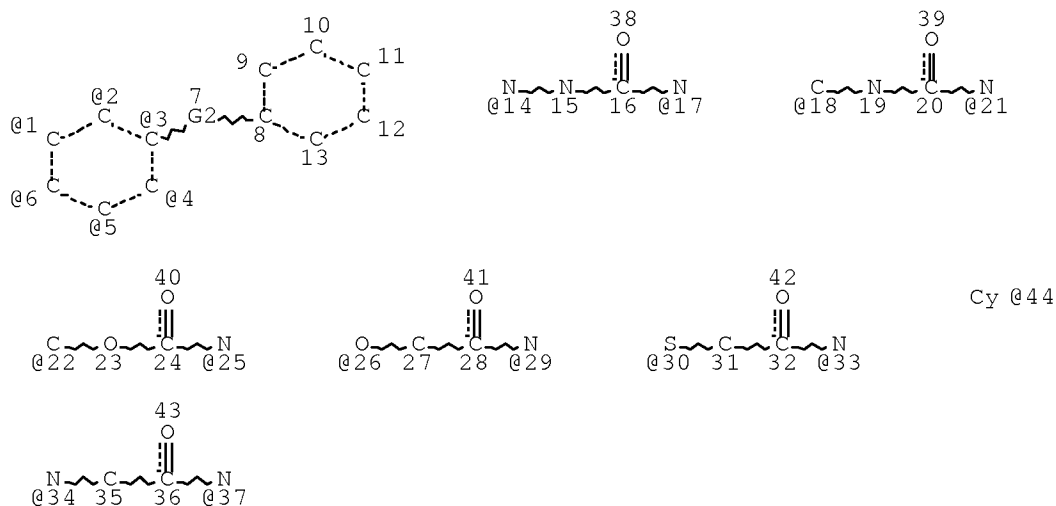
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE

L23 ( 402314)SEA FILE=REGISTRY SSS FUL L22

L24 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VPA 44-1/2/3/4/5/6 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

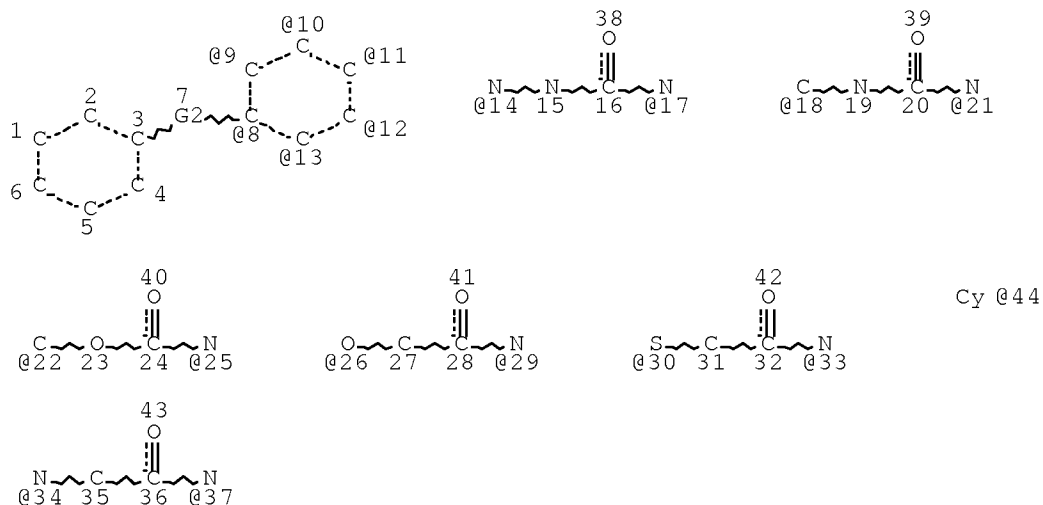
GGCAT IS UNS AT 44

DEFAULT ECLEVEL IS LIMITED

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GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE  
L25 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8  
VPA 44-9/10/11/12/13/8 U

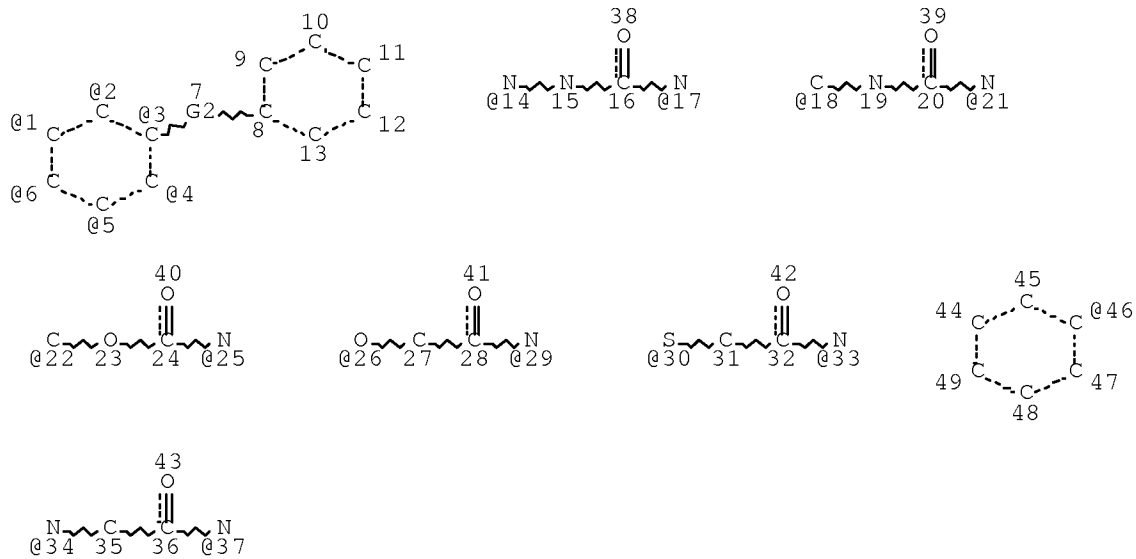
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
GGCAT IS UNS AT 44  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE  
L26 ( 33651)SEA FILE=REGISTRY SUB=L23 SSS FUL (L24 OR L25)  
L27 QUE SPE=ON ABB=ON PLU=ON 1-2 5/SZS  
L28 ( 21400)SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L26 AND L27  
L29 QUE SPE=ON ABB=ON PLU=ON 2 6/SZS  
L30 ( 3263)SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L26 AND L29  
L31 ( 113)SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L26 AND NCNCNC/ESS  
L32 STR



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VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VPA 46-1/2/3/4/5/6 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

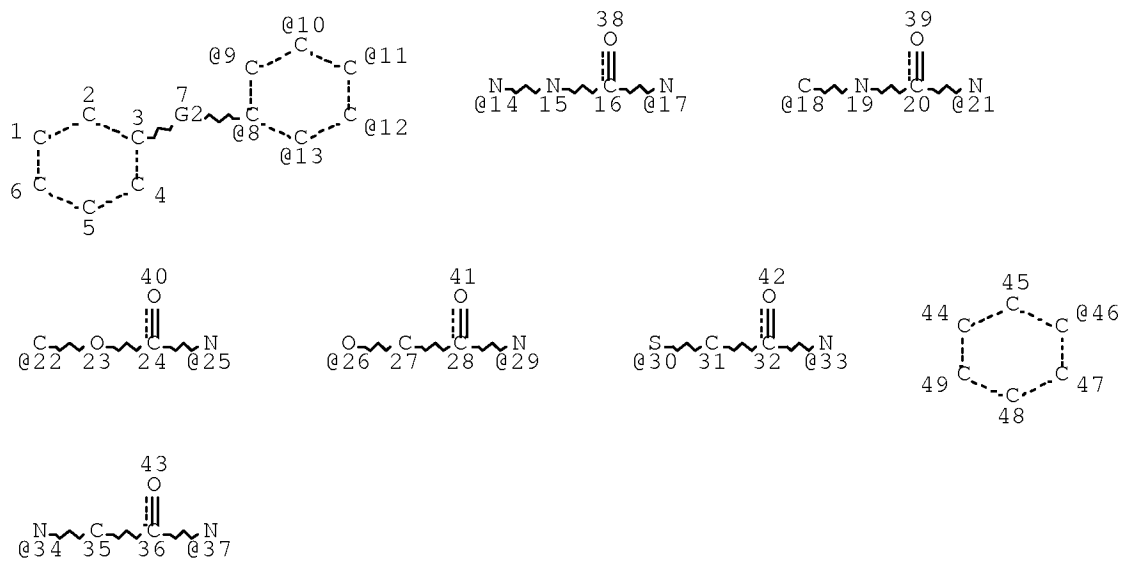
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 49

STEREO ATTRIBUTES: NONE

L33 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VPA 46-8/9/10/11/12/13 U

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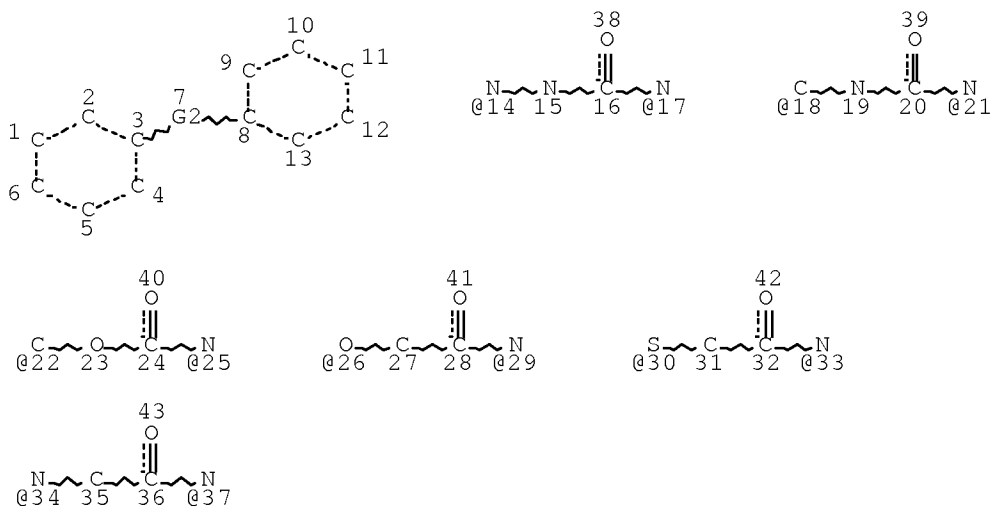
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 49

STEREO ATTRIBUTES: NONE

L34 ( 7261)SEA FILE=REGISTRY SUB=L26 SSS FUL (L32 OR L33)  
L35 29198 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L28 OR L30 OR L31 OR  
L34  
L36 8396 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L21 AND L35

=> d que stat 1117  
L5 STR



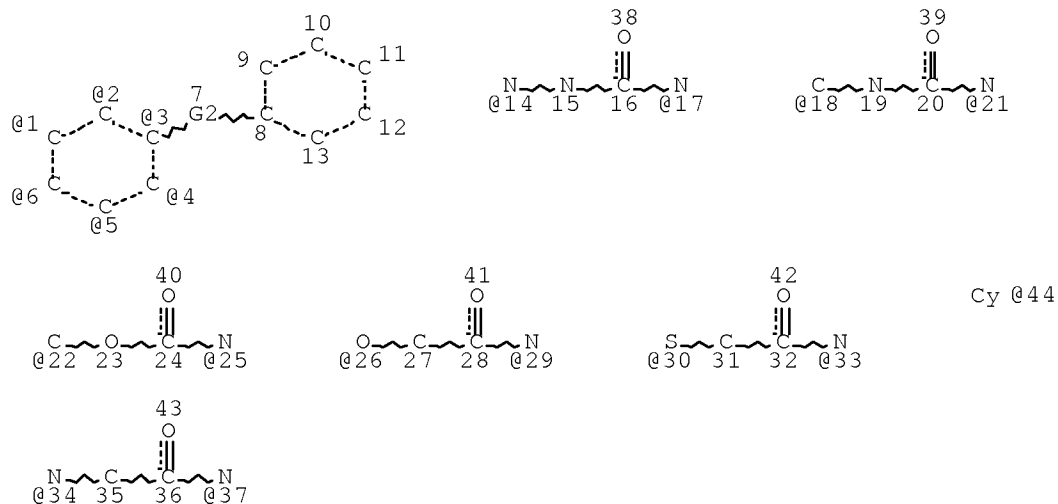
VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE

L6 ( 402314)SEA FILE=REGISTRY SSS FUL L5  
L7 STR

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VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VPA 44-1/2/3/4/5/6 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 44

DEFAULT ECLEVEL IS LIMITED

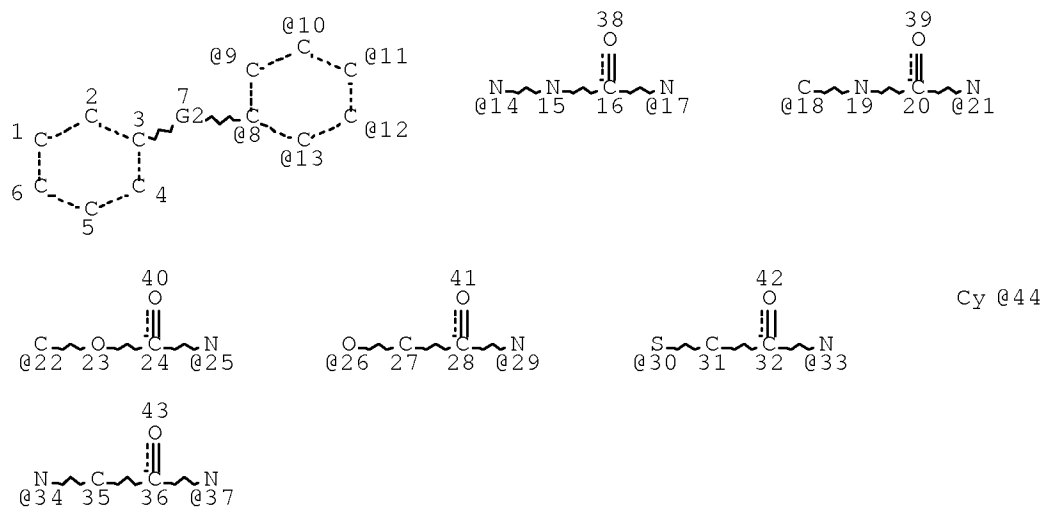
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE

L8 STR



VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VPA 44-9/10/11/12/13/8 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 44

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

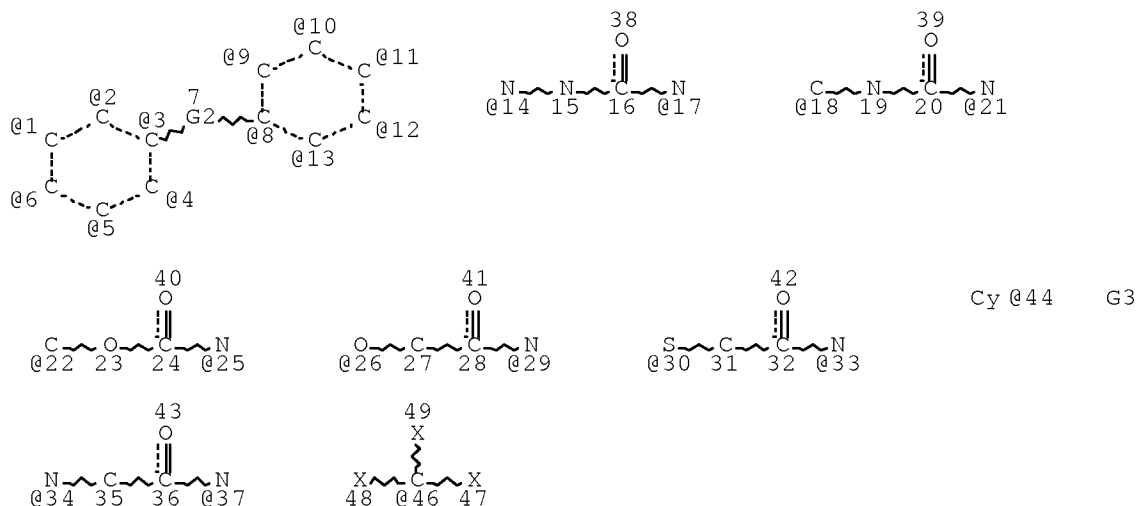
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE

L9 33651 SEA FILE=REGISTRY SUB=L6 SSS FUL (L7 OR L8)

L18 STR



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VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VAR G3=X/46

VPA 44-1/2/3/4/5/6 U

VPA 45-8/9/10/11/12/13 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 44

DEFAULT ECLEVEL IS LIMITED

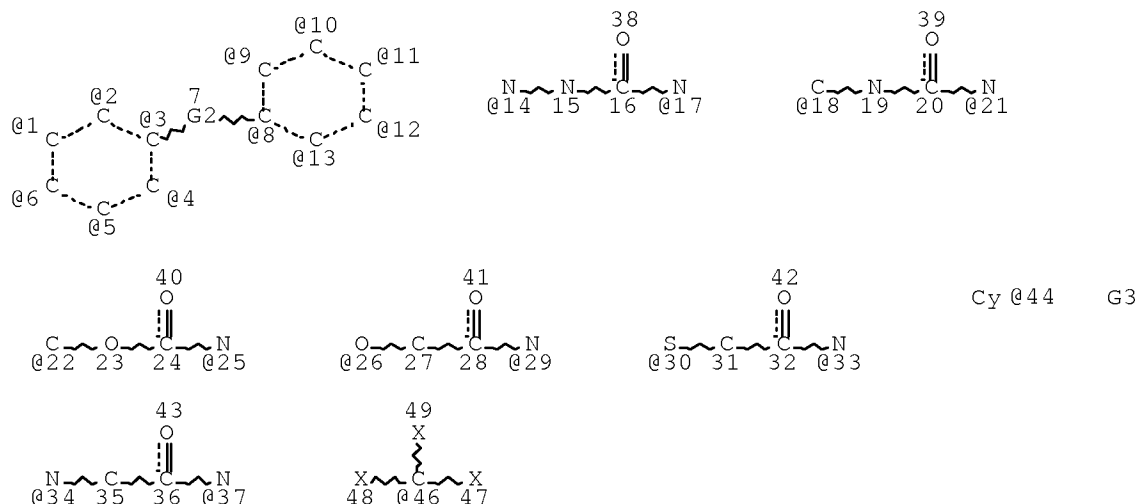
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 49

STEREO ATTRIBUTES: NONE

L19 STR



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@45

Page 1-B

VAR G2=14-3 17-8/18-3 21-8/22-3 25-8/26-3 29-8/30-3 33-8/34-3 37-8

VAR G3=X/46

VPA 44-8/9/10/11/12/13 U

VPA 45-1/2/3/4/5/6 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 44

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 49

STEREO ATTRIBUTES: NONE

L21 9722 SEA FILE=REGISTRY SUB=L9 SSS FUL (L18 OR L19)

L117 3003 SEA FILE=REGISTRY SUB=L21 SSS FUL L18

100.0% PROCESSED 9722 ITERATIONS

3003 ANSWERS

SEARCH TIME: 00.00.01

=&gt; d que nos l125

L1 1 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON US2007-569873/APPS

L3 TRANSFER PLU=ON L1 1- RN : 322 TERMS

L4 322 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L3

L5 STR

L6 ( 402314)SEA FILE=REGISTRY SSS FUL L5

L7 STR

L8 STR

L9 33651 SEA FILE=REGISTRY SUB=L6 SSS FUL (L7 OR L8)

L18 STR

L19 STR  
 L21 9722 SEA FILE=REGISTRY SUB=L9 SSS FUL (L18 OR L19)  
 L22 STR  
 L23 ( 402314)SEA FILE=REGISTRY SSS FUL L22  
 L24 STR  
 L25 STR  
 L26 ( 33651)SEA FILE=REGISTRY SUB=L23 SSS FUL (L24 OR L25)  
 L27 QUE SPE=ON ABB=ON PLU=ON 1-2 5/SZS  
 L28 ( 21400)SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L26 AND L27  
 L29 QUE SPE=ON ABB=ON PLU=ON 2 6/SZS  
 L30 ( 3263)SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L26 AND L29  
 L31 ( 113)SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L26 AND NCNCNC/ESS  
 L32 STR  
 L33 STR  
 L34 ( 7261)SEA FILE=REGISTRY SUB=L26 SSS FUL (L32 OR L33)  
 L35 29198 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L28 OR L30 OR L31 OR  
 L34  
 L36 8396 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L21 AND L35  
 L37 88 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L4 AND L36  
 L53 QUE SPE=ON ABB=ON PLU=ON CHENG, W?/AU,AUTH  
 L54 QUE SPE=ON ABB=ON PLU=ON CO, E?/AU,AUTH  
 L55 QUE SPE=ON ABB=ON PLU=ON WANG-CO, E?/AU,AUTH  
 L56 QUE SPE=ON ABB=ON PLU=ON WANG CO, E?/AU,AUTH  
 L57 QUE SPE=ON ABB=ON PLU=ON WANGCO, E?/AU,AUTH  
 L58 QUE SPE=ON ABB=ON PLU=ON KIM, M?/AU,AUTH  
 L59 QUE SPE=ON ABB=ON PLU=ON KLEIN, R?/AU,AUTH  
 L60 QUE SPE=ON ABB=ON PLU=ON LE, D?/AU,AUTH  
 L61 QUE SPE=ON ABB=ON PLU=ON TSUHAKO, A?/AU,AUTH  
 L62 QUE SPE=ON ABB=ON PLU=ON LEW, A?/AU,AUTH  
 L63 QUE SPE=ON ABB=ON PLU=ON LEW-TSUHAKO, A?/AU,AUTH  
 L64 QUE SPE=ON ABB=ON PLU=ON LEWTSUHAKO, A?/AU,AUTH  
 L65 QUE SPE=ON ABB=ON PLU=ON NUSS, J?/AU,AUTH  
 L66 QUE SPE=ON ABB=ON PLU=ON XU, W?/AU,AUTH  
 L67 QUE SPE=ON ABB=ON PLU=ON BAJJALIEH, W?/AU,AUTH  
 L68 QUE SPE=ON ABB=ON PLU=ON BAJJALIEH, B?/AU,AUTH  
 L84 QUE SPE=ON ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<20  
 04 OR MY<2004 OR REVIEW/DT  
 L85 359 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L36  
 L86 1 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L85 AND (L53 OR L54  
 OR L55 OR L56 OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63  
 OR L64 OR L65 OR L66 OR L67 OR L68)  
 L87 1 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L1 AND L86  
 L88 0 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L1 NOT L86  
 L89 1 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L86 OR L87 OR L88)  
 L90 358 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L85 NOT L89  
 L91 229 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L90 AND L84  
 L92 QUE SPE=ON ABB=ON PLU=ON C(1W)KIT  
 L93 QUE SPE=ON ABB=ON PLU=ON STEM(1W)CELL  
 L94 0 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L91 AND (L92 OR L93)  
 L95 197 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L85 (L) (THU OR PKT OR  
 PAC OR DMA) /RL  
 L96 88 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L91 AND L95  
 L97 88 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L94 OR L96  
 L98 QUE SPE=ON ABB=ON PLU=ON "C-KIT (PROTEIN)" +PFT, OLD, NE  
 W, NT/CT  
 L99 0 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L91 AND L98  
 L100 88 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L97 OR L99  
 L101 2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L37  
 L102 1 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L101 AND (L53 OR L54  
 OR L55 OR L56 OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63

# 10/569,873

OR L64 OR L65 OR L66 OR L67 OR L68)

L103 1 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L89 OR L102

L104 90 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L100 OR L101)

L105 89 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L104 NOT L103

L106 88 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L105 AND L84

L107 TRANSFER PLU=ON L106 1- RN HIT : 471 TERMS

L108 471 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L107

L109 459 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L108 NOT ETHANEDIAMID  
E/CNS

L110 115 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L109

L111 98 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L91 AND L110

L112 86 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L106 AND L111

L113 0 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L112 AND (L53 OR L54  
OR L55 OR L56 OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63  
OR L64 OR L65 OR L66 OR L67 OR L68)

L114 86 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L112 NOT L113

L115 86 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L114 AND L84

L117 3003 SEA FILE=REGISTRY SUB=L21 SSS FUL L18

L118 183 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L117

L119 2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L118 AND (L53 OR L54  
OR L55 OR L56 OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63  
OR L64 OR L65 OR L66 OR L67 OR L68)

L120 1 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L1 AND L119

L121 0 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L1 NOT L119

L122 2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L119 OR L120 OR  
L121)

L123 181 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L118 NOT L122

L124 117 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L123 AND L84

L125 36 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L115 AND L124

=&gt; d ibib ed abs hitind hitstr l125 1-30

YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

L125 ANSWER 1 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1106595 HCAPLUS Full-text

DOCUMENT NUMBER: 149:307851

TITLE: Preparation of imidazolidin-2-imines and their analogs as aspartyl protease inhibitors for treating various diseases

INVENTOR(S): Zhu, Zhaoning; McKittrick, Brian; Sun, Zhong-Yue; Ye, Yuanzan C.; Voigt, Johannes H.; Strickland, Corey; Smith, Elizabeth M.; Stamford, Andrew; Greenlee, William J.; Mazzola, Robert D., Jr.; Caldwell, John; Cumming, Jared N.; Wang, Lingyan; Wu, Yusheng; Iserloh, Ulrich; Liu, Xiaoxiang; Huang, Ying; Li, Guoqing; Pan, Jianping; Misiaszek, Jeffrey A.; Guo, Tao; Le, Thuy X. H.; Saionz, Kurt W.; Babu, Suresh D.; Hunter, Rachael C.; Morris, Michelle L.; Gu, Huizhong; Qian, Gang; Tadesse, Dawit; Lai, Gaifa; Duo, Jingqi; Qu, Chuanxing; Shao, Yuefei

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.

SOURCE: PCT Int. Appl., 702 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008103351	A2	20080828	WO 2008-XA2182	20080220
WO 2008103351	A3	20090723		
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20080200445	A1	20080821	US 2007-710582	20070223 <--
WO 2008103351	A2	20080828	WO 2008-US2182	20080220
WO 2008103351	A3	20090723		
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TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,  
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,  
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

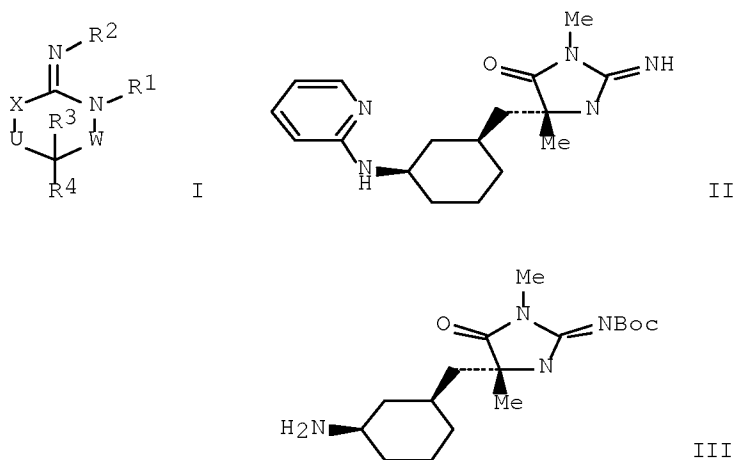
PRIORITY APPLN. INFO.:

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WO 2008-US2182 20080220  
US 2003-529535P P 20031215 <--  
US 2004-10772 A2 20041213  
US 2005-149027 A2 20050609

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

ED Entered STN: 12 Sep 2008

GI



AB Disclosed are compds. I [W = a bond, C(S), S(O), etc.; X = O, NR5 or CR6R7; U = a bond, S(O), SO2, C(O), etc.; R1, R2, R5 = H, alkyl, cycloalkyl, etc.; R3, R4, R6, R7 = H, alkyl, cycloalkyl, etc.; with provisos] or a stereoisomer, tautomer, or pharmaceutically acceptable salt or solvate thereof; and the pharmaceutical compns. comprising the compds. I. Over 1000 compds. I were prepared E.g., synthesis of imidazolidin-2-imine II, starting from III, was described. Compds. I were tested in various assays (data given for selected compds. I). Also disclosed is the method of inhibiting aspartyl protease, and in particular, the methods of treating cardiovascular diseases, cognitive and neurodegenerative diseases, and the methods of inhibiting Human Immunodeficiency Virus, plasmepsin, cathepsin D, and protozoal enzymes. Also disclosed are methods of treating cognitive or neurodegenerative diseases using the compds. I in combination with a cholinesterase inhibitor or a muscarinic M1 agonist or M2 antagonist. This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 7, 63

IT 1049645-25-1P 1049645-26-2P 1049645-27-3P 1049645-30-8P  
1049645-31-9P 1049645-32-0P 1049645-33-1P 1049645-35-3P  
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1049645-50-2P 1049645-51-3P 1049645-53-5P 1049645-54-6P

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1049648-29-4P	1049648-30-7P		

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
TNU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl  
protease  
inhibitors for treating various diseases)  
IT 1049648-31-8P 1049648-32-9P 1049648-33-0P 1049648-36-3P

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1049653-11-3P	1049653-12-4P		

RL: FAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl  
protease

inhibitors for treating various diseases)

IT	1049686-89-6P	1049686-90-9P	1049686-91-0P	1049686-92-1P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl  
protease

inhibitors for treating various diseases)

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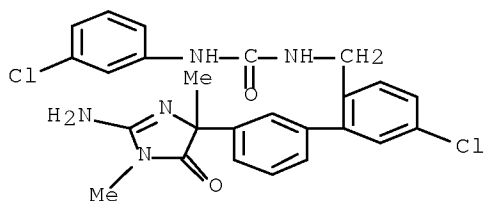
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protease

inhibitors for treating various diseases)

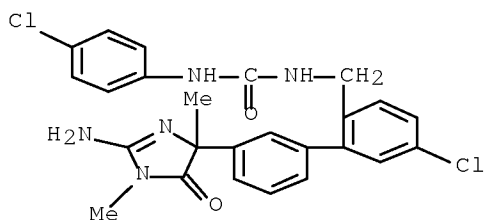
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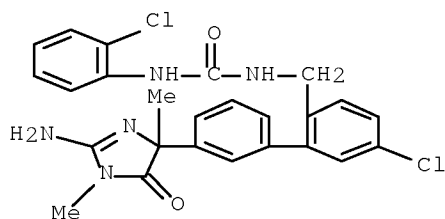
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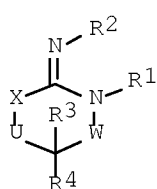


L125 ANSWER 2 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2008:1042502 HCAPLUS Full-text  
 DOCUMENT NUMBER: 149:307845  
 TITLE: Preparation of imidazolidin-2-imines and their analogs  
 as aspartyl protease inhibitors for treating various  
 diseases  
 INVENTOR(S): Zhu, Zhaoning; McKittrick, Brian; Sun, Zhong-Yue; Ye,  
 Yuanzan C.; Voigt, Johannes H.; Strickland, Corey;  
 Smith, Elizabeth M.; Stamford, Andrew; Greenlee,  
 William J.; Mazzola, Robert D., Jr.; Caldwell, John;  
 Cumming, Jared N.; Wang, Lingyan; Wu, Yusheng;  
 Iserloh, Ulrich; Liu, Xiaoxiang; Huang, Ying; Li,  
 Guoqing; Pan, Jianping; Misiaszek, Jeffrey A.; Guo,  
 Tao; Le, Thuy X. H.; Saionz, Kurt W.; Babu, Suresh D.;  
 Hunter, Rachael C.; Morris, Michelle L.; Gu, Huizhong;  
 Qian, Gang; Tadesse, Dawit; Lai, Gaifa; Duo, Jingqi;  
 Qu, Chuanxing; Shao, Yuefei  
 PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacoepia, Inc.  
 SOURCE: PCT Int. Appl., 702 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

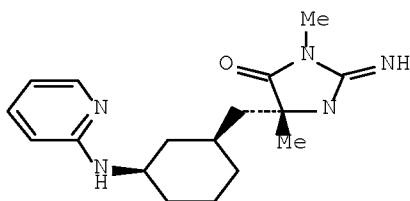
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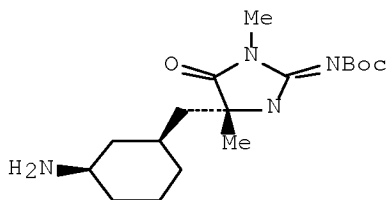
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 US 2005-149027 A2 20050609  
 WO 2008-US2182 W 20080220  
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 149:307845  
 ED Entered STN: 29 Aug 2008  
 GI



I



II



III

AB Disclosed are compds. I [W = a bond, C(S), S(O), etc.; X = O, NR5 or CR6R7; U = a bond, S(O), SO2, C(O), etc.; R1, R2, R5 = H, alkyl, cycloalkyl, etc.; R3, R4, R6, R7 = H, alkyl, cycloalkyl, etc.; with provisos] or a stereoisomer, tautomer, or pharmaceutically acceptable salt or solvate thereof; and the pharmaceutical compns. comprising the compds. I. Over 1000 compds. I were prepared E.g., synthesis of imidazolidin-2-imine II, starting from III, was described. Compds. I were tested in various assays (data given for selected compds. I). Also disclosed is the method of inhibiting aspartyl protease, and

in particular, the methods of treating cardiovascular diseases, cognitive and neurodegenerative diseases, and the methods of inhibiting Human Immunodeficiency Virus, plasmepsin, cathepsin D, and protozoal enzymes. Also disclosed are methods of treating cognitive or neurodegenerative diseases using the compds. I in combination with a cholinesterase inhibitor or a muscarinic M1 agonist or M2 antagonist. This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 7, 63

IT	1049645-69-3P	1049645-70-6P	1049645-71-7P	1049645-72-8P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
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(preparation of imidazolidin-2-imines and their analogs as aspartyl

protease

inhibitors for treating various diseases)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

TNU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl  
protease

inhibitors for treating various diseases)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl  
 protease  
 inhibitors for treating various diseases)

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1049689-43-1P	1049689-45-3P		

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
TNU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl  
 protease

inhibitors for treating various diseases)

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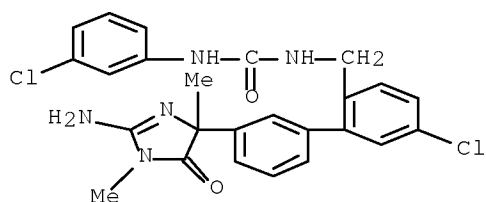
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
TNU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl  
 protease

inhibitors for treating various diseases)

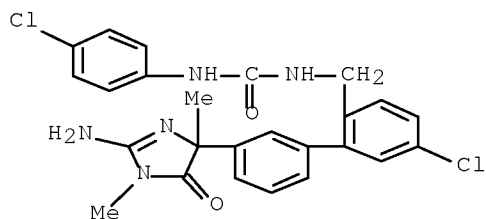
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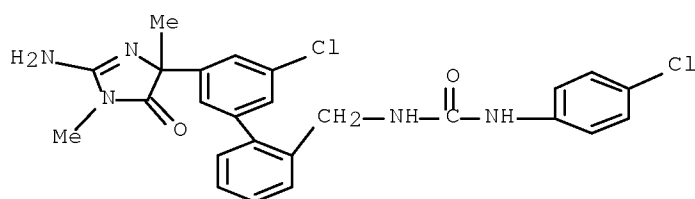
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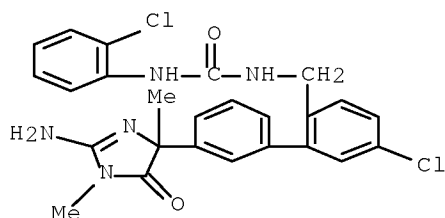
RN 1049669-70-6 HCAPLUS

CN Urea, N-[[3'-(2-amino-4,5-dihydro-1,4-dimethyl-5-oxo-1H-imidazol-4-yl)-5'-chloro[1,1'-biphenyl]-2-yl]methyl]-N'-(4-chlorophenyl)- (CA INDEX NAME)



RN 1049686-97-6 HCAPLUS

CN Urea, N-[[3'-(2-amino-4,5-dihydro-1,4-dimethyl-5-oxo-1H-imidazol-4-yl)-5'-chloro[1,1'-biphenyl]-2-yl]methyl]-N'-(2-chlorophenyl)- (CA INDEX NAME)



L125 ANSWER 3 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1011066 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 149:307842

TITLE: Preparation of imidazolidin-2-imines and their analogs as aspartyl protease inhibitors for treating various diseases

INVENTOR(S): Zhu, Zhaoning; McKittrick, Brian; Sun, Zhong-Yue; Ye, Yuanzan C.; Voigt, Johannes H.; Strickland, Corey O.; Smith, Elizabeth M.; Stamford, Andrew; Greenlee, William J.; Mazzola, Robert D.; Caldwell, John P.; Cumming, Jared N.; Wang, Lingyan; Wu, Yusheng; Iserloh, Ulrich; Liu, Xiaoxiang; Guo, Tao; Le, Thuy X. E.; Saionz, Kurt W.; Babu, Suresh D.; Hunter, Rachael C.; Morris, Michelle L.; Gu, Huizhong; Qian, Gang;

10/569,873

Tadesse, Dawit; Huang, Ying; Li, Guoqing; Pan, Jianping; Misiaszek, Jeffrey A.; Lai, Gaifa; Duo, Jingqi; Qu, Chuanxing; Shao, Yuefei  
 PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia Drug Discovery, Inc.  
 SOURCE: U.S. Pat. Appl. Publ., 1209pp., Cont.-in-part of U.S. Ser. No. 149,027.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080200445	A1	20080821	US 2007-710582	20070223 <--
US 20070072852	A1	20070329	US 2004-10772	20041213 <--
US 7592348	B2	20090922		
EP 2153832	A2	20100217	EP 2009-174520	20041213 <--
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CN 101671307	A	20100317	CN 2009-10163552	20041213 <--
US 20060111370	A1	20060525	US 2005-149027	20050609 <--
US 7700603	B2	20100420		
AU 2005317204	A1	20060622	AU 2005-317204	20050609
CA 2591033	A1	20060622	CA 2005-2591033	20050609
WO 2006065277	A2	20060622	WO 2005-US20446	20050609
WO 2006065277	A3	20070125		
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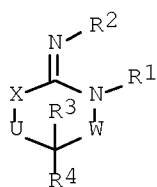
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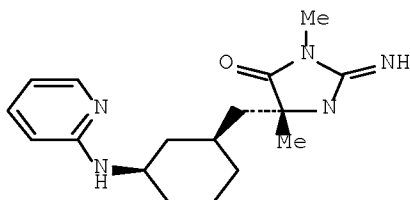
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

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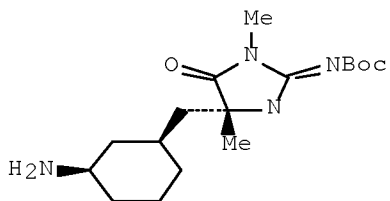
GI



I



II



III

AB Disclosed are compds. I [W = a bond, C(S), S(O), etc.; X = O, NR<sub>5</sub> or CR<sub>6</sub>R<sub>7</sub>; U = a bond, S(O), SO<sub>2</sub>, C(O), etc.; R<sub>1</sub>, R<sub>2</sub>, R<sub>5</sub> = H, alkyl, cycloalkyl, etc.; R<sub>3</sub>, R<sub>4</sub>, R<sub>6</sub>, R<sub>7</sub> = H, alkyl, cycloalkyl, etc.; with provisos] or a stereoisomer, tautomer, or pharmaceutically acceptable salt or solvate thereof; and the pharmaceutical compns. comprising the compds. I. Over 1000 compds. I were prepared E.g., synthesis of imidazolidin-2-imine II, starting from III, was described. Compds. I were tested in various assays (data given for selected compds. I). Also disclosed is the method of inhibiting aspartyl protease, and in particular, the methods of treating cardiovascular diseases, cognitive and neurodegenerative diseases, and the methods of inhibiting of Human Immunodeficiency Virus, plasmepsin, cathepsin D and protozoal enzymes. Also disclosed are methods of treating cognitive or neurodegenerative diseases using the compds. I in combination with a cholinesterase inhibitor or a muscarinic M<sub>1</sub> agonist or M<sub>2</sub> antagonist.

INCL 514210020; 514222200; 514229200; 514235800; 514249000; 514272000; 514313000; 514318000; 514326000; 514341000

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 7, 63

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl  
 protease

inhibitors for treating various diseases)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

TNU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl  
protease

inhibitors for treating various diseases)

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RL: FAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl  
 protease

inhibitors for treating various diseases)

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10/569,873

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
TNU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl  
 protease  
 inhibitors for treating various diseases)

IT 1049647-76-8P 1049652-40-5P 1049669-70-6P

1049686-97-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

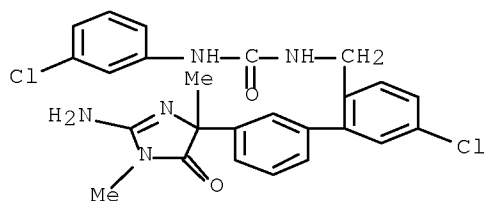
(Preparation); USES (Uses)

(preparation of imidazolidin-2-imines and their analogs as aspartyl  
protease

inhibitors for treating various diseases)

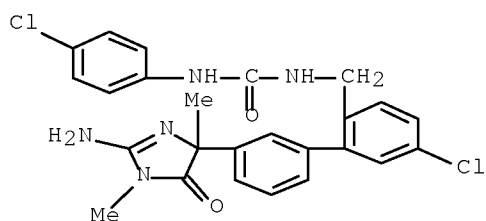
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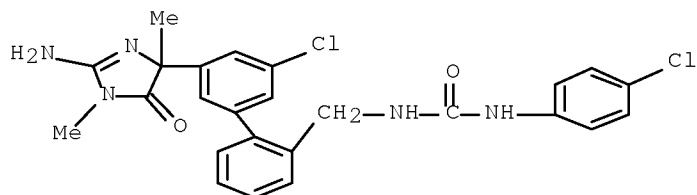
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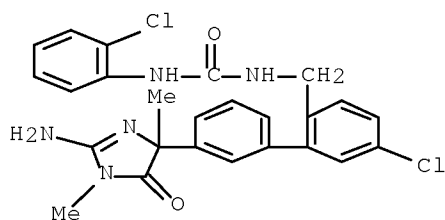
RN 1049669-70-6 HCAPLUS

CN Urea, N-[[3'-(2-amino-4,5-dihydro-1,4-dimethyl-5-oxo-1H-imidazol-4-yl)-5'-  
chloro[1,1'-biphenyl]-2-yl]methyl]-N'-(4-chlorophenyl)- (CA INDEX NAME)



RN 1049686-97-6 HCAPLUS

CN Urea, N-[[3'-(2-amino-4,5-dihydro-1,4-dimethyl-5-oxo-1H-imidazol-4-yl)-5-  
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OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS  
RECORD (14 CITINGS)

L125 ANSWER 4 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:527397 HCAPLUS Full-text

DOCUMENT NUMBER: 143:78096

TITLE: Preparation of quinolines useful in treating LXR  
(liver X receptor)-mediated diseases

INVENTOR(S): Collini, Michael D.; Singhaus, Robert R.; Hu, Baihua;  
Jetter, James W.; Morris, Robert L.; Kaufman, David  
H.; Miller, Christopher P.; Ullrich, John W.; Unwalla,  
Rayomand J.; Wrobel, Jay E.; Quinet, Elaine; Nambi,  
Ponnal; Bernotas, Ronald C.; Elloso, Merle

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: U.S. Pat. Appl. Publ., 169 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050131014	A1	20050616	US 2004-10236	20041210 <--
US 7576215	B2	20090818		
AU 2004298486	A1	20050630	AU 2004-298486	20041210 <--
CA 2547518	A1	20050630	CA 2004-2547518	20041210 <--
WO 2005058834	A2	20050630	WO 2004-US41399	20041210 <--
WO 2005058834	A3	20051117		
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EP 1692111	A2	20060823	EP 2004-813688	20041210 <--
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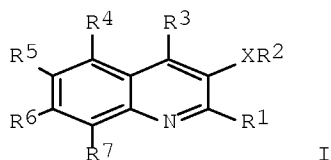
JP 2007516258	T	20070621	JP 2006-544016	20041210 <--
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NO 2006002561	A	20060908	NO 2006-2561	20060602 <--
MX 2006006533	A	20060731	MX 2006-6533	20060608 <--
ZA 2006004775	A	20081126	ZA 2006-4775	20060609 <--
KR 2007001922	A	20070104	KR 2006-714042	20060712 <--
PRIORITY APPLN. INFO.:			US 2003-529009P	P 20031212 <--
			US 2004-600296P	P 20040810
			WO 2004-US41399	W 20041210

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:78096; MARPAT 143:78096

ED Entered STN: 19 Jun 2005

GI



AB This invention provides quinolines of formula I (R1 = H or C1-C3 alkyl; X1 = a bond or an appropriate group to link R2 which is an optionally substituted heterocycle; X2 = a bond or CH2; R3 = optionally substituted Ph, naphthyl, or heterocycle; R4, R5, and R6 = H or F, R7 = H, C1-C4 alkyl, C1-C4 perfluoroalkyl, halogen, NO2, CN, optionally substituted phenyl) that are useful in the treatment or inhibition of LXR mediated diseases (no data). The LXR mediated diseases specifically claimed are, for example, atherosclerosis, Alzheimer's disease, dementia, diabetes, multiple sclerosis, and thyroiditis. Pharmaceutical compns. containing the compds. of the invention and synthetic procedures for preparing them are also claimed.

IC ICM A61K031-4709

ICS C07D041-02

INCL 514311000; 514314000; 546153000; 546167000

CC 27-17 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1

IT 854771-17-8P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,4-Dichlorobenzyl)Amine 854771-18-9P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2-Fluorobenzyl)Amine 854771-19-0P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,3-Difluorobenzyl)Amine 854771-20-3P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,3,6-Trichlorobenzyl)Amine 854771-21-4P,  
 2-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-4-Fluorophenol 854771-22-5P, 4-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-2-Ethoxyphenol 854771-23-6P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,3-Dihydro-1,4-Benzodioxin-6-ylmethyl)Amine 854771-24-7P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2-Fluoro-6-Methoxybenzyl)Amine 854771-25-8P,  
 3-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]Benzene-1,2-Diol 854771-26-9P,  
 2-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-6-Fluorophenol 854771-27-0P, 2-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-

4-yl]Phenyl]Amino]Methyl]-6-Ethoxyphenol 854771-28-1P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][(1-Methyl-1H-Indol-2-yl)Methyl]Amine 854771-29-2P, N-[4-(Benzyloxy)-3-Methoxybenzyl]-3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Aniline 854771-30-5P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][(6-Bromopyridin-3-yl)Methyl]Amine 854771-31-6P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][(2-Chloroquinolin-3-yl)Methyl]Amine 854771-32-7P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][(6-Methoxypyridin-3-yl)Methyl]Amine 854771-33-8P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][(6-Chloropyridin-3-yl)Methyl]Amine 854771-34-9P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,3,4-Trimethoxybenzyl)Amine 854771-35-0P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](1H-Indol-5-ylmethyl)Amine 854771-36-1P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](1H-Indol-6-ylmethyl)Amine 854771-37-2P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][(1-Ethyl-1H-Indol-6-yl)Methyl]Amine 854771-38-3P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][(1-Methyl-1H-Indol-5-yl)Methyl]Amine 854771-39-4P,  
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 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](1H-Indol-4-ylmethyl)Amine 854771-42-9P, 2-[4-[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]-2-Methylpropanoic Acid 854771-43-0P, 2-[4-[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]Propanoic Acid 854771-44-1P 854771-45-2P,  
 3-Benzyl-4-[3-[5-Chloro-2-(Trifluoromethyl)Benzyl]Oxy]Phenyl]-8-(Trifluoromethyl)Quinoline 854771-46-3P,  
 3-Benzyl-4-[3-[5-Fluoro-2-(Trifluoromethyl)Benzyl]Oxy]Phenyl]-8-(Trifluoromethyl)Quinoline 854771-47-4P,  
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 [4-[(1R)-1-[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Ethyl]Phenyl]Acetic Acid 854771-49-6P,  
 5-[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Benzyl]Oxy]-1H-Indole-2-Carboxylic Acid 854771-50-9P, N-[3-[3-(2-Methylphenyl)-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]-N'-Phenylurea 854771-51-0P,  
 N-(2-Chlorophenyl)-N'-[3-[3-(2-Methylphenyl)-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Urea 854771-52-1P, N-(2-Fluorophenyl)-N'-[3-[3-(2-Methylphenyl)-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Urea 854771-53-2P,  
 N-(2-Chlorophenyl)-N'-[3-[3-(2-Trifluoromethylphenyl)-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Urea 854771-54-3P,  
 [4-[3-(Benzylthio)Phenyl]-8-(Trifluoromethyl)Quinolin-3-yl]phenylmethanone 854771-55-4P, 3-[4-[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Phenyl]Propanoic Acid 854771-57-6P 854771-58-7P,  
 N-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]-N'-(2-Chlorophenyl)-N'-Cyanoguanidine 854771-59-8P,  
 N-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]-N'-(2-Fluorophenyl)Guanidine 854771-61-2P, 2-Chlorophenyl [3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Carbamate 854771-62-3P 854771-63-4P, [2-[4-[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Benzyl]Oxy]Phenyl]-1,3-Oxazol-4-yl]Acetic Acid ~~854771-64-5P~~, N-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Benzyl]-N'-(2-Fluorophenyl)Urea 854771-65-6P,  
 4-[3-[3-Cyano-8-(Trifluoromethyl)Quinolin-4-yl]Amino]Phenyl]-8-(Trifluoromethyl)Quinoline-3-Carbonitrile 854771-67-8P,  
 [4-[[3-[3-Cyano-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]Phenyl]Acetic Acid 854771-68-9P,  
 N-(2-Chlorophenyl)-N'-[3-[3-Cyano-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Urea 854771-70-3P, [4-[[3-[3-Cyano-8-(Trifluoromethyl)Quinolin-



4-yl]Phenoxy]Methyl]Phenyl]Acetic Acid 854771-71-4P,  
 [4-[[[4-[3-[3-Cyano-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]Acetyl]Oxy]Methyl]Phenyl]Acetic Acid  
 854771-73-6P, Ethyl 3-[[[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Carbonyl]Amino]Benzoate 854771-74-7P,  
 3-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Quinazoline-2,4(1H,3H)-Dione 854771-75-8P, 3-[[[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Carbonyl]Amino]Benzoic Acid  
 854771-77-0P, [4-[[[3-[3-(Aminocarbonyl)-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]Phenyl]Acetic Acid 854771-78-1P,  
 [4-[3-[3-(Aminocarbonyl)-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]Acetic Acid 854771-79-2P,  
 [4-[[[4-[3-[3-(Aminocarbonyl)-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]Acetyl]Oxy]Methyl]Phenyl]Acetic Acid  
 854771-82-7P, Ethyl 4-[3-[[[2-Chlorophenyl]Amino]Carbonyl]Amino]Phenyl]-8-(Trifluoromethyl)Quinoline-3-Carboxylate 854771-83-8P,  
 4-[3-[(2-Fluorobenzyl)Oxy]Phenyl]-8-(Trifluoromethyl)Quinoline-3-Carboxylic Acid 854771-84-9P 854771-86-1P,  
 2-[4-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]-3-[4-(Carboxymethyl)Phenyl]Propanoic Acid  
 854771-87-2P 854771-88-3P, 2-[4-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]-3-(4-tert-Butylphenyl)Propanoic Acid 854771-89-4P,  
 2-[4-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]-3-(4-Nitrophenyl)Propanoic Acid 854771-90-7P,  
 2-[4-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]-3-Biphenyl-4-ylpropanoic Acid 854771-91-8P,  
 2-[4-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]-3-Phenylpropanoic Acid 854771-92-9P,  
 2-[4-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]-3,3-Diphenylpropanoic Acid 854771-94-1P,  
 [4-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Sulfonyl]Methyl]Phenyl]Acetic Acid 854771-95-2P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,3-Dimethoxybenzyl)Amine 854771-96-3P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,5-Dichlorobenzyl)Amine 854771-97-4P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](3-Phenoxybenzyl)Amine 854771-98-5P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,5-Dimethoxybenzyl)Amine 854771-99-6P,  
 2-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-4-Chlorophenol 854772-00-2P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](3,4-Dimethoxybenzyl)Amine 854772-01-3P,  
 3-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-4-Nitrophenol 854772-02-4P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](4,5-Dimethoxy-2-Nitrobenzyl)Amine 854772-03-5P,  
 2-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-4-Bromophenol 854772-04-6P, 2-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-5-Methoxyphenol 854772-05-7P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][4-(Dimethylamino)-1-Naphthyl]Methyl]Amine 854772-06-8P,  
 2-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-4-Methoxyphenol 854772-07-9P, 4-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]Benzene-1,2-Diol 854772-08-0P,  
 2-[4-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]Pent-4-enoic Acid 854772-09-1P,  
 2-[4-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]Phenyl]-4-Hexynoic Acid 854772-10-4P,  
 2-[4-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-

yl]Phenoxy]Methyl]Phenyl]-4-Heptynoic Acid 854772-11-5P 854772-12-6P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2-Fluoro-3-  
 Methoxybenzyl)Amine 854772-13-7P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][3-  
 (Trifluoromethyl)Benzyl]Amine 854772-14-8P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](5-Fluoro-2-  
 Methoxybenzyl)Amine 854772-15-9P,  
 2-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-4-  
 Iodophenol 854772-16-0P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-  
 yl]Phenyl](3,4-Diethoxybenzyl)Amine 854772-17-1P,  
 N-[2-(Benzyloxy)-3-Methoxybenzyl]-3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-  
 4-yl]Aniline 854772-18-2P, N,N-Dibenzyl-3-[3-Benzyl-8-  
 (Trifluoromethyl)Quinolin-4-yl]Aniline 854772-19-3P,  
 [3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]phenyl]bis(3-  
 methylbenzyl)Amine 854772-20-6P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Bis(2-Ethoxy-3-  
 Methoxybenzyl)Amine 854772-21-7P,  
 N-Benzyl-3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Aniline  
 854772-22-8P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](3-  
 Methoxybenzyl)Amine 854772-23-9P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](4-  
 Methoxybenzyl)Amine 854772-24-0P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2-Ethoxy-3-  
 Methoxybenzyl)Amine 854772-25-1P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](3-Chloro-4-  
 Fluorobenzyl)Amine 854772-26-2P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](3-Chloro-4-  
 Methoxybenzyl)Amine 854772-27-3P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](3-Chloro-2-  
 Fluorobenzyl)Amine 854772-28-4P,  
 2-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-4-  
 (Trifluoromethoxy)Phenol 854772-29-5P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][5-Chloro-2-  
 (Trifluoromethyl)Benzyl]Amine 854772-30-8P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][5-Fluoro-2-  
 (Trifluoromethyl)Benzyl]Amine 854772-31-9P,  
 3-Benzyl-4-[3-[(2,5-Dimethylphenoxy)Methyl]Phenyl]-8-  
 (Trifluoromethyl)Quinoline 854772-32-0P,  
 3-Benzyl-4-[3-[[2-Fluoro-3-(Trifluoromethyl)Phenoxy]Methyl]Phenyl]-8-  
 (Trifluoromethyl)Quinoline 854772-33-1P,  
 3-Benzyl-4-[3-[(2,3-Dimethylphenoxy)Methyl]Phenyl]-8-  
 (Trifluoromethyl)Quinoline 854772-34-2P,  
 3-Benzyl-4-[3-[[2-Chloro-3-(Trifluoromethyl)Phenoxy]Methyl]Phenyl]-8-  
 (Trifluoromethyl)Quinoline 854772-35-3P,  
 3-Benzyl-4-[3-[(1-Methyl-1H-Pyrrol-2-yl)Methoxy]Phenyl]-8-  
 (Trifluoromethyl)Quinoline 854772-36-4P, Methyl  
 [5-[[4-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Methyl]-1-Methyl-  
 1H-Pyrrol-2-yl]Acetate 854772-37-5P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2-  
 Thienylmethyl)Amine 854772-38-6P,  
 [2-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-3-  
 Thienyl]Acetic Acid 854772-39-7P,  
 [5-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-2-  
 Thienyl]Acetic Acid 854772-42-2P,  
 5-[4-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-  
 yl]Phenyl]Amino]Methyl]Benzyl]-1,3-Thiazolidine-2,4-Dione 854772-43-3P,  
 5-[4-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-  
 yl]Phenyl]Amino]Methyl]Benzyl]-2-Thioxo-1,3-Thiazolidin-4-one  
 854772-44-4P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][(4-  
 Fluorobiphenyl-3-yl)Methyl]Amine 854772-48-8P 854772-49-9P,

[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][(3-Fluoro-4'-Methoxybiphenyl-4-yl)Methyl]Amine 854772-50-2P,  
 3'-[[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-4'-Fluorobiphenyl-4-ol 854772-51-3P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](3-Methylbenzyl)Amine 854772-52-4P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,4-Dimethylbenzyl)Amine 854772-53-5P,  
 N-[(1-Acetyl-1H-Indol-3-yl)Methyl]-3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Aniline 854772-54-6P, N,N-Bis[(1-acetyl-1H-indol-3-yl)methyl]-3-[3-benzyl-8-(trifluoromethyl)Quinolin-4-yl]Aniline 854772-55-7P,  
 3-Benzyl-4-[3-[(1-Methyl-1H-Indol-3-yl)Methoxy]Phenyl]-8-(Trifluoromethyl)Quinoline 854772-56-8P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][2-Fluoro-5-(1H-pyrrol-2-yl)benzyl]amine 854772-57-9P,  
 3-Benzyl-4-[3-[(1-Methyl-1H-Indol-7-yl)Methoxy]Phenyl]-8-(Trifluoromethyl)Quinoline 854772-59-1P,  
 3-Benzyl-4-(3-Phenylethynyl-Phenyl)-8-Trifluoromethyl-Quinoline 854772-60-4P, [4-[2-[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Ethyl]Phenyl]Acetic Acid 854772-62-6P, Ethyl 3-[[3-[3-benzyl-8-(trifluoromethyl)quinolin-4-yl]phenyl]ethynyl]benzoate 854772-63-7P, 3-[[3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]phenyl]ethynyl]benzoic acid 854772-64-8P, Methyl 4-[[3-[3-benzyl-8-(trifluoromethyl)quinolin-4-yl]phenyl]ethynyl]benzoate 854772-65-9P, 4-[[3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]phenyl]ethynyl]benzoic acid 854772-67-1P,  
 3-[4-[[3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]phenyl]ethynyl]phenyl]propanoic acid 854772-68-2P, Methyl 3-[4-[[3-[3-benzyl-8-(trifluoromethyl)quinolin-4-yl]phenyl]ethynyl]phenyl]propanoate 854772-69-3P,  
 [3-[[3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]phenyl]ethynyl]phenyl]acetic acid 854772-70-6P,  
 3-[3-[[3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]phenyl]ethynyl]phenyl]propanoic acid 854772-71-7P, Methyl 3-[3-[[3-[3-benzyl-8-(trifluoromethyl)quinolin-4-yl]phenyl]ethynyl]phenyl]propanoate 854772-72-8P,  
 3-Benzyl-4-[3-[(2-fluorophenyl)ethynyl]phenyl]-8-(trifluoromethyl)quinoline 854772-73-9P,  
 3-Benzyl-4-[3-[(2-chlorophenyl)ethynyl]phenyl]-8-(trifluoromethyl)quinoline 854772-74-0P,  
 3-Benzyl-4-[3-[(4-bromophenyl)ethynyl]phenyl]-8-(trifluoromethyl)quinoline 854772-75-1P, 3-Benzyl-4-[3-[(2,5-dichlorophenyl)ethynyl]phenyl]-8-(trifluoromethyl)quinoline 854772-76-2P,  
 3-Benzyl-4-[3-[(2,4-dichlorophenyl)ethynyl]phenyl]-8-(trifluoromethyl)quinoline 854772-77-3P,  
 3-Benzyl-4-[3-[(3,4-dichlorophenyl)ethynyl]phenyl]-8-(trifluoromethyl)quinoline 854772-79-5P, Methyl 4-[[3-[[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Methyl]Phenoxy]Methyl]Benzoate 854772-80-8P, Ethyl [3-[[3-[[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Methyl]Phenoxy]Methyl]Phenyl]Acetate 854772-81-9P,  
 3-[3-[[3-[[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Methyl]Phenoxy]Methyl]Phenyl]Propanoic acid methyl ester 854772-82-0P, Methyl [3-[[3-[[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Methyl]Phenoxy]Methyl]Phenoxy]Acetate 854772-83-1P 854772-84-2P,  
 3-[[3-[[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Methyl]Phenoxy]Methyl]Benzoic Acid 854772-85-3P,  
 4-[[3-[[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Methyl]Phenoxy]Methyl]Benzoic Acid 854772-86-4P,  
 [3-[[3-[[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Methyl]Phenoxy]Methyl]Phenyl]Acetic Acid 854772-87-5P,

3-[3-[[3-[[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Methyl]Phenoxy]Methyl]Phenyl]Propanoic Acid 854772-88-6P,  
 3-[3-[[3-[[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Methyl]Phenoxy]Methyl]Phenoxy]Acetic Acid 854772-89-7P,  
 4-[3-[[3-[[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Methyl]Phenoxy]Methyl]Phenoxy]Acetic Acid 854772-90-0P,  
 3-[3-(8-Chloro-3-Methylquinolin-4-yl)Phenoxy]-N-Ethylbenzamide  
 854772-92-2P, 2-[3-[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenoxy]Phenyl]Propan-2-ol 854772-93-3P 854772-94-4P  
 854772-95-5P, 3-[3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenyl]Amino]Benzamide  
 854772-96-6P, 3-[3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenyl]Amino]-N-(2-Hydroxyethyl)Benzamide 854772-97-7P,  
 3-[3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenyl]Amino]-N-Methylbenzamide  
 854772-98-8P, 3-[3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenyl]Amino]-N-Ethylbenzamide 854772-99-9P, 3-[3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenyl]Amino]-N-Cyclopropylbenzamide 854773-00-5P,  
 3-[3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenyl]Amino]-N-isopropylbenzamide  
 854773-01-6P, 3-[3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenyl]Amino]-N,N-Diethylbenzamide 854773-02-7P, [3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenyl][3-(Pyrrolidin-1-ylcarbonyl)Phenyl]Amine 854773-03-8P,  
 [3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenyl][3-(Piperidin-1-ylcarbonyl)Phenyl]Amine 854773-04-9P,  
 [3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenyl][3-(Morpholin-4-ylcarbonyl)Phenyl]Amine 854773-05-0P,  
 3-[3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenoxy]-5-Bromobenzonitrile  
 854773-06-1P, 3-Benzyl-4-[3-[3-Bromo-5-(Trifluoromethyl)Phenoxy]Phenyl]-8-Chloroquinoline 854773-07-2P, 3-[3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenoxy]-5-Fluorobenzonitrile 854773-08-3P,  
 3-Benzyl-4-[3-(3-Bromo-5-Chlorophenoxy)Phenyl]-8-Chloroquinoline  
 854773-09-4P, N-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]-1H-Imidazole-1-Carboximidamide 854773-13-0P 854773-14-1P 854773-15-2P,  
 N-[4-[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]Benzoyl]Glycine 854773-16-3P 854773-17-4P,  
 3-[3-[[3-[3-Cyano-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]Phenyl]Propanoic Acid 854773-19-6P,  
 4'-[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-1,1'-Biphenyl-3-yl]Acetic Acid 854773-20-9P,  
 4'-[[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Methyl]-1,1'-Biphenyl-3-yl]Acetic Acid 854773-21-0P,  
 4-[4-[2-[[3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl]Amino]Ethyl]Piperidin-1-yl]Benzoic Acid 854773-22-1P,  
 4-[3-(8-Chloro-3-Phenylquinolin-4-yl)Phenoxy]Methyl]Phenyl]Acetic Acid  
 854773-23-2P, 4-[3-(8-Chloro-3-Methylquinolin-4-yl)Phenoxy]Methyl]Phenyl]Acetic Acid 854773-24-3P,  
 4-[3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenoxy]Methyl]Phenyl]Acetic Acid  
 854773-27-6P, 2-[4-[3-(8-Chloro-3-Phenylquinolin-4-yl)Phenoxy]Methyl]Phenyl]-2-Methylpropanoic Acid 854773-28-7P,  
 2-[4-[3-(3-Benzyl-8-Chloroquinolin-4-yl)Phenoxy]Methyl]Phenyl]-2-Methylpropanoic Acid 854773-29-8P,  
 3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,5-Dimethylbenzyl)Amine 854773-30-1P,  
 3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,3-Dimethylbenzyl)Amine 854773-31-2P,  
 3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,6-Dimethylbenzyl)amine 854773-32-3P,  
 3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](1H-Imidazol-2-ylmethyl)Amine 854773-33-4P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][3-[3-(Trifluoromethyl)Phenoxy]Benzyl]Amine 854773-34-5P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,6-Dimethoxybenzyl)Amine 854773-35-6P

, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][3,5-Bis(Benzyloxy)Benzyl]Amine 854773-36-7P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2-Methoxybenzyl)Amine 854773-37-8P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](4-Methylbenzyl)Amine 854773-38-9P, [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][(1-Oxidopyridin-4-yl)Methyl]Amine 854773-39-0P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl][(4,5-Dimethyl-2-Furyl)Methyl]Amine 854773-40-3P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](1-Naphthylmethyl)Amine 854773-41-4P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](3,5-Dimethoxybenzyl)Amine 854773-42-5P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2,4-Dimethoxybenzyl)Amine 854773-43-6P,  
 [3-[3-Benzyl-8-(Trifluoromethyl)Quinolin-4-yl]Phenyl](2-Naphthylmethyl)Amine 854773-44-7P,  
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(drug candidate; preparation of quinolines useful in treating LXR (liver X receptor)-mediated diseases)

IT 854771-64-5P, N-[3-[3-Benzoyl-8-(Trifluoromethyl)Quinolin-4-yl]Benzyl]-N'-(2-Fluorophenyl)Urea

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

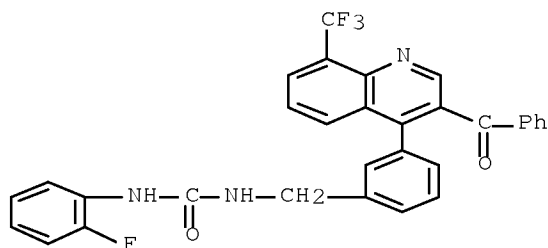
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(drug candidate; preparation of quinolines useful in treating LXR (liver X receptor)-mediated diseases)

RN 854771-64-5 HCAPLUS

CN Urea, N-[[3-[3-benzoyl-8-(trifluoromethyl)-4-quinolinyl]phenyl]methyl]-N'-(2-fluorophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 124 THERE ARE 124 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 5 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:232568 HCAPLUS Full-text

DOCUMENT NUMBER: 142:291383

TITLE: Nitrosated and nitrosylated cardiovascular compounds, compositions, and methods of therapeutic use

INVENTOR(S): Garvey, David S.; Letts, Gordon L.; Worcel, Manuel

PATENT ASSIGNEE(S): Nitromed, Inc., USA

SOURCE: PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005023182	A2	20050317	WO 2004-US26910	20040820 <--
WO 2005023182	A3	20061019		
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PRIORITY APPLN. INFO.:			US 2003-498309P	P 20030828 <--
			US 2004-535542P	P 20040112
			WO 2004-US26910	W 20040820
			WO 2004-US26911	W 20040820

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 142:291383

ED Entered STN: 17 Mar 2005

AB The invention provides nitrosated and/or nitrosylated cardiovascular compds. or pharmaceutically acceptable salts thereof, and compns. comprising at least one nitrosated and/or nitrosylated cardiovascular compound, and, optionally, at least one nitric oxide donor and/or at least one therapeutic agent. The invention also provides compns. and kits comprising at least one

cardiovascular compound of the invention that is optionally nitrosated and/or nitrosylated and, optionally, at least one nitric oxide donor compound and/or at least one therapeutic agent. The invention also provides methods for (a) treating cardiovascular diseases; (b) treating renovascular diseases; (c) treating diabetes; (d) treating diseases resulting from oxidative stress; (e) treating endothelial dysfunctions; (f) treating diseases caused by endothelial dysfunctions; (g) treating cirrhosis; (h) treating pre-eclampsia; (j) treating osteoporosis; and (k) treating nephropathy. The nitrosated and/or nitrosylated cardiovascular compds. are preferably nitrosated and/or nitrosylated aldosterone antagonists, nitrosated and/or nitrosylated angiotensin II antagonists, nitrosated and/or nitrosylated calcium channel blockers, nitrosated and/or nitrosylated endothelin antagonists, nitrosated and/or nitrosylated hydralazine compds., nitrosated and/or nitrosylated neutral endopeptidase inhibitors and nitrosated and/or nitrosylated renin inhibitors.

IC ICM A61K

CC 1-8 (Pharmacology)

Section cross-reference(s): 63

IT 52-01-7, Spironolactone 58-93-5, Hydrochlorothiazide 77-36-1, Chlorthalidone 86-54-4D, Hydralazine, compds. 127-07-1D, Hydroxyurea, derivs. 304-20-1, Hydralazine hydrochloride 318-98-9, Propranolol hydrochloride 396-01-0, Triamterene 497-27-8D, Furoxan, derivs. 2016-88-8, Amiloride hydrochloride 7803-49-8D, Hydroxylamine, derivs. 13115-21-4D, N-Hydroxyguanidine, derivs. 26921-17-5, Timolol maleate 56392-17-7, Metoprolol tartrate 62571-86-2, Captopril 72956-09-3, Carvedilol 76095-16-4, Enalapril maleate 76547-98-3, Lisinopril 82586-52-5, Moexipril hydrochloride 82586-55-8, Quinapril hydrochloride 86541-74-4, Benazepril hydrochloride 87679-37-6, Trandolapril 87679-71-8, Trandolaprilat 88889-14-9, Fosinopril sodium 104344-23-2, Bisoprolol fumarate 107724-20-9, Eplerenone 114798-26-4D, Losartan, nitrosated/nitrosylated derivs. 114798-27-5D, nitrosated/nitrosylated derivs. 114798-28-6D, nitrosated/nitrosylated derivs. 114798-29-7D, nitrosated/nitrosylated derivs. 124749-82-2D, nitrosated/nitrosylated derivs. 124749-84-4D, nitrosated/nitrosylated derivs. 124750-88-5D, nitrosated/nitrosylated derivs. 124750-91-0D, nitrosated/nitrosylated derivs. 124750-92-1D, nitrosated/nitrosylated derivs. 124750-93-2D, nitrosated/nitrosylated derivs. 124750-99-8, Losartan potassium 133040-01-4D, Eprosartan, nitrosated/nitrosylated derivs. 133240-46-7D, nitrosated/nitrosylated derivs. 135070-05-2D, nitrosated/nitrosylated derivs. 137862-53-4, Valsartan 137862-53-4D, Valsartan, nitrosated/nitrosylated derivs. 137882-98-5D, Abitesartan, nitrosated/nitrosylated derivs. 138402-11-6, Irbesartan 138402-11-6D, Irbesartan, nitrosated/nitrosylated derivs. 139481-59-7D, Candesartan, nitrosated/nitrosylated derivs. 139958-16-0D, nitrosated/nitrosylated derivs. 141309-82-2D, nitrosated/nitrosylated derivs. 144143-96-4, Eprosartan mesylate 144689-24-7D, Olmesartan, nitrosated/nitrosylated derivs. 144689-63-4, Olmesartan medoxomil 144701-48-4, Telmisartan 144701-48-4D, Telmisartan, nitrosated/nitrosylated derivs. 145040-37-5, Candesartan cilexetil 145160-84-5D, nitrosated/nitrosylated derivs. 145216-43-9D, Forasartan, nitrosated/nitrosylated derivs. 145733-36-4D, Tasosartan, nitrosated/nitrosylated derivs. 145781-32-4D, Zolasartan, nitrosated/nitrosylated derivs. 146623-69-0D, Sapisartan, nitrosated/nitrosylated derivs. 147403-03-0D, nitrosated/nitrosylated derivs. 148504-51-2D, Ripisartan, nitrosated/nitrosylated derivs. 148564-47-0D, Milfasartan, nitrosated/nitrosylated derivs. 149968-26-3D, Elisartan, nitrosated/nitrosylated derivs. 153235-15-5D, Fonsartan, nitrosated/nitrosylated derivs. 153806-29-2D, nitrosated/nitrosylated derivs. 154749-99-2D, nitrosated/nitrosylated derivs. 155884-08-5D, nitrosated/nitrosylated derivs. 155918-60-8D, nitrosated/nitrosylated derivs. 155918-61-9D, nitrosated/nitrosylated derivs. 156001-18-2D,



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RL: PAC (Pharmacological activity); THU (Therapeutic  
use); BIOL (Biological study); USES (Uses)

(nitrosated and nitrosylated cardiovascular compds., compns., and  
 therapeutic use)

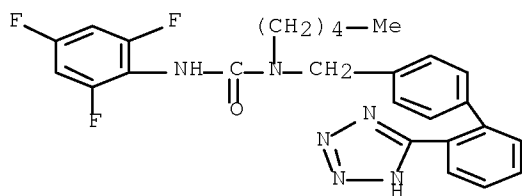
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RL: PAC (Pharmacological activity); THU (Therapeutic  
use); BIOL (Biological study); USES (Uses)

(nitrosated and nitrosylated cardiovascular compds., compns., and  
 therapeutic use)

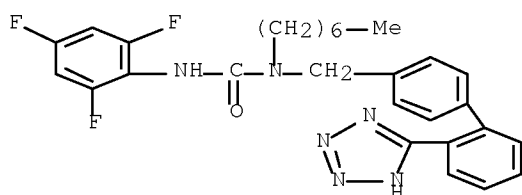
RN 439904-55-9 HCAPLUS

CN Urea, N-pentyl-N-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-N'-  
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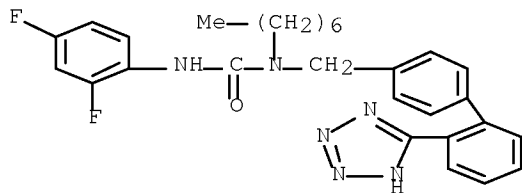
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RN 439904-57-1 HCAPLUS

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OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 6 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:158627 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 142:261304

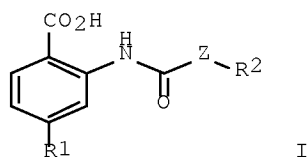
TITLE: Preparation of anthranilic acid derivatives as selective agonists of the nicotinic acid receptor HM74A

INVENTOR(S): Campbell, Mathew; Hatley, Richard Jonathan; Heer, Jag Paul; Mason, Andrew McMurtrie; Nicholson, Neville Hubert; Pinto, Ivan Leo; Rahman, Shahzad Sharooq; Smith, Ian Edward David

10/569,873

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA  
 SOURCE: PCT Int. Appl., 69 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005016870	A1	20050224	WO 2004-GB3528	20040813 <--
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ED Entered STN: 24 Feb 2005				
GI				



AB Therapeutically active anthranilic acid derivs. I [R1 = H, halo, alkyl; R2 = 5-6 membered aryl, heteroaryl, heterocyclyl, alicyclic ring; Z = (CH2)q, CH:CH, (CH2)nO, etc.; q = 1-4; n = 2-4], processes for the preparation of said compds. I, pharmaceutical formulations containing the active compds. and the use of the compds. in therapy, particularly in the treatment of diseases in which under-activation of the HM74A receptor contributes to the disease or in which activation of the receptor will be beneficial, are disclosed. Over sixty compds. I were prepared E.g., a 3-step synthesis of I [R1 = H; R2 = 3'-methoxybiphenyl; Z = CH2O], starting from Me anthranilate, was given. The compds. I showed EC50 of 5.0 or greater and efficacy of 30% or greater in HM74A in-vitro assays.

IC ICM C07C235-38  
 ICS C07D307-52; C07D213-65; C07D231-12; C07D271-06; C07D333-24;  
 A61K031-4412; A61P009-10

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1, 63

IT 69764-13-2P 178271-22-2P 195393-51-2P 217655-56-6P 233693-99-7P  
 233694-00-3P 340014-45-1P 697235-49-7P 782480-94-8P 845889-73-8P  
 845889-74-9P 845889-75-0P 845889-76-1P 845889-77-2P 845889-78-3P  
 845889-79-4P 845889-80-7P 845889-81-8P 845889-82-9P 845889-83-0P  
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 845890-18-8P 845890-19-9P 845890-20-2P 845890-21-3P 845890-22-4P  
 845890-23-5P 845890-24-6P 845890-25-7P 845890-26-8P 845890-27-9P  
 845890-28-0P 845890-29-1P 845890-32-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of anthranilic acid derivs. as selective agonists of the  
 nicotinic acid receptor HM74A for treating lipid metabolic diseases)

IT ~~845890-09-7P~~

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

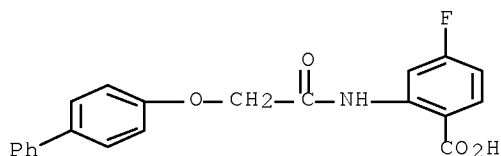
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of anthranilic acid derivs. as selective agonists of the  
 nicotinic acid receptor HM74A for treating lipid metabolic diseases)

RN 845890-09-7 HCAPLUS

CN Benzoic acid, 2-[[2-([1,1'-biphenyl]-4-yloxy)acetyl]amino]-4-fluoro- (CA  
 INDEX NAME)



OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS  
 RECORD (18 CITINGS)  
 REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 7 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:566609 HCAPLUS Full-text

DOCUMENT NUMBER: 141:123608

TITLE: Preparation of pyrrolopyridinones as mitogen activated  
 protein kinase-activated protein kinase-2 inhibiting  
 compounds

INVENTOR(S): Anderson, David R.; Mahoney, Matthew W.; Phillion,  
 Dennis P.; Rogers, Thomas E.; Meyers, Marvin J.; Poda,  
 Gennadiy; Hegde, Shridhar G.; Singh, Megh; Reitz,  
 David B.; Wu, Kun K.; Buchler, Ingrid P.; Xie, Jin;  
 Vernier, William F.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 573 pp.

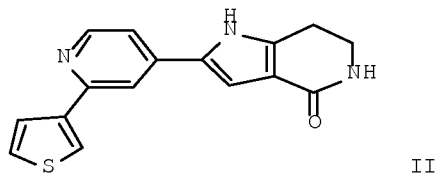
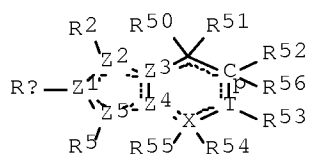
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058762	A1	20040715	WO 2003-US40811	20031219 <--
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RW:			BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
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WO 2004058762	A1	20040715	WO 2003-XA40811	20031219 <--
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AU 2003297431	A1	20040722	AU 2003-297431	20031219 <--
US 20040152739	A1	20040805	US 2003-742494	20031219 <--
US 20040209897	A1	20041021	US 2003-742072	20031219 <--
EP 1572693	A1	20050914	EP 2003-814268	20031219 <--
R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK	
BR 2003017430	A	20051025	BR 2003-17430	20031219 <--
CN 1747949	A	20060315	CN 2003-80109626	20031219 <--
JP 2006514043	T	20060427	JP 2004-563888	20031219 <--
ZA 2005004898	A	20061129	ZA 2005-4898	20031219 <--
MX 2005006569	A	20050922	MX 2005-6569	20050617 <--
US 20080113971	A1	20080515	US 2007-958229	20071217 <--
PRIORITY APPLN. INFO.:			US 2002-434962P	P 20021220 <--
			US 2003-742494	A1 20031219 <--
			WO 2003-US40811	W 20031219 <--

OTHER SOURCE(S): MARPAT 141:123608  
 ED Entered STN: 15 Jul 2004  
 GI



AB The title compds. [I; Z1, Z3, Z4 = C, N; Z2, Z5 = C, N, S, O, and join together with Z1, Z3 and Z4 to form a ring that is selected from a pyrrole, furan, thiophene, oxazole, thiazole, triazole, and imidazole; when either Z2, or Z5 = O or S, it has no substituent group; when Z1-Z5 form an imidazole ring, Z1 = C and if Z2 and Z5 = N, one is unsubstituted and Z3 and Z4 = C, if Z3 and Z5 = N, Z5 is unsubstituted and Z2 and Z4 = C, and if Z2 and Z4 = N, Z2 is unsubstituted and Z3 and Z5 = C; when Z1-Z5 form an oxazole or thiazole ring, Z1, Z3 and Z4 = C and one of Z2 and Z5 = N that is unsubstituted; when Z1-Z5 form a triazole ring, Z2 and Z5 = N that is unsubstituted; T = C, N; p = 0-3; X = C, S; Ra = (un)substituted 5-6 membered hetero(aryl) or partially unsatd. 5-6 membered ring; R2, R5, R50-R53, R56 = absent, H, alkyl, aryl, etc.; R54, R55 = oxo, absent] which inhibit mitogen activated protein kinase-activated protein kinase-2 (MK-2), were prepared Thus, reacting 2-(2-chloropyridin-4-yl)-1,5,6,7-tetrahydro-4H-pyrrolo[3,2-c]pyridin-4-one (preparation given) with 3-thiopheneboronic acid in the presence of Cs2CO3, Pd(PPh3)4 in DMF afforded 57% II.TFA. The compds. I were tested for MK-2 inhibition activity (biol. data given for over 800 compds). Methods of using compds. I for the inhibition of MK-2, and for the prevention or treatment of a disease or disorder that is mediated by TNF $\alpha$ , are described, where the method involves administering to the subject an MK-2 inhibiting compound I. Therapeutic compns., pharmaceutical compns. and kits which contain the present MK-2 inhibiting compds. I are also described. [This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IC ICM C07D471-06

CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

IT	724729-62-8P	724729-63-9P	724729-64-0P	724729-65-1P	724729-66-2P
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724732-10-9P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of pyrrolopyridinones as mitogen activated protein  
kinase-activated protein kinase-2 inhibiting compds. for preventing or  
treating a TNF $\alpha$  mediated diseases)

IT 724730-57-8P 724730-68-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

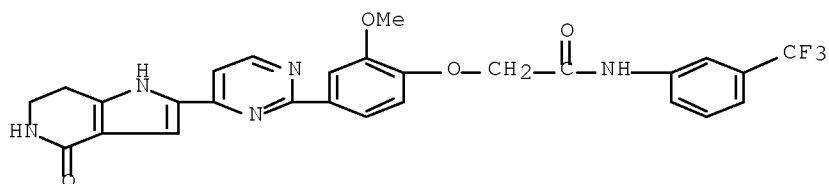
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of pyrrolopyridinones as mitogen activated protein  
kinase-activated protein kinase-2 inhibiting compds. for preventing or  
treating a TNF $\alpha$  mediated diseases)

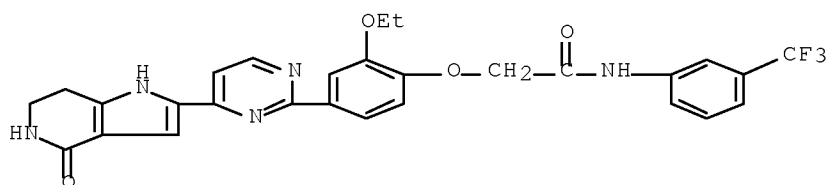
RN 724730-57-8 HCAPLUS

CN Acetamide, 2-[2-methoxy-4-[4-(4,5,6,7-tetrahydro-4-oxo-1H-pyrrolo[3,2-c]pyridin-2-yl)-2-pyrimidinyl]phenoxy]-N-[3-(trifluoromethyl)phenyl]- (CA  
INDEX NAME)



RN 724730-68-1 HCAPLUS

CN Acetamide, 2-[2-ethoxy-4-[4-(4,5,6,7-tetrahydro-4-oxo-1H-pyrrolo[3,2-c]pyridin-2-yl)-2-pyrimidinyl]phenoxy]-N-[3-(trifluoromethyl)phenyl]- (CA  
INDEX NAME)





OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

L125 ANSWER 8 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2004:493691 HCAPLUS Full-text  
 DOCUMENT NUMBER: 141:54347  
 TITLE: A preparation of heterocyclic non-nucleoside reverse  
 transcriptase inhibitors, useful for the treatment of  
 HIV-1  
 INVENTOR(S): Simoneau, Bruno; Thavonekham, Bounkham; Landry, Serge;  
 O'Meara, Jeffrey; Yoakim, Christiane; Faucher,  
 Anne-Marie  
 PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany  
 SOURCE: PCT Int. Appl., 102 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

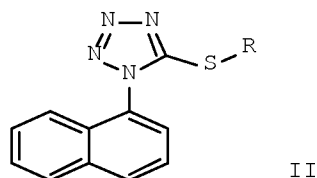
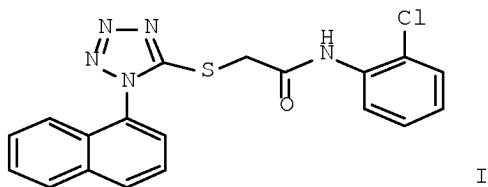
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050643	A2	20040617	WO 2003-CA1870	20031201 <--
WO 2004050643	A3	20040910		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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US 20050054639	A1	20050310	US 2003-719369	20031121 <--
US 7642277	B2	20100105		
CA 2505033	A1	20040617	CA 2003-2505033	20031201 <--
AU 2003287806	A1	20040623	AU 2003-287806	20031201 <--
EP 1569919	A2	20050907	EP 2003-779603	20031201 <--
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CN 1720043	A	20060111	CN 2003-80105164	20031201 <--
JP 2006514936	T	20060518	JP 2004-555920	20031201 <--
ZA 2005003960	A	20061129	ZA 2005-3960	20050517 <--
IN 2005DN02266	A	20070406	IN 2005-DN2266	20050527 <--
MX 2005005871	A	20050829	MX 2005-5871	20050602 <--
HR 2005000502	A2	20060731	HR 2005-502	20050603 <--
NO 2005002712	A	20050627	NO 2005-2712	20050606 <--
PRIORITY APPLN. INFO.:			US 2002-430796P	P 20021204 <--
			WO 2003-CA1870	W 20031201 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 141:54347

ED Entered STN: 18 Jun 2004

GI



AB The invention relates to heterocyclic compds. of formula Ar1-X-W-Ar2 [wherein: Ar1 is (un)substituted 5- or 6-membered aromatic heterocycle containing N, O, or S; Ar2 is (un)substituted Ph or pyridine derivative; X is a heteroatom (O, S, S(O), or SO<sub>2</sub>, etc.), a valence bond or an optionally substituted divalent methylene, etc.; W is a divalent alkylene or (un)substituted alkyleneamido, amido, or oxy radicals, etc.], useful for the treatment of HIV-1. The invention compds. were screened in reverse transcriptase assays (enzymic assay, P24 cellular assay, and C8166 HIV-1 Luciferase assay). The compds. have inhibitory activity against Wild Type (WT) and single or double mutant strains of HIV. For instance, tetrazole derivative I (WT IC<sub>50</sub> < 50 nM; K103N/Y181C EC<sub>50</sub> > 100 nM) was prepared via heterocyclization of 1-naphthalenylisothiocyanate with NaN<sub>3</sub>, acetylation of the obtained tetrazolethione derivative II (R = H), and subsequent amidation of the obtained carboxylic acid II (R = CH<sub>2</sub>CO<sub>2</sub>H) by o-chloroaniline (example 1, entry 208).

IC ICM C07D257-00

CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 63

IT	215655-59-7P	296772-85-5P	296801-21-3P	303065-42-1P	310456-59-8P
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705971-52-4P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of heterocyclic non-nucleoside reverse transcriptase inhibitors)

IT 705969-15-9P 705970-72-5P 705970-73-6P  
705970-80-5P 705970-82-7P 705970-83-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

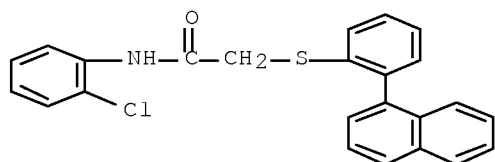
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of heterocyclic non-nucleoside reverse transcriptase inhibitors)

RN 705969-15-9 HCAPLUS

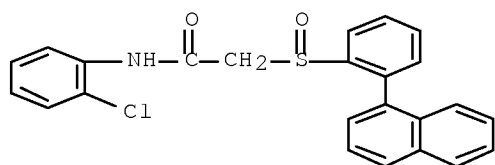
CN Acetamide, N-(2-chlorophenyl)-2-[[2-(1-naphthalenyl)phenyl]thio]- (CA INDEX NAME)



RN 705970-72-5 HCAPLUS

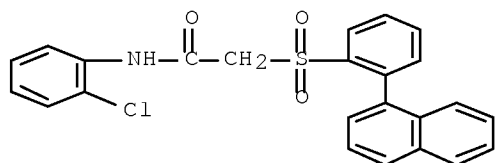
CN Acetamide, N-(2-chlorophenyl)-2-[[2-(1-naphthalenyl)phenyl]sulfinyl]- (CA INDEX NAME)

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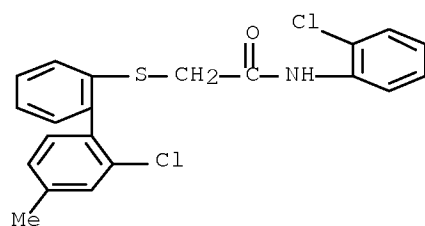
RN 705970-73-6 HCAPLUS

CN Acetamide, N-(2-chlorophenyl)-2-[[2-(1-naphthalenyl)phenyl]sulfonyl]- (CA INDEX NAME)



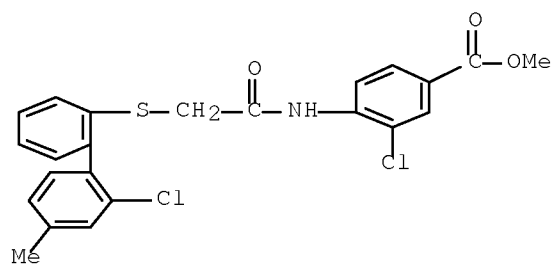
RN 705970-80-5 HCAPLUS

CN Acetamide, 2-[(2'-chloro-4'-methyl[1,1'-biphenyl]-2-yl)thio]-N-(2-chlorophenyl)- (CA INDEX NAME)

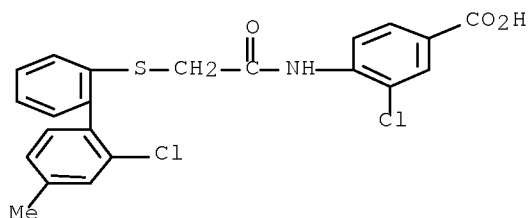


RN 705970-82-7 HCAPLUS

CN Benzoic acid, 3-chloro-4-[[2-[(2'-chloro-4'-methyl[1,1'-biphenyl]-2-yl)thio]acetyl]amino]-, methyl ester (CA INDEX NAME)



RN 705970-83-8 HCAPLUS  
 CN Benzoic acid, 3-chloro-4-[[2-[(2'-chloro-4'-methyl[1,1'-biphenyl]-2-yl)thio]acetyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD  
 (10 CITINGS)  
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 9 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:390211 HCAPLUS Full-text

DOCUMENT NUMBER: 140:406638

TITLE: Preparation of arylamides as melanin concentrating hormone (MCH) receptor antagonists.

INVENTOR(S): Stenkamp, Dirk; Mueller, Stephan Georg; Roth, Gerald  
 Juergen; Lustenberger, Philipp; Rudolf, Klaus;  
 Lehmann-Lintz, Thorsten; Arndt, Kirsten; Lotz, Ralf R.  
 H.; Lenter, Martin; Wieland, Heike-Andrea

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma GmbH & Co. Kg, Germany; et  
 al.

SOURCE: PCT Int. Appl., 276 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004039764	A1	20040513	WO 2003-EP11933	20031028 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10250743	A1	20040519	DE 2002-10250743	20021031 <--
CA 2504207	A1	20040513	CA 2003-2504207	20031028 <--
AU 2003285306	A1	20040525	AU 2003-285306	20031028 <--
EP 1558567	A1	20050803	EP 2003-778292	20031028 <--
EP 1558567	B1	20090624		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR 2003015797	A	20050913	BR 2003-15797	20031028 <--
CN 1708476	A	20051214	CN 2003-80102236	20031028 <--
JP 2006504761	T	20060209	JP 2004-547576	20031028 <--
AT 434601	T	20090715	AT 2003-778292	20031028 <--
ES 2327329	T3	20091028	ES 2003-778292	20031028 <--
US 20040152742	A1	20040805	US 2003-699089	20031031 <--
US 7351719	B2	20080401		
ZA 2005001164	A	20061025	ZA 2005-1164	20050209 <--
NO 2005000745	A	20050523	NO 2005-745	20050211 <--
MX 2005002865	A	20050527	MX 2005-2865	20050315 <--
IN 2005DN01643	A	20090515	IN 2005-DN1643	20050421 <--
HR 2005000383	A2	20060831	HR 2005-383	20050429 <--
PRIORITY APPLN. INFO.:			DE 2002-10250743	A 20021031 <--
			US 2003-456482P	P 20030321 <--
			WO 2003-EP11933	W 20031028 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:406638

ED Entered STN: 13 May 2004

AB R1R2NXYZNR3COWABb [R1, R2 = H, (substituted) alkyl, cycloalkyl, heterocyclyl, Ph, pyridyl; R1R2 = alkylene optionally interrupted by CH:N, CH:CH, O, S, SO, SO2, CO, imino, etc.; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl; X = alkylene optionally interrupted by CH:CH, C.tplbond.C, O, S, SO, SO2, CO, imino; W = CR6aR6bO, CR7a:CR7c, etc.; Z = bond, (fused) (alkyl-substituted) alkylene; Y, A, B = Cy; b = 0, 1; Cy = (substituted) (unsatd.) carbocyclyl, Ph, (aromatic) heterocyclyl; R6a, R6b = H, alkyl, CF3; R7a, R7c = H, F, Cl, alkyl, CF3; with provisos and specific exceptions], were prepared for treatment of obesity, diabetes, heart failure, arteriosclerosis, hypertension, arthritis, mastocytosis, depression, anxiety, etc. Thus, Me aminoacetate hydrochloride, Et3N, and N-[3-chloro-4-(2-oxoethoxy)phenyl]-2-(2,4-dichlorophenoxy)acetamide in CH2Cl2/THF were treated with NaBH(OAc)3 followed by stirring for 3 h to give 78% Me [2-[2-chloro-4-[2-(2,4-dichlorophenoxy)acetylaminophenoxy]ethylamino]acetate. Tested title compds. bound to MCH-1 receptors with IC50 = 17-41 nM.

IC ICM C07C233-29

ICS C07C235-24; C07C237-04; C07C255-60; C07D207-08; C07D207-20;  
C07D209-08; C07D211-62; C07D213-30; C07D213-56; C07D295-08;  
C07D295-12; C07D307-42; C07D333-16; A61K031-16; A61K031-33;  
A61P003-00

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1

IT 742085-44-5	1026711-83-0	1064158-95-7	1064158-96-8	1064158-97-9
1064158-98-0	1064159-01-8	1064159-02-9	1064159-03-0	1064159-04-1
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1064160-13-9	1064160-15-1	1064160-16-2	<u>1064160-17-3</u>	
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1064160-76-4	1064160-77-5	1064160-78-6	1064160-79-7	1064160-82-2
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1064161-03-0	1064161-04-1	1064161-05-2	1064161-06-3	

RL: PRPH (Prophetic)

(Preparation of arylamides as melanin concentrating hormone (MCH) receptor antagonists.)

IT	689299-35-2P	689299-36-3P	689299-37-4P	689299-38-5P	689299-39-6P
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	689299-54-5P	<u>689299-55-6P</u>	<u>689299-56-7P</u>		
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	689299-76-1P	689299-77-2P	689299-78-3P	689299-79-4P	689299-80-7P
	689299-81-8P	689299-82-9P	689299-83-0P		

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(claimed compound; preparation of arylamides as melanin concentrating hormone (MCH)

receptor antagonists)

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	689301-34-6P	689301-35-7P	689301-36-8P	<u>689301-37-9P</u>	
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	689301-50-6P	689301-51-7P	689301-52-8P	689301-53-9P	689301-54-0P
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	689301-90-4P	689301-91-5P	689301-92-6P	<u>689301-93-7P</u>	
	<u>689301-94-8P</u>	<u>689301-95-9P</u>	<u>689301-96-0P</u>		
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	689302-10-1P	689302-11-2P	689302-12-3P	689302-13-4P	

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<u>689302-14-5P</u>	<u>689302-15-6P</u>	<u>689302-16-7P</u>		
<u>689302-17-8P</u>	<u>689302-18-9P</u>	<u>689302-19-0P</u>		
<u>689302-20-3P</u>	<u>689302-21-4P</u>	<u>689302-22-5P</u>		
<u>689302-23-6P</u>	<u>689302-24-7P</u>	<u>689302-25-8P</u>	<u>689302-26-9P</u>	
<u>689302-27-0P</u>	<u>689302-28-1P</u>	<u>689302-29-2P</u>	<u>689302-30-5P</u>	<u>689302-32-7P</u>
<u>689302-33-8P</u>	<u>689302-34-9P</u>	<u>689302-35-0P</u>	<u>689302-36-1P</u>	<u>689302-37-2P</u>
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of arylamides as melanin concentrating hormone (MCH) receptor antagonists)

IT	<u>1064160-17-3</u>	<u>1064160-18-4</u>	<u>1064160-20-8</u>
	<u>1064160-21-9</u>	<u>1064160-37-7</u>	<u>1064160-38-8</u>
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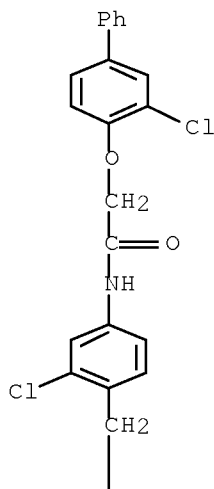
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(Preparation of arylamides as melanin concentrating hormone (MCH) receptor antagonists.)

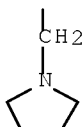
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CN Acetamide, 2-[(3-chloro[1,1'-biphenyl]-4-yl)oxy]-N-[3-chloro-4-[2-(1-pyrrolidinyl)ethyl]phenyl]- (CA INDEX NAME)

PAGE 1-A

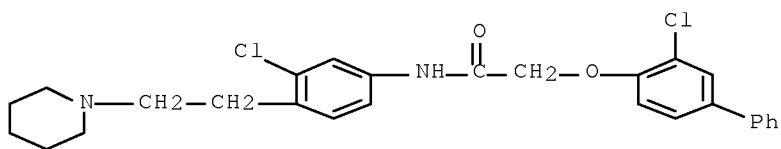






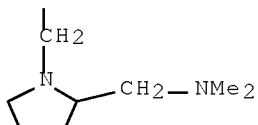
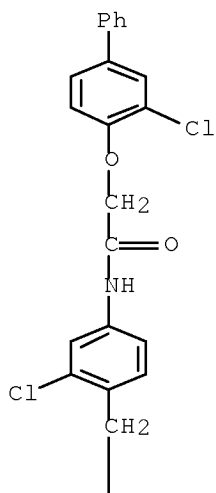
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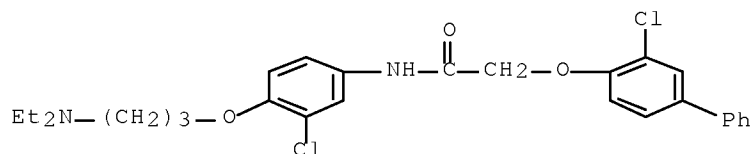
RN 1064160-20-8 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



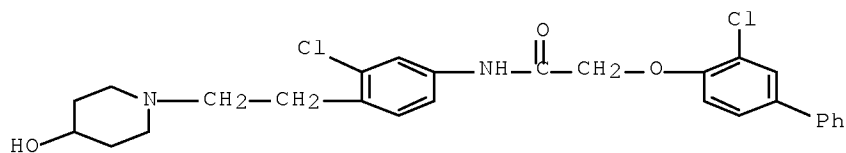
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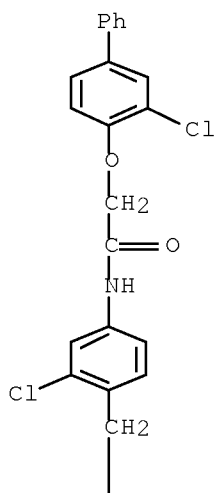
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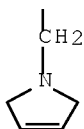


RN 1064160-38-8 HCAPLUS

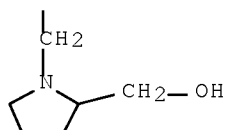
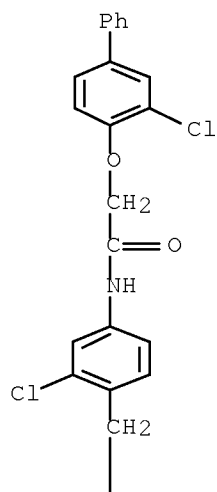
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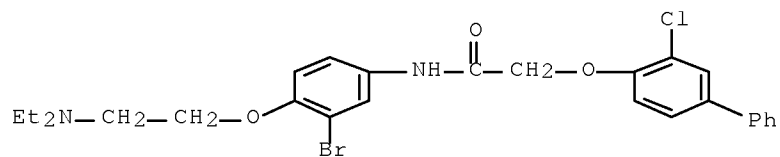
PAGE 1-A



RN 1064160-39-9 HCAPLUS  
 CN INDEX NAME NOT YET ASSIGNED



RN 1064160-40-2 HCAPLUS  
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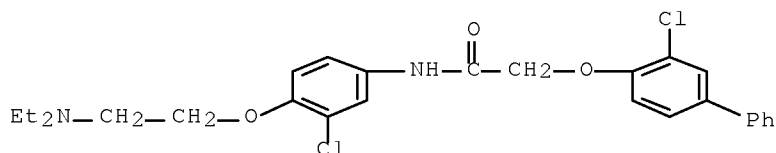
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(claimed compound; preparation of arylamides as melanin concentrating  
 hormone (MCH)  
 receptor antagonists)

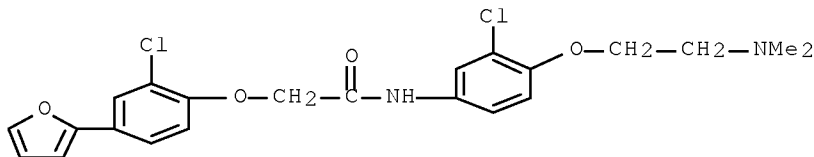
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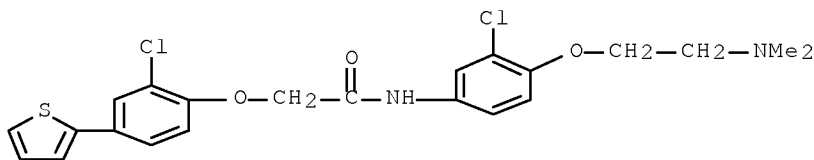
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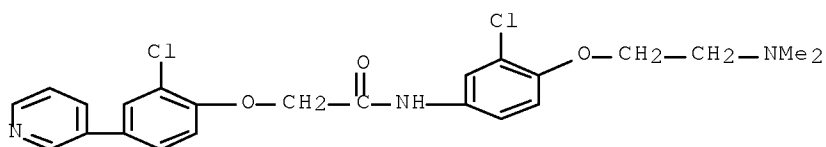
RN 689299-56-7 HCAPLUS

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RN 689299-57-8 HCAPLUS

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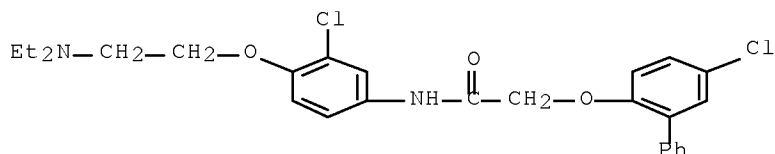
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689301-95-9P 689301-96-0P 689301-97-1P  
689302-14-5P 689302-15-6P 689302-16-7P  
689302-19-0P 689302-20-3P 689302-21-4P  
689302-23-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
TNU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(preparation of arylamides as melanin concentrating hormone (MCH) receptor  
 antagonists)

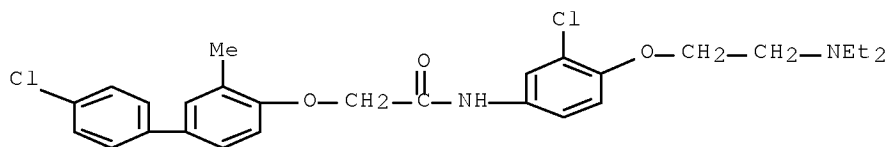
RN 689301-37-9 HCAPLUS

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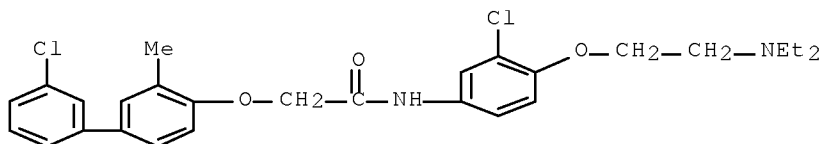
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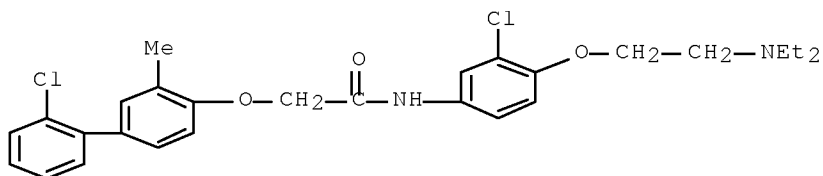
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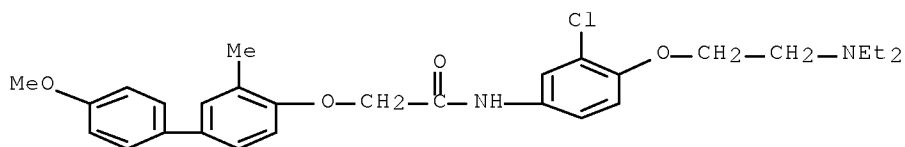
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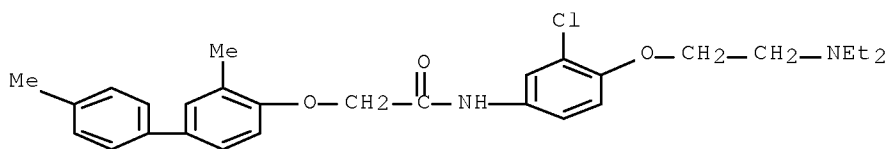
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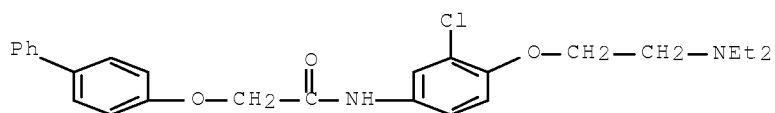
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RN 689302-14-5 HCAPLUS

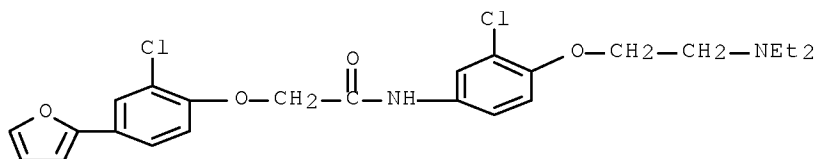
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10/569,873

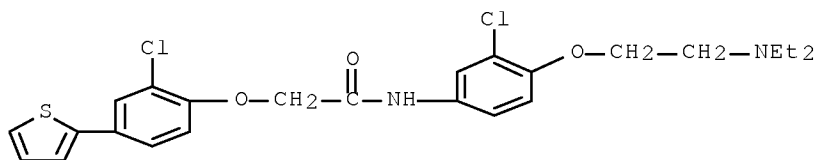
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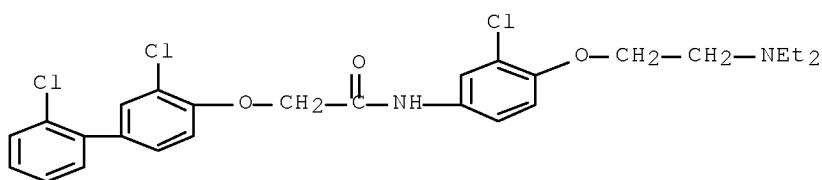
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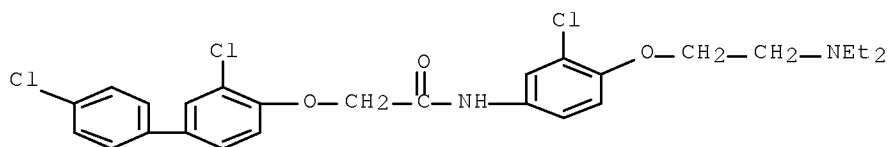
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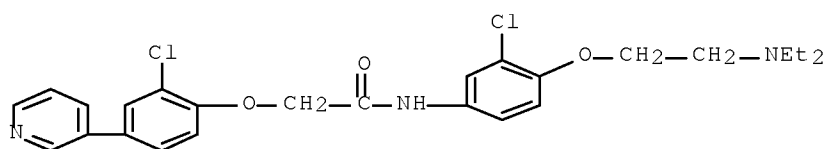
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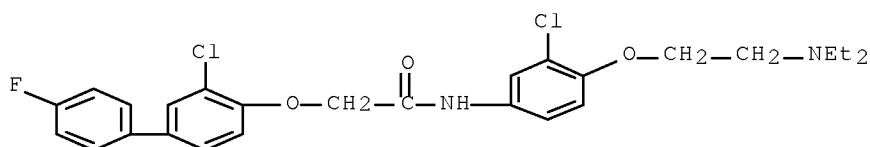


10/569,873

RN 689302-21-4 HCAPLUS  
 CN Acetamide, N-[3-chloro-4-[2-(diethylamino)ethoxy]phenyl]-2-[2-chloro-4-(3-pyridinyl)phenoxy]- (CA INDEX NAME)



RN 689302-23-6 HCAPLUS  
 CN Acetamide, N-[3-chloro-4-[2-(diethylamino)ethoxy]phenyl]-2-[(3-chloro-4'-fluoro[1,1'-biphenyl]-4-yl)oxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD  
 (6 CITINGS)  
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 10 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2004:220337 HCAPLUS Full-text  
 DOCUMENT NUMBER: 140:270878  
 TITLE: Kinase-modulating  
 6-aryl-imidazo[1,2-a]pyrazin-8-ylamines, method of  
 their preparation, and method of their use, e.g.,  
 against cancer cells  
 INVENTOR(S): Desimone, Robert W.; Pippin, Douglas A.; Darrow, James  
 W.; Mitchell, Scott A.; Currie, Kevin S.  
 PATENT ASSIGNEE(S): Cellular Genomics, Inc., USA  
 SOURCE: PCT Int. Appl., 74 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022562	A1	20040318	WO 2003-US28329	20030909 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,				
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,				
TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				



RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
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AU 2003270489 A1 20040329 AU 2003-270489 20030909 <--  
 US 20040067951 A1 20040408 US 2003-658121 20030909 <--  
 US 7312341 B2 20071225

PRIORITY APPLN. INFO.: US 2002-409161P P 20020909 <--  
 WO 2003-US28329 W 20030909 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:270878

ED Entered STN: 19 Mar 2004

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1 = H, cycloalkylmethyl, (hetero)(cyclo)alkyl, sulfonamide, alkoxy, alkoxyalkoxy, alkoxyalkyl, (di)(alkyl)amino(alkyl), (un)substituted Ph or heteroaryl; R2 = (hetero)(cyclo)alkyl, cycloalkylmethyl, alkoxy, alkoxyalkoxy, alkoxyalkyl, (di)(alkyl)amino(alkyl), (un)substituted Ph, heteroaryl, phenoxyphenyl, phenyl- or heteroarylpiperazine; R3 = H, CO<sub>2</sub>H or esters, (hetero)(cyclo)alkyl, (un)substituted Ph, heteroaryl, phenoxyphenyl, phenyl- or heteroarylpiperazine; R4 = H, (hetero)(cyclo)alkyl, alkoxyalkyl, (un)substituted Ph, heteroaryl, phenoxyphenyl, phenyl- or heteroarylpiperazine; X = N or CH; Z1 = bond, CO, (un)substituted CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>, CONH; Z2 = bond, CO, (un)substituted CH<sub>2</sub>NHCONH, NHCONHCH<sub>2</sub>, CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>, CONH, NHCO, NHCONH, SO<sub>2</sub>NH, NHSO<sub>2</sub>; some substituents may be linked; with provisos] and their pharmaceutically acceptable salts, hydrates, solvates, crystal forms, diastereomers, prodrugs, or mixts., are disclosed. Compds. I are of particular utility in the treatment of kinase-implicated disorders. A list of 91 invention compds. is given in examples, and the compds. are individually claimed. A general preparatory method starting from 3,5-dibromo-2-aminopyrazine is given; the steps include (among others) cyclocondensation with  $\alpha$ -bromo aldehydes, monoaminolysis of the resultant 6,8-dibromoimidazopyrazines, Pd-catalyzed arylation of the obtained 8-amino-6-bromoimidazopyrazines, and reaction of 6-(aminophenyl)imidazolpyrazines with Ph isocyanate derivs. to form ureas. An exemplary invention compound is II. In tests against human cancer cell lines, including one over-expressing transfected human myrAKT-1 kinase gene (AKT-1 kinase), exemplified compds. I had IC<sub>50</sub> values  $\leq$  25  $\mu$ M.

IC ICM C07D487-04

ICS C07D519-00; A61K031-4985; A61P035-02; A61P037-00

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))  
 Section cross-reference(s): 1

IT 618454-80-1P, 1-(4-Chlorophenyl)-3-[3-(8-phenylaminoimidazo[1,2-a]pyrazin-6-yl)phenyl]urea 618454-86-7P, 1-(4-Chlorophenyl)-3-[3-[8-(4-chlorophenylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 618454-91-4P, 1-(4-Chlorophenyl)-3-[3-[8-(3-chlorophenylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 618455-30-4P, 4-[6-[3-[3-(4-Chlorophenyl)ureido]phenyl]imidazo[1,2-a]pyrazin-8-ylamino]benzoic acid ethyl ester 618455-54-2P, 1-[4-[8-(2-Methoxybenzylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-phenylurea 618455-60-0P, (2-Methoxybenzyl)[6-[3-(4-methoxybenzylamino)phenyl]imidazo[1,2-a]pyrazin-8-yl]amine 618455-66-6P, 1-(2-Chlorophenyl)-3-[4-[8-(2-methoxybenzylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 618455-69-9P, 1-[4-[8-(2-Methoxybenzylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(2-methoxyphenyl)urea 618455-71-3P,

1-[4-[8-(2-Methoxybenzylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-methoxyphenyl)urea 618455-73-5P,  
 4-[6-[4-(Piperidine-1-carbonyl)phenyl]imidazo[1,2-a]pyrazin-8-ylamino]benzoic acid ethyl ester 618455-75-7P,  
 4-[6-[3-[3-(2-Methylsulfanylphenyl)ureido]phenyl]imidazo[1,2-a]pyrazin-8-ylamino]benzoic acid ethyl ester 618455-77-9P,  
 [4-[8-(4-Chlorophenylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]piperidin-1-ylmethanone 618455-84-8P, 1-(3-Chloro-4-fluorophenyl)-3-[3-(8-phenylaminoimidazo[1,2-a]pyrazin-6-yl)phenyl]urea 618455-86-0P,  
 1-[3-(8-Phenylaminoimidazo[1,2-a]pyrazin-6-yl)phenyl]-3-(3-trifluoromethylphenyl)urea 618455-88-2P,  
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 1-(3-Chloro-4-fluorophenyl)-3-[3-[8-(2-chlorophenylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673856-56-9P,  
 1-[4-[8-[(3-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(2-chlorophenyl)urea 673856-57-0P,  
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 1-[4-[8-[(3-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(2-methoxyphenyl)urea 673856-59-2P,  
 1-[4-[8-[(3-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(4-chlorophenyl)urea 673856-60-5P,  
 1-[3-[8-[(4-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(4-chlorophenyl)urea 673856-61-6P,  
 1-[4-[8-[(3-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(4-trifluoromethylphenyl)urea 673856-62-7P,  
 1-[4-[8-[(3-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(4-trifluoromethoxyphenyl)urea 673856-63-8P,  
 1-[3-[8-[(4-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(2-methylsulfanylphenyl)urea 673856-64-9P,  
 1-[3-[8-[Methyl(4-methylbenzyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(2-methylsulfanylphenyl)urea 673856-65-0P,  
 1-(4-Chlorophenyl)-3-[3-[8-[methyl(4-methylbenzyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673856-66-1P,  
 1-[3-[8-[Methyl(4-methylbenzyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-o-tolylurea 673856-67-2P, 1-(4-Chlorophenyl)-3-[3-[8-(3,4-dihydro-1H-isoquinolin-2-yl)imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673856-68-3P,  
 1-[3-[8-(3,4-Dihydro-1H-isoquinolin-2-yl)imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(2-methylsulfanylphenyl)urea 673856-69-4P,  
 1-[3-[8-(3,4-Dihydro-1H-isoquinolin-2-yl)imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-o-tolylurea 673856-70-7P,  
 1-[3-[8-(3,4-Dihydro-1H-isoquinolin-2-yl)imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(2-methoxyphenyl)urea 673856-71-8P,  
 8-[(4-Chlorobenzyl)methylamino]-6-[3-[3-(2-trifluoromethylphenyl)ureido]phenyl]imidazo[1,2-a]pyrazine-3-carboxylic acid ethyl ester 673856-72-9P, 8-[(4-Chlorobenzyl)methylamino]-6-[3-(3-o-tolylureido)phenyl]imidazo[1,2-a]pyrazine-3-carboxylic acid ethyl ester 673856-73-0P, 8-[(4-Chlorobenzyl)methylamino]-6-[3-[3-(4-chlorophenyl)ureido]phenyl]imidazo[1,2-a]pyrazine-3-carboxylic acid ethyl ester 673856-74-1P, 1-(3-Chloro-4-fluorophenyl)-3-[3-[8-[methyl(4-methylbenzyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673856-75-2P,  
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1-[3-[8-[(4-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]benzyl]-3-(4-chlorophenyl)urea ~~673856-77-4P~~,  
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 1-[3-[8-[Methyl(pyridin-4-ylmethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-trifluoromethylphenyl)urea ~~673856-98-9P~~,  
 1-(4-Chlorobenzyl)-3-[3-[8-[(4-chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673856-99-0P,  
 1-[3-[8-[(4-Chlorobenzyl)(2-methoxyethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(4-chlorophenyl)urea 673857-00-6P,  
 1-[3-[8-[(4-Chlorobenzyl)(2-methoxyethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-trifluoromethylphenyl)urea 673857-01-7P,  
 1-[3-[8-[(4-Chlorobenzyl)(2-methoxyethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(4-chloro-3-fluorophenyl)urea 673857-02-8P,  
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 N-[3-[8-[(4-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]benzenesulfonamide 673857-04-0P,  
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 4-Chloro-N-[3-[8-[(4-chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]benzamide 673857-06-2P,  
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 [4-[8-[(3-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-

yl]phenyl]piperidin-1-ylmethanone 673857-08-4P,  
 [4-[8-[(4-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]piperidin-1-ylmethanone 673857-09-5P,  
 3-Methoxy-N-[3-[8-(2-methoxybenzylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]benzamide 673857-10-8P,  
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 1-(3-Chloro-4-fluorophenyl)-3-[3-[8-[(pyridin-3-ylmethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673857-15-3P,  
 1-(4-Chlorophenyl)-3-[3-[8-[(pyridin-4-ylmethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673857-16-4P,  
 1-[3-[8-[(Pyridin-4-ylmethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-trifluoromethylphenyl)urea 673857-17-5P,  
 1-(3-Chloro-4-fluorophenyl)-3-[3-[8-[(pyridin-4-ylmethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673857-18-6P,  
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 1-(4-Chlorophenyl)-3-[3-[8-[(pyridin-2-ylmethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673857-21-1P,  
 1-[3-[8-[(Pyridin-2-ylmethyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-trifluoromethylphenyl)urea 673857-22-2P,  
 1-(2-Methoxy-6-methylphenyl)-3-[3-[8-(pyridin-4-ylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673857-23-3P,  
 1-(2-Methoxy-5-methylphenyl)-3-[3-(8-phenylaminoimidazo[1,2-a]pyrazin-6-yl)phenyl]urea 673857-24-4P, 1-[3-[8-(3-Chlorophenylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(2-methoxy-5-methylphenyl)urea 673857-25-5P,  
 1-[3-[8-(2-Chlorophenylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(2-methoxy-5-methylphenyl)urea 673857-26-6P,  
 1-[3-[8-(Pyridin-3-ylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]-3-(3-trifluoromethylphenyl)urea 673857-27-7P,  
 1-(3-Chloro-4-fluorophenyl)-3-[3-[8-(pyridin-3-ylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]urea 673857-29-9DP,  
 1-[4-(8-Aminoimidazo[1,2-a]pyrazin-6-yl)phenyl]-3-phenylurea, derivs.

RL: FAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(drug candidate; preparation of arylimidazopyrazinylamines as kinase modulators)

IT 673856-76-3P, 1-[3-[8-[(4-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]benzyl]-3-(4-chlorophenyl)urea 673856-77-4P,  
 1-[3-[8-[(4-Chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]benzyl]-3-(3-chloro-4-fluorophenyl)urea 673856-98-9P,  
 1-(4-Chlorobenzyl)-3-[3-[8-[(4-chlorobenzyl)methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]urea

RL: FAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

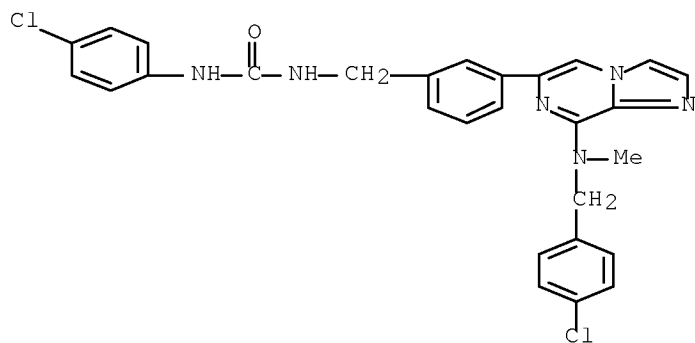
(Preparation); USES (Uses)

(drug candidate; preparation of arylimidazopyrazinylamines as kinase modulators)

RN 673856-76-3 HCAPLUS

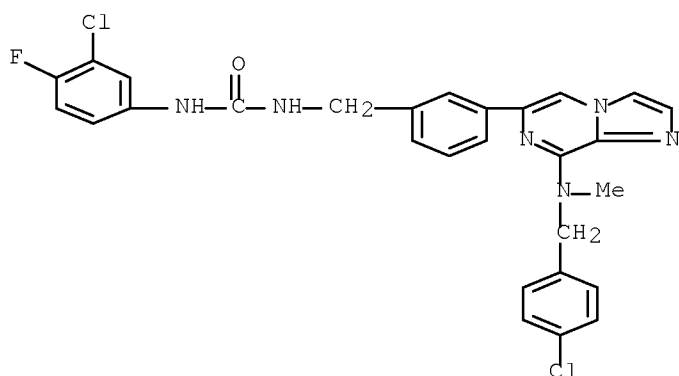
CN Urea, N-(4-chlorophenyl)-N'-[[3-[8-[(4-chlorophenyl)methyl]methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]methyl]-  
 (CA INDEX NAME)

10/569,873



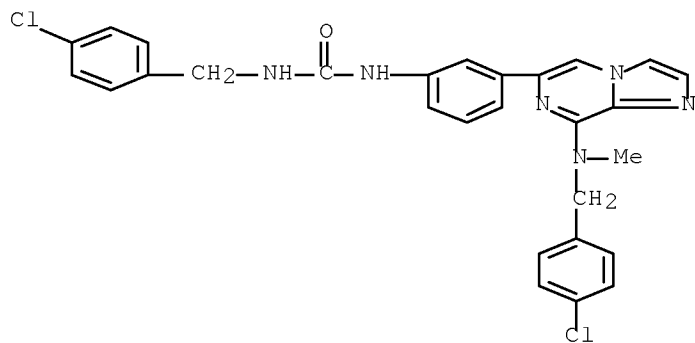
RN 673856-77-4 HCAPLUS

CN Urea, N-(3-chloro-4-fluorophenyl)-N'-[[3-[8-[[4-chlorophenyl)methyl]methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]methyl]- (CA INDEX NAME)



RN 673856-98-9 HCAPLUS

CN Urea, N-[(4-chlorophenyl)methyl]-N'-[3-[8-[[4-chlorophenyl)methyl]methylamino]imidazo[1,2-a]pyrazin-6-yl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD  
(8 CITINGS)  
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 11 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2003:971891 HCAPLUS Full-text  
DOCUMENT NUMBER: 140:13098  
TITLE: Pharmaceutically active compounds having a tricyclic  
pyrazolotriazolopyrimidine ring structure and methods  
of use  
INVENTOR(S): Baraldi, Pier Giovanni; Borea, Pier Andrea  
PATENT ASSIGNEE(S): King Pharmaceuticals Research & Development, Inc., USA  
SOURCE: PCT Int. Appl., 80 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101455	A2	20031211	WO 2003-US17313	20030530 <--
WO 2003101455	A3	20040521		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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AU 2003245380	A1	20031219	AU 2003-245380	20030530 <--
US 20040039004	A1	20040226	US 2003-452788	20030530 <--
US 7064204	B2	20060620		
BR 2003004963	A	20040928	BR 2003-4963	20030530 <--
EP 1549319	A2	20050706	EP 2003-739019	20030530 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2005527635	T	20050915	JP 2004-508812	20030530 <--
MX 2004000908	A	20040326	MX 2004-908	20040129 <--
ZA 2004000784	A	20050503	ZA 2004-784	20040130 <--
PRIORITY APPLN. INFO.:			US 2002-384809P	P 20020530 <--
			WO 2003-US17313	W 20030530 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:13098

ED Entered STN: 14 Dec 2003

AB Tricyclic pyrazolotriazolopyrimidines which possess antagonistic activity for adenosine receptors may be useful for modulating biol. function in the nervous, cardiovascular, renal, respiratory and immune systems. General synthetic schemes and examples of formulations for the compds. are presented.

ICM A61K031-519

ICS C07D487-14; A61P025-00

CC 1-12 (Pharmacology)

Section cross-reference(s): 28, 63

IT 512845-14-6P 512845-17-9P 512845-20-4P 512845-28-2P 512845-31-7P

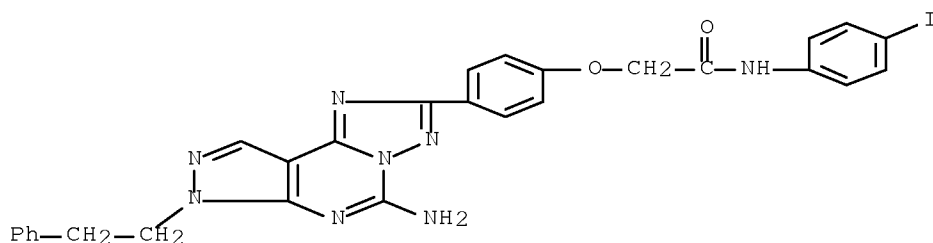
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512846-20-7P	512846-24-1P	512846-26-3P	512846-28-5P	512846-32-1P
512846-34-3P	512846-36-5P	512846-38-7P	631842-40-5P	

(tricyclic pyrazolotriazolopyrimidines with antagonistic activity for adenosine receptors)

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(tricyclic pyrazolotriazolopyrimidines with antagonistic activity for adenosine receptors)

CN Acetamide, 2-[4-[5-amino-7-(2-phenylethyl)-7H-pyrazolo[4,3-  
e][1,2,4]triazolo[1,5-c]pyrimidin-2-yl]phenoxy]-N-(4-iodophenyl)- (CA  
INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TITLE: Preparation of 8-arylquinoline PDE4 inhibitors  
INVENTOR(S): Gallant, Michel; Lacombe, Patrick; Dube, Daniel;  
Deschenes, Denis; MacDonald, Dwight; Dube, Laurence  
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078397	A1	20030925	WO 2003-CA374	20030317 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,			

10/569,873

UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 CA 2479069 A1 20030925 CA 2003-2479069 20030317 <--  
 AU 2003209896 A1 20030929 AU 2003-209896 20030317 <--  
 EP 1487797 A1 20041222 EP 2003-744288 20030317 <--  
 EP 1487797 B1 20090527  
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 US 20050245513 A1 20051103 US 2004-508261 20040917 <--  
 US 7144896 B2 20061205

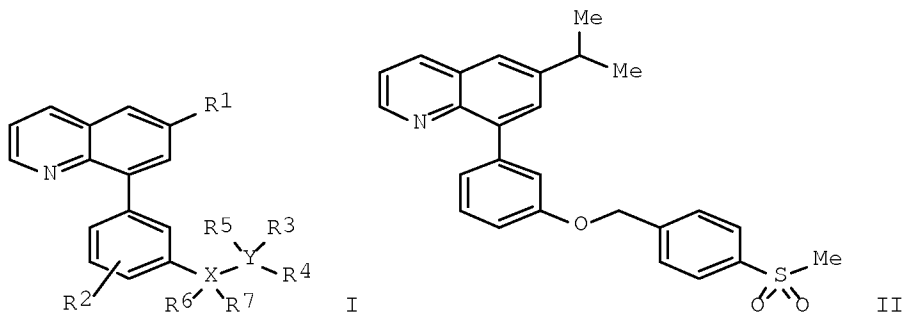
PRIORITY APPLN. INFO.: US 2002-365088P P 20020318 <--  
 WO 2003-CA374 W 20030317 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:276825

ED Entered STN: 26 Sep 2003

GI



AB Title compds. I [wherein R1 = H, halo, or (un)substituted alkanoyl, (cyclo)alkyl, alkenyl, alkoxy, (hetero)aryl, CN, heterocycloalkyl, carbamoyl, sulfamoyl, etc.; R2 = H, halo, OH, or (un)substituted alkyl or alkoxy; R3 = absent or H, CO2H, or (un)substituted (cycloalkyl)alkyl, alkanoyl, benzoyl, carbamoyl, etc.; R4 = (un)substituted Ph, pyrazolopyrimidinyl, benzothiazolyl, quinazolinyl, or heteroaryl; R5 = absent or H; R6 = absent, H, or alkyl; R7 = absent or H; X = O, S, N, C, or CO; wherein when X = O, S, or CO, then R6 and R7 are absent and when X = N, then R7 is absent; Y = C, S, N, SO2, O, or CO; wherein when Y = S, SO2, O, or CO, then R3 and R5 are absent and when Y = N, then R5 is absent; and pharmaceutically acceptable salts thereof] were prepared as phosphodiesterase IV (PDE4) inhibitors. For example, 3-(6-isopropylquinolin-8-yl)phenol was coupled with 1-chloromethyl-4-methanesulfonylbenzene in acetone to give II. One hundred sixteen invention compds. suppressed PDE4 with IC50 values ranging from 80  $\mu$ M to 0.029  $\mu$ M in assays evaluating LPS- and FMLP-induced inhibition of tumor necrosis factor  $\alpha$  (TNF- $\alpha$ ) and leukotriene B4 (LTB4) in human whole blood. In a test measuring IgE-mediated allergic pulmonary inflammation induced by inhalation of antigen by sensitized guinea pigs, administration of I resulted in a significant reduction in the eosinophilia and the accumulation of other inflammatory leukocytes and effected less inflammatory lung damage. One hundred forty-one invention compds. also inhibited the hydrolysis of cAMP to AMP by human recombinant phosphodiesterase IVa with IC50 values ranging from 150 nM to



0.056 nM. Thus, I and their pharmaceutical compns. are useful for the treatment or prevention of a variety of allergic, inflammatory, CNS, and other conditions (no data).

- IC ICM C07D215-04  
ICS C07D215-12; C07D215-14; C07D401-06; C07D409-14; C07D401-12;  
C07D417-12; C07D409-12; C07D401-14; C07D413-12; C07D487-04;  
A61K031-47; A61K031-4709; A61P011-00; A61P025-00
- CC 27-17 (Heterocyclic Compounds (One Hetero Atom))  
Section cross-reference(s): 1, 63
- IT 605683-58-7P, 6-Isopropyl-8-[3-[[4-(methanesulfonyl)benzyl]oxy]phenyl]quinoline 605683-59-8P,  
2-[[3-(6-Isopropylquinolin-8-yl)phenoxy]methyl]benzonitrile  
605683-60-1P, 3-[[3-(6-Isopropylquinolin-8-yl)phenoxy]methyl]benzonitrile  
605683-61-2P, 4-[[3-(6-Isopropylquinolin-8-yl)phenoxy]methyl]benzonitrile  
605683-62-3P, 8-[3-[[2-(Benzenesulfonylmethyl)benzyl]oxy]phenyl]-6-isopropylquinoline 605683-63-4P,  
6-Isopropyl-8-[3-(4-trifluoromethoxybenzyloxy)phenyl]quinoline  
605683-64-5P, 6-Isopropyl-8-[3-[[3-(trifluoromethylsulfonyl)benzyl]oxy]phenyl]quinoline 605683-65-6P,  
6-Isopropyl-8-[3-[[4-([1,2,3]thiadiazol-4-yl)benzyl]oxy]phenyl]quinoline  
605683-67-8P, 4-[[3-(6-Isopropylquinolin-8-yl)phenoxy]methyl]benzoic acid  
605683-68-9P, 2-[4-[[3-(6-Isopropylquinolin-8-yl)phenoxy]methyl]phenyl]propan-2-ol 605683-70-3P,  
8-[3-Fluoro-5-[[4-(methanesulfonyl)benzyl]oxy]phenyl]-6-isopropylquinoline  
605683-71-4P, 8-[3-(Benzyloxy)phenyl]-6-isopropylquinoline 605683-73-6P,  
1-[3-(6-Isopropylquinolin-8-yl)phenoxy]-2-methyl-1-phenylpropan-2-ol  
605683-74-7P, 1-[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenoxy]-1-[4-(methanesulfonyl)phenyl]-2-methylpropan-2-ol  
605683-75-8P, 8-[3-(Benzylsulfonyl)phenyl]-6-isopropylquinoline  
605683-76-9P, 4-Azido-3-iodo-N-[3-[6-[(pyridin-4-yl)methyl]quinolin-8-yl]phenyl]benzamide 605683-78-1P,  
N-[3-[6-[(1-Oxopyridin-4-yl)methyl]quinolin-8-yl]phenyl]benzamide  
605683-80-5P, Thiophene-2-sulfonic acid  
N-[3-[6-[(pyridin-4-yl)methyl]quinolin-8-yl]phenyl]amide 605683-81-6P,  
N-[3-[6-[(1-Oxopyridin-4-yl)methyl]quinolin-8-yl]phenyl]benzenesulfonamide  
605683-83-8P, Benzenesulfonic acid  
3-[6-(1-hydroxy-1-methylethyl)quinolin-8-yl]phenyl ester 605683-86-1P,  
4-Fluoro-N-[3-(6-isopropylquinolin-8-yl)benzyl]-N-[4-(methanesulfonyl)phenyl]benzenesulfonamide 605683-87-2P,  
(Cyclopropylmethyl)[3-(6-isopropylquinolin-8-yl)benzyl][4-(methanesulfonyl)phenyl]amine 605683-88-3P, Propane-2-sulfonic acid  
N-[3-(6-isopropylquinolin-8-yl)benzyl]-N-[4-(methanesulfonyl)phenyl]amide  
605683-89-4P, N-[3-(6-Cyclopropylquinolin-8-yl)benzyl]-N-[4-(methanesulfonyl)phenyl]-1-phenylmethanesulfonamide 605683-90-7P,  
2-Phenylethanesulfonic acid N-[3-(6-cyclopropylquinolin-8-yl)benzyl]-N-[4-(methanesulfonyl)phenyl]amide 605683-91-8P, Thiophene-2-sulfonic acid  
N-[3-(6-cyclopropylquinolin-8-yl)benzyl]-N-[4-(methanesulfonyl)phenyl]amide 605683-92-9P, Butane-1-sulfonic acid  
N-[3-(6-cyclopropylquinolin-8-yl)benzyl]-N-[4-(methanesulfonyl)phenyl]amide 605683-93-0P,  
5-Methylisoxazole-3-carboxylic acid  
N-[3-[6-(cyanodimethylmethyl)quinolin-8-yl]benzyl]-N-[4-(methanesulfonyl)phenyl]amide 605683-94-1P,  
2-[8-[3-[[4-Fluorobenzyl][4-(methanesulfonyl)phenyl]amino]methyl]phenyl]quinolin-6-yl]-2-methylpropionitrile 605683-96-3P,  
[3-[6-(Cyanodimethylmethyl)quinolin-8-yl]benzyl][4-(methanesulfonyl)phenyl]carbamic acid isopropyl ester 605683-97-4P,  
[[3-[6-(Cyanodimethylmethyl)quinolin-8-yl]benzyl][4-(methanesulfonyl)phenyl]amino]acetic acid 605683-98-5P,

N-[3-[6-(Cyanodimethylmethyl)quinolin-8-yl]benzyl]-N-[4-(methanesulfonyl)phenyl]benzamide 605683-99-6P,  
 1-[3-[6-(Cyanodimethylmethyl)quinolin-8-yl]benzyl]-3-ethyl-1-[4-(methanesulfonyl)phenyl]urea 605684-00-2P,  
 1-[3-[6-(Cyanodimethylmethyl)quinolin-8-yl]benzyl]-3-isopropyl-1-[4-(methanesulfonyl)phenyl]urea 605684-01-3P,  
 1-[3-[6-(Cyanodimethylmethyl)quinolin-8-yl]benzyl]-1-[4-(methanesulfonyl)phenyl]-3-phenylurea 605684-02-4P,  
 N-[1-[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]benzamide 605684-03-5P,  
 Cyclopropanecarboxylic acid N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]amide 605684-04-6P,  
 2,2,2-Trifluoro-N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]acetamide 605684-05-7P,  
 5-Methylisoxazole-3-carboxylic acid  
 N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]amide 605684-09-1P,  
 N-[1-[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]acetamide 605684-10-4P,  
 N-[1-[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]-2,4-difluorobenzamide 605684-11-5P,  
 4-(1-Hydroxy-1-methylethyl)-N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]benzamide 605684-12-6P,  
 N-[1-[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]nicotinamide 605684-13-7P,  
 4-Fluoro-N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]-3-trifluoromethylbenzamide 605684-14-8P,  
 2,4,6-Trifluoro-N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]benzamide 605684-15-9P,  
 2-Chloro-N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]-4-nitrobenzamide 605684-16-0P,  
 3-Isopropyl-1-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-1-[4-(methanesulfonyl)phenyl]urea ~~605684-18-2P~~,  
 3-(2-Chlorophenyl)-1-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-1-[4-(methanesulfonyl)phenyl]urea 605684-19-3P,  
 3,4-Dichloro-N-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]benzenesulfonamide 605684-20-6P,  
 1-[2-Fluoro-5-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]-3-isopropyl-1-[4-(methanesulfonyl)phenyl]urea 605684-21-7P,  
 N-[2-Fluoro-5-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]-N-[4-(methanesulfonyl)phenyl]benzamide 605684-23-9P,  
 N-[1-[2-Chloro-5-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-N-[4-(methanesulfonyl)phenyl]benzamide 605684-24-0P,  
 1-[2-Chloro-5-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]-3-isopropyl-1-[4-(methanesulfonyl)phenyl]urea 605684-25-1P,  
 4-Fluoro-3-(6-isopropylquinolin-8-yl)-N-(1-methyl-4-oxo-4,5-dihydro-1H-imidazol-2-yl)benzamide 605684-26-2P,  
 4-[[3-(6-Isopropylquinolin-8-yl)benzyl]oxy]benzonitrile 605684-27-3P,  
 6-Isopropyl-8-[3-[[4-(methanesulfonyl)phenoxy]methyl]phenyl]quinoline 605684-28-4P,  
 2-[4-[[3-(6-Isopropylquinolin-8-yl)benzyl]oxy]phenyl]propan-2-ol 605684-30-8P,  
 1-[5-(Methanesulfonyl)-2-[[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]oxy]phenyl]ethanol 605684-31-9P,  
 1-[2-Hydroxy-4-[[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]oxy]phenyl]ethanone 605684-32-0P,  
 1-[2-Hydroxy-4-[[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]oxy]-3-propylphenyl]ethanone 605684-36-4P,

8-[3-(2-Cyclopentylphenoxy)methyl]phenyl]-6-[1-(methanesulfonyl)-1-methylethyl]quinoline 605684-37-5P,  
 2'-[[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]oxy]biphenyl-2-ol 605684-38-6P,  
 8-[3-(2-Benzylphenoxy)methyl]phenyl]-6-[1-(methanesulfonyl)-1-methylethyl]quinoline 605684-39-7P,  
 3-[2-[[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]oxy]phenyl]-1-phenylpropenone 605684-40-0P,  
 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[4-methyl-2-[(piperidin-1-yl)methyl]phenoxy]methyl]phenyl]quinoline 605684-41-1P,  
 8-[3-[[2-(Benzothiazol-2-yl)phenoxy]methyl]phenyl]-6-[1-(methanesulfonyl)-1-methylethyl]quinoline 605684-43-3P,  
 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[2-(morpholin-4-yl)phenoxy]methyl]phenyl]quinoline 605684-44-4P,  
 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-(2-methoxyphenoxy)methyl]phenyl]quinoline 605684-45-5P,  
 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-(2-trifluoromethylphenoxy)methyl]phenyl]quinoline 605684-46-6P,  
 2-[[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]oxy]benzonitrile 605684-47-7P,  
 8-[3-[[2-Allyl-6-methoxyphenoxy]methyl]phenyl]-6-[1-(methanesulfonyl)-1-methylethyl]quinoline 605684-48-8P,  
 8-[3-(2-Benzylphenoxy)methyl]phenyl]-6-[1-(methanesulfonyl)-1-methylethyl]quinoline 605684-49-9P,  
 [2-[[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]oxy]phenyl](1-phenyl-1H-pyrazol-4-yl)methanone 605684-50-2P,  
 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[2-(methanesulfonyl)phenoxy]methyl]phenyl]quinoline 605684-51-3P,  
 Cyclopropyl[2-[[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]oxy]phenyl]phenylmethanol 605684-52-4P,  
 Dicyclopropyl[2-[[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]oxy]phenyl]methanol 605684-53-5P,  
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 8-[3-[[4,6-Dimethylpyrimidin-2-yl)sulfanyl]methyl]phenyl]-6-[(pyridin-4-yl)methyl]quinoline 605684-55-7P,  
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 8-[3-(Benzenesulfonylmethyl)phenyl]-6-isopropylquinoline 605684-58-0P,  
 6-Isopropyl-8-[3-[(pyridin-4-yl)sulfanyl]methyl]phenyl]quinoline 605684-59-1P, 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[5-(methylsulfanyl)-[1,3,4]thiadiazol-2-yl)sulfanyl]methyl]phenyl]quinoline 605684-60-4P, 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[2,3,5,6-tetrachloropyridin-4-yl)sulfanyl]methyl]phenyl]quinoline 605684-61-5P, 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[1,3,4-thiadiazol-2-yl)sulfanyl]methyl]phenyl]quinoline 605684-62-6P, 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[4-nitrophenyl)sulfanyl]methyl]phenyl]quinoline 605684-63-7P, 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[pyrimidin-2-yl)sulfanyl]methyl]phenyl]quinoline 605684-64-8P, 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[4-methyl-4H-1,2,4-triazol-3-yl)sulfanyl]methyl]phenyl]quinoline 605684-65-9P, 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[1H-pyrazolo[3,4-d]pyrimidin-4-yl)sulfanyl]methyl]phenyl]quinoline 605684-66-0P, 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[5-phenyl-[1,3,4]oxadiazol-2-yl)sulfanyl]methyl]phenyl]quinoline 605684-67-1P, 2-[[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]sulfanyl]nicotinic acid 605684-68-2P, 2-[[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]sulfanyl]-4,6-dimethylnicotinonitrile 605684-69-3P,

8-[3-[[ (3-Chlorophenyl)sulfanyl)methyl]phenyl]-6-[1-(methanesulfonyl)-1-methylethyl]quinoline 605684-70-6P,  
 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[ (6-nitrobenzothiazol-2-yl)sulfanyl)methyl]phenyl]quinoline 605684-71-7P,  
 2-[[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]sulfanyl]benzoic acid methyl ester 605684-72-8P,  
 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[ (pyridin-4-yl)sulfanyl)methyl]phenyl]quinoline 605684-73-9P,  
 8-[3-[[ (2,6-Dichlorophenyl)sulfanyl)methyl]phenyl]-6-[1-(methanesulfonyl)-1-methylethyl]quinoline 605684-74-0P,  
 8-[3-[[ (2-Chlorophenyl)sulfanyl)methyl]phenyl]-6-[1-(methanesulfonyl)-1-methylethyl]quinoline 605684-75-1P,  
 2-[[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]sulfanyl]-3H-quinazolin-4-one 605684-76-2P,  
 4-Amino-2-[[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]sulfanyl]pyrimidine-5-carboxylic acid methyl ester 605684-79-5P, N-(3,5-Dichloro-1-oxopyridin-4-yl)-3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzamide 605684-82-0P,  
 3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]-N-[3-(methanesulfonyl)phenyl]benzamide 605684-83-1P,  
 3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]-N-[4-(methanesulfonyl)phenyl]benzamide 605684-85-3P,  
 3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]-N-(1-oxopyridin-3-yl)benzamide 605684-86-4P, 3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]-N-(5-methylthiazol-2-yl)benzamide 605684-87-5P, 3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]-N-(quinolin-3-yl)benzamide 605684-88-6P,  
 N-[6-(Methanesulfonyl)benzothiazol-2-yl]-3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzamide 605684-89-7P,  
 N-(5-Cyclopropyl-[1,3,4]thiadiazol-2-yl)-3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzamide 605684-90-0P,  
 3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]-N-(5-trifluoromethyl-[1,3,4]thiadiazol-2-yl)benzamide 605684-91-1P,  
 N-(Benzothiazol-2-yl)-3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzamide 605684-92-2P, 3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]-N-(4-phenylthiazol-2-yl)benzamide 605684-93-3P, 3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]-N-(pyridin-2-yl)benzamide 605684-95-5P,  
 N-(5-Bromothiazol-2-yl)-3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzamide 605684-97-7P,  
 3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]-N-[4-[(1-oxopyridin-4-yl)methyl]phenyl]benzamide 605684-98-8P,  
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RL: FAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(PDE4 inhibitor; preparation of 8-arylquinoline PDE4 inhibitors for treatment of a variety of allergic, inflammatory, CNS, and other conditions)

IT 605684-19-2P, 3-(2-Chlorophenyl)-1-[1-[3-[6-[1-(methanesulfonyl)-1-methylethyl]quinolin-8-yl]phenyl]ethyl]-1-[4-(methanesulfonyl)phenyl]urea

RL: FAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

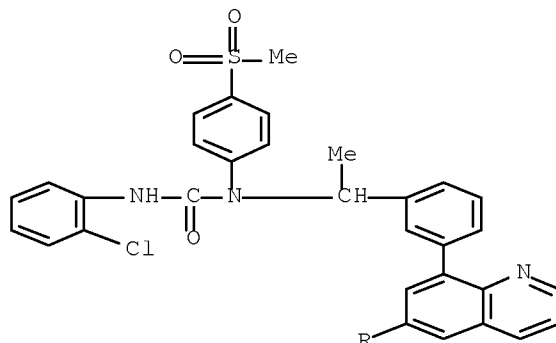
10/569,873

(PDE4 inhibitor; preparation of 8-arylquinoline PDE4 inhibitors for treatment of a variety of allergic, inflammatory, CNS, and other conditions)

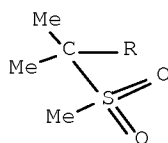
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CN Urea, N'-(2-chlorophenyl)-N-[1-[3-[6-[1-methyl-1-(methylsulfonyl)ethyl]-8-quinolinyl]phenyl]ethyl]-N-[4-(methylsulfonyl)phenyl]- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 13 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:757507 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 139:281235

TITLE: HMG-CoA reductase inhibitor combination with ACAT inhibitors in treating or preventing Alzheimers disease

INVENTOR(S): Cai, Tian-quan; Chao, Yu-sheng

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

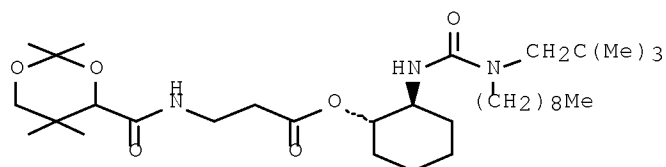
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003077896	A1	20030925	WO 2003-US7038	20030307 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,  
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH,  
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,  
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
CA 2478184 A1 20030925 CA 2003-2478184 20030307 <--  
AU 2003218004 A1 20030929 AU 2003-218004 20030307 <--  
EP 1485077 A1 20041215 EP 2003-713983 20030307 <--  
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
US 20050107461 A1 20050519 US 2004-507048 20040908 <--  
PRIORITY APPLN. INFO.: US 2002-363442P P 20020312 <--  
WO 2003-US7038 W 20030307 <--  
ED Entered STN: 26 Sep 2003  
GI



AB The instant invention provides a drug combination comprised of an HMG-CoA reductase inhibitor in combination with an ACAT inhibitor, which is useful for treating or preventing Alzheimers disease. Example HMG-CoA reductase inhibitors include the statins and an example ACAT inhibitor is I.

IC ICM A61K031-16  
ICS A61K031-18; A61K031-35; A61K031-40; A61K031-405; A61K031-435

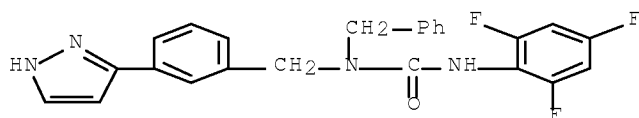
CC 63-6 (Pharmaceuticals)  
Section cross-reference(s): 1

IT 75330-75-5, Lovastatin 79902-63-9, Simvastatin 81093-37-0, Pravastatin 93957-54-1, Fluvastatin 134523-00-5, Atorvastatin 144289-00-9  
147511-69-1, Pitavastatin 147538-81-6 162320-85-6 166518-60-1  
179054-18-3 182255-50-1 287714-41-4, Rosuvastatin  
332342-32-2 332342-33-3 332342-34-4  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(HMG-CoA reductase inhibitor combination with ACAT inhibitors in treating or preventing Alzheimers disease)

IT 179054-18-3  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(HMG-CoA reductase inhibitor combination with ACAT inhibitors in treating or preventing Alzheimers disease)

RN 179054-18-3 HCAPLUS

CN Urea, N-(phenylmethyl)-N'-[[3-(1H-pyrazol-3-yl)phenyl]methyl]-N'-(2,4,6-trifluorophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)  
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 14 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2003:559037 HCAPLUS Full-text  
DOCUMENT NUMBER: 139:117338  
TITLE: Preparation of biaryl compounds and their use as  
inhibitors for formation and secretion of amyloid  
 $\beta$  proteins, and activators for secretion of  
soluble amyloid precursor protein  $\alpha$   
INVENTOR(S): Uchikawa, Osamu; Aso, Kazuyoshi; Miyamoto, Masaomi;  
Takahashi, Hideki  
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 53 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003206280	A	20030722	JP 2001-401232	20011228 <--
PRIORITY APPLN. INFO.:			JP 2001-401232	20011228 <--

OTHER SOURCE(S): MARPAT 139:117338

ED Entered STN: 22 Jul 2003

AB (R2Z)NR3C6H4BXYR1 [the benzene ring may be further substituted; B =  
(un)substituted benzene ring, (un)substituted pyridine ring; X = CONR4,  
SO2NR4, CH2NR4, NH, O, CO2, CH:CH, bond, etc.; n = 0-2; Y = C1-12 spacer,  
bond; XY or XR1 ma be bonded to form ring; Z = CONH, CSNH, CO, SO2, bond; R1 =  
(un)substituted amino, (un)substituted heterocycllyl; R2-R4 = H,  
(un)substituted hydrocarblyl, (un)substituted heterocycllyl; R2R3 may be bonded  
to form ring] or their salts, useful for treatment of nerve disorders, such as  
Alzheimer's disease, etc., are prepared Thus, treatment of 506 mg 4-  
H2NO2SC6H4CH2CH2NHCH2-3-C6H4C6H4-3-CONHCH2CH2R (R = pyrrolidin-1-yl) with  
PhCH2CH2NCO gave 169 mg of the corresponding urethane derivative, which at 1  
 $\mu$ M completely inhibited formation and secretion of amyloid  $\beta$  protein (1-40)  
and (1-42), and significantly increased secretion of soluble amyloid precursor  
protein  $\alpha$  in human neuroblastoma IMR-32 cell.

IC ICM C07D213-81

ICS A61K031-40; A61K031-4439; A61K031-4453; A61K031-455; A61K031-5377;  
A61K031-551; A61P025-00; A61P025-14; A61P025-18; A61P025-28;  
A61P043-00; C07D213-82; C07D295-08; C07D295-12; C07D295-14;  
C07D401-06; C07D401-12

CC 27-10 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 25, 63

IT 386290-84-2P	386290-86-4P	386290-88-6P	386297-57-0P	564477-29-8P
564477-30-1P	564477-31-2P	564477-32-3P	564477-33-4P	564477-34-5P
564477-35-6P	564477-36-7P	564477-37-8P	564477-38-9P	

10/569,873

564477-39-0P 564477-40-3P 564477-41-4P 564477-42-5P  
564477-43-6P 564477-44-7P 564477-45-8P 564477-46-9P 564477-48-1P  
564477-49-2P 564477-50-5P 564477-51-6P 564477-52-7P 564477-53-8P  
564477-54-9P 564477-55-0P 564477-56-1P 564477-57-2P 564477-58-3P  
564477-59-4P 564477-60-7P 564477-61-8P 564477-62-9P 564477-63-0P  
564477-64-1P 564477-65-2P 564477-66-3P 564477-67-4P 564477-68-5P  
564477-69-6P 564477-70-9P 564477-71-0P 564477-72-1P 564477-73-2P  
564477-74-3P 564477-75-4P 564477-76-5P 564477-77-6P 564477-86-7P  
564477-87-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of biaryl compds. as A $\beta$  protein formation inhibitors and  
sAPP secretion activators for treatment of nerve disorders)

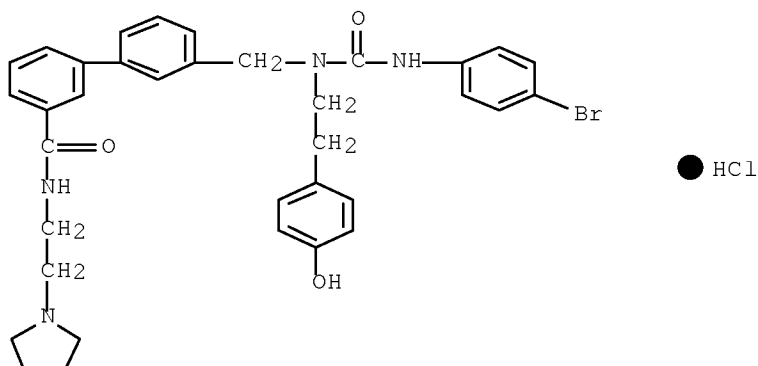
IT 564477-39-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of biaryl compds. as A $\beta$  protein formation inhibitors and  
sAPP secretion activators for treatment of nerve disorders)

RN 564477-39-0 HCAPLUS

CN [1,1'-Biphenyl]-3-carboxamide, 3'-[[[(4-bromophenyl)amino]carbonyl][2-(4-  
hydroxyphenyl)ethyl]amino]methyl]-N-[2-(1-pyrrolidinyl)ethyl]-,  
hydrochloride (1:1) (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)

L125 ANSWER 15 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:334893 HCAPLUS Full-text

DOCUMENT NUMBER: 138:353744

TITLE: Preparation of substituted aromatic amide MCH  
antagonists for the treatment of obesity

INVENTOR(S): Palani, Anandan; Shapiro, Sherry A.; McBriar, Mark D.;  
Su, Jing

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:



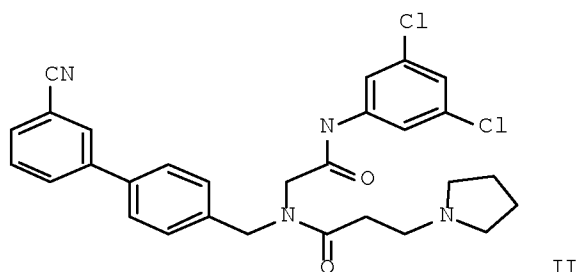
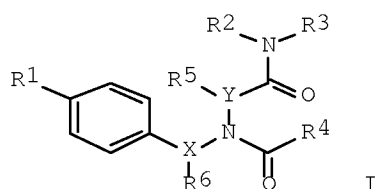
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003035055	A1	20030501	WO 2002-US33869	20021023 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2464130	A1	20030501	CA 2002-2464130	20021023 <--
AU 2002337956	A1	20030506	AU 2002-337956	20021023 <--
AU 2002337956	B2	20060223		
US 20030144261	A1	20030731	US 2002-278468	20021023 <--
US 7045636	B2	20060516		
EP 1443922	A1	20040811	EP 2002-773861	20021023 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
HU 2004001656	A2	20041228	HU 2004-1656	20021023 <--
CN 1575169	A	20050202	CN 2002-821069	20021023 <--
CN 100548291	C	20091014		
JP 2005507918	T	20050324	JP 2003-537622	20021023 <--
ZA 2004003087	A	20050422	ZA 2004-3087	20040422 <--
MX 2004003858	A	20040708	MX 2004-3858	20040423 <--
PRIORITY APPLN. INFO.:			US 2001-343065P	P 20011025 <--
			WO 2002-US33869	W 20021023 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

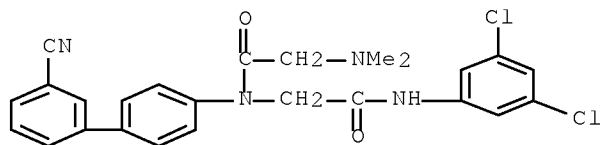
OTHER SOURCE(S): MARPAT 138:353744

ED Entered STN: 02 May 2003

GI



- AB Title compds. I [X = bond, C, CH, alkylene, etc.; Y = bond, C, CH, alkylene, etc.; R1 = (hetero)aryl; R2 = H, alkyl, aryl, aralkyl; R3 = H, alkyl, aryl, aralkyl; R4 = alkylene-amine, amino-alkylene, etc.; R5-6 = H, (cyclo)alkyl] are prepared. For instance, 3,5-dichloroaniline was acylated with bromoacetyl bromide and the product coupled to the biaryl derived from 4-bromobenzyl amine and 3-cyanophenylboronic acid to give an amine intermediate. This intermediate was acylated with 3-chloropropionic acid (CH<sub>2</sub>Cl<sub>2</sub>, EDCI) and subsequently treated with pyrrolidine (K<sub>2</sub>CO<sub>3</sub>, NaI, 80°) to give II. II had K<sub>i</sub> = 21 nM for the melanin-concentrating hormone (MCH) receptor. I are useful for the treatment of obesity, metabolic disorders, eating disorders such as hyperphagia and diabetes.
- IC ICM A61K031-277  
ICS A61K031-4453; A61K031-4015; A61K031-40; C07D295-14; C07D295-12; C07D207-12; C07D205-04; C07K005-06; C07K005-02; C07C255-60
- CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
Section cross-reference(s): 1
- IT 518306-57-5P 518306-58-6P 518306-59-7P 518306-60-0P 518306-61-1P  
518306-62-2P 518306-63-3P 518306-64-4P 518306-65-5P 518306-66-6P  
518306-67-7P 518306-68-8P 518306-69-9P 518306-70-2P 518306-71-3P  
518306-72-4P 518306-73-5P 518306-74-6P 518306-75-7P 518306-76-8P  
518306-77-9P 518306-78-0P 518306-79-1P ~~518306-80-4P~~  
518306-81-5P 518306-82-6P 518306-83-7P 518306-84-8P 518306-85-9P  
518306-86-0P 518306-87-1P 518306-88-2P 518306-89-3P 518306-90-6P  
518306-91-7P 518306-92-8P 518306-93-9P 518306-94-0P 518306-95-1P  
518306-96-2P 518306-97-3P 518306-98-4P 518306-99-5P 518307-00-1P  
518307-01-2P 518307-02-3P 518307-03-4P 518307-04-5P 518307-05-6P  
518307-06-7P 518307-07-8P 518307-08-9P 518307-09-0P 518307-12-5P  
518307-14-7P 518307-16-9P 518307-17-0P 518307-19-2P 518307-20-5P  
518307-21-6P 518307-22-7P 518307-23-8P 518307-24-9P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)  
(preparation of substituted aromatic amide MCH antagonists for treatment of obesity)
- IT ~~518306-80-4P~~  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)  
(preparation of substituted aromatic amide MCH antagonists for treatment of obesity)
- RN 518306-80-4 HCAPLUS
- CN Glycinamide, N,N-dimethylglycyl-N2-(3'-cyano[1,1'-biphenyl]-4-yl)-N-(3,5-dichlorophenyl)- (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/569,873

ACCESSION NUMBER: 2003:221693 HCAPLUS Full-text  
DOCUMENT NUMBER: 138:238197  
TITLE: Preparation of furo- and thienopyrimidines as TIE-2  
and/or VEGFR-2 kinase inhibitors useful against  
hyperproliferative diseases  
INVENTOR(S): Adams, Jerry Leroy; Bryan, Deborah Lynne; Feng,  
Yanhong; Matsunaga, Shinichiro; Maeda, Yutaka;  
Miyazaki, Yasushi; Nakano, Masato; Rocher,  
Jean-Philippe; Sato, Hideyuki; Semones, Marcus; Silva,  
Domingos J.; Tang, Jun  
PATENT ASSIGNEE(S): Glaxosmithkline K.K., Japan; Smithkline Beecham  
Corporation  
SOURCE: PCT Int. Appl., 265 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

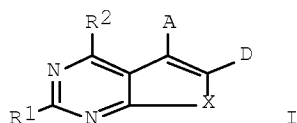
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022852	A2	20030320	WO 2002-US28650	20020910 <--
WO 2003022852	A3	20031127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002333524	A1	20030324	AU 2002-333524	20020910 <--
EP 1425284	A2	20040609	EP 2002-798181	20020910 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, SK				
JP 2005508904	T	20050407	JP 2003-526926	20020910 <--
US 20050004142	A1	20050106	US 2004-489052	20040309 <--
US 7427623	B2	20080923		
US 20080287466	A1	20081120	US 2008-169800	20080709 <--
PRIORITY APPLN. INFO.:				
			US 2001-318766P	P 20010911 <--
			WO 2002-US28650	W 20020910 <--
			US 2004-489052	A3 20040309

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 138:238197

ED Entered STN: 21 Mar 2003

GI



- AB Furo- and thienopyrimidine derivs. (shown as I; variables defined below; e.g. 4-Amino-3-(4-methoxyphenyl)-2-[3-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine), which are useful as TIE-2 (tyrosine kinase containing immunoglobulin and EGF homol. domains) and/or VEGFR-2 kinase inhibitors against hyperproliferative diseases are described herein. Enzyme inhibitions by .apprx.60 examples of I are included as ranges; also, 4-amino-3-[4-[[2-fluoro-5-(trifluoromethyl)phenyl]aminocarbonylamino]phenyl]thieno[2,3-d]pyrimidine exhibited IC<sub>50</sub> = 0.0018  $\mu$ M in the TIE-2 fluorescence polarization kinase activity assay. For I: X is O or S; A is H, halo, C1-C6 alkyl, aryl, heteroaryl, aryl or heteroaryl substituted with  $\geq 1$  R<sub>3</sub>, heterocyclyl, -RR<sub>3</sub>, -C(O)OR<sub>4</sub>, -C(O)NR<sub>5</sub>R<sub>6</sub>, -C(O)R<sub>4</sub>; D is H, halo, C1-C6 alkyl, aryl, heteroaryl, aryl or heteroaryl substituted with  $\geq 1$  R<sub>3</sub>, heterocyclyl, -RR<sub>3</sub>, -C(O)OR<sub>4</sub>, -C(O)NR<sub>5</sub>R<sub>6</sub>, or -C(O)R<sub>4</sub>. R is C1-C6 alkylene, C3-C7 cycloalkylene, C1-C6 alkenylene, or C1-C6 alkynylene; R<sub>1</sub> is H, C1-C6 alkyl, C1-C6 alkoxy, -SR<sub>4</sub>, -S(O)<sub>2</sub>R<sub>4</sub>, -NR<sub>7</sub>R<sub>7</sub>, -NR'R''R''', -N(H)RR<sub>3</sub>, -C(O)OR<sub>7</sub>, or -C(O)NR<sub>7</sub>R<sub>7</sub>. R<sub>2</sub> is H, -OH, -NR<sub>7</sub>R<sub>7</sub> or :NH; R<sub>3</sub> is halo, C1-C6 alkyl, C1-C6 haloalkyl, C1-C6 alkoxy, C3-C7 cycloalkoxy, C1-C6 haloalkoxy, aryl, aralkyl, aryloxy, heteroaryl, heterocyclyl, -CN, -NHC(O)R<sub>4</sub>, -N(R<sub>8</sub>)HC(O)R<sub>4</sub>, -NHC(S)R<sub>4</sub>, -NR<sub>5</sub>R<sub>6</sub>, -RNR<sub>5</sub>R<sub>6</sub>, -SR<sub>4</sub>, -S(O)<sub>2</sub>R<sub>4</sub>, -RC(O)OR<sub>4</sub>, -C(O)OR<sub>4</sub>, -C(O)R<sub>4</sub>, -C(O)NR<sub>5</sub>R<sub>6</sub>, -NHS(O)<sub>2</sub>R<sub>4</sub>, -N(S(O)<sub>2</sub>R<sub>4</sub>)S(O)<sub>2</sub>R<sub>4</sub>, -S(O)<sub>2</sub>NR<sub>5</sub>R<sub>6</sub>, or -NHC(:NH)R<sub>4</sub>. R<sub>4</sub> is H, C1-C6 alkyl, aryl, heteroaryl, heterocyclyl, -RR<sub>3</sub>, -NR''R''', or -NR'NR''R'''; R<sub>5</sub> is H, C1-C6 alkyl, C3-C7 cycloalkyl, cyanoalkyl, -R'R'', aryl, aralkyl, heteroaryl, -NHC(O)OR'', -R'NHC(O)OR'', -R'NHC(O)NR''R''', or -R'C(O)OR''. R<sub>6</sub> is H, C1-C6 alkyl, C3-C7 cycloalkyl, cyanoalkyl, -R'R'', aryl, aralkyl, heteroaryl, -C(O)OR'', or -R'C(O)NR''R'''; R<sub>7</sub> is H, C1-C6 alkyl, aryl, or -C(O)OR'''; R<sub>8</sub> is C1-C3 alkyl; R' is C1-C3 alkylene; R'' is heteroalkyl or NRR''R'''; R''' is H, C1-C6 alkyl, aryl, aralkyl, heteroaryl, or C3-C7 cycloalkyl; R'''' is H, C1-C6 alkyl, aryl, heteroaryl, or C3-C7 cycloalkyl. Although the methods of preparation are not claimed, several example preps. of I are included and characterization data is given for .apprx.480 examples of I.
- IC ICM C07D491-04
- ICS C07D495-04; C07D519-00; A61K031-505; A61P035-00
- CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1
- IT 5207-52-3P, 4-Amino-5,6-diphenylfuro[2,3-d]pyrimidine 87499-62-5P, 4-Amino-5,6-bis(3,4-O-methylidenedioxyphenyl)furo[2,3-d]pyrimidine 141764-53-6P, 3,4-Dihydro-5-(4-nitrophenyl)-4-oxofuro[2,3-d]pyrimidine 296793-25-4P, 4-Amino-6-(3-furanyl)-5-(2-furanyl)furo[2,3-d]pyrimidine 339590-38-4P, 4-Amino-5,6-bis(4-methoxyphenyl)furo[2,3-d]pyrimidine 501693-18-1P, 4-Amino-5-(4-methoxyphenyl)-6-[3-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine 501693-21-6P, 4-Amino-5-[4-(dimethylamino)phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501693-23-8P, 4-Amino-5-[4-[(3-chlorophenyl)sulfonylamino]phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501693-25-0P, 4-Amino-5-[4-[[[2-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501693-26-1P, 4-Amino-5-[4-(2,3-difluorophenyl)phenyl]-6-(3-sulfamoylphenyl)furo[2,3-d]pyrimidine 501693-31-8P, 4-Amino-5-[4-(3-biphenyllyl)phenyl]-6-(3-sulfamoylphenyl)furo[2,3-d]pyrimidine 501693-34-1P, 4-Amino-5-(4-biphenyllyl)-6-[4-fluoro-3-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine 501693-42-1P, 4-Amino-6-(3-cyanophenyl)-5-[4-[[[2-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]furo[2,3-d]pyrimidine 501693-53-4P, 4-Amino-5,6-dibutylfuro[2,3-d]pyrimidine 501693-54-5P, 4-Amino-5,6-bis(4-methylphenyl)furo[2,3-d]pyrimidine 501693-56-7P, 4-Amino-6-(4-methylphenyl)-5-(4-trifluoromethylphenyl)furo[2,3-d]pyrimidine 501693-58-9P, 4-Amino-5-(4-methylphenyl)-6-(4-

trifluoromethylphenyl)furo[2,3-d]pyrimidine 501693-61-4P,  
4-Amino-6-(2-benzothienyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine  
501693-63-6P, 4-Amino-6-(4-biphenyl)-5-(4-methoxyphenyl)furo[2,3-  
d]pyrimidine 501693-65-8P, 4-Amino-6-(2-chlorophenyl)-5-(4-  
methoxyphenyl)furo[2,3-d]pyrimidine 501693-66-9P,  
4-Amino-6-(2-methoxyphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine  
501693-68-1P, 4-Amino-5-(4-methoxyphenyl)-6-(1-naphthyl)furo[2,3-  
d]pyrimidine 501693-70-5P, 4-Amino-5-(4-methoxyphenyl)-6-(2-  
naphthyl)furo[2,3-d]pyrimidine 501693-72-7P,  
4-Amino-5-(4-methoxyphenyl)-6-(4-trifluoromethoxyphenyl)furo[2,3-  
d]pyrimidine 501693-74-9P, 4-Amino-6-(3-methoxyphenyl)-5-(4-  
methoxyphenyl)furo[2,3-d]pyrimidine 501693-77-2P,  
5-(3-Acetamidophenyl)-4-amino-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine  
501693-79-4P, 4-Amino-5-(3,4-dimethoxyphenyl)-6-(4-methoxyphenyl)furo[2,3-  
d]pyrimidine 501693-81-8P,  
4-Amino-6-(4-methoxyphenyl)-5-(3,4,5-trimethoxyphenyl)furo[2,3-  
d]pyrimidine 501693-82-9P, 4-Amino-5-(4-isopropylphenyl)-6-(4-  
methoxyphenyl)furo[2,3-d]pyrimidine 501693-84-1P,  
4-Amino-5-(4-butylphenyl)-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine  
501693-85-2P, 4-Amino-6-(4-methoxyphenyl)-5-(3-methoxyphenyl)furo[2,3-  
d]pyrimidine 501693-87-4P, 4-Amino-5-(4-biphenyl)-6-(4-  
methoxyphenyl)furo[2,3-d]pyrimidine 501693-88-5P,  
4-Amino-6-(4-methoxyphenyl)-5-(2-methoxyphenyl)furo[2,3-d]pyrimidine  
501693-90-9P, 4-Amino-6-(4-methoxyphenyl)-5-[4-(methylthio)phenyl]furo[2,3-  
d]pyrimidine 501693-92-1P, 4-Amino-6-(4-methoxyphenyl)-5-(1-  
naphthyl)furo[2,3-d]pyrimidine 501693-93-2P,  
4-Amino-6-(4-methoxyphenyl)-5-(2-naphthyl)furo[2,3-d]pyrimidine  
501693-94-3P, 4-Amino-6-(4-methoxyphenyl)-5-[4-  
(trifluoromethoxy)phenyl]furo[2,3-d]pyrimidine 501693-95-4P,  
4-Amino-5-(2,5-dimethoxyphenyl)-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine  
501693-96-5P, 4-Amino-6-(4-methoxyphenyl)-5-[4-  
(methylsulfonyl)phenyl]furo[2,3-d]pyrimidine 501693-97-6P,  
4-Amino-6-(4-methoxyphenyl)-5-[4-(phenyloxy)phenyl]furo[2,3-d]pyrimidine  
501693-98-7P, 4-Amino-6-(4-methoxyphenyl)-5-(3-pyridyl)furo[2,3-  
d]pyrimidine 501693-99-8P, 4-Amino-5-(4-cyanophenyl)-6-(4-  
methoxyphenyl)furo[2,3-d]pyrimidine 501694-00-4P,  
4-Amino-6-(4-methoxyphenyl)-5-(4-tert-butylphenyl)furo[2,3-d]pyrimidine  
501694-01-5P, 4-Amino-6-(4-methoxyphenyl)-5-(3-fluoro-4-  
phenylphenyl)furo[2,3-d]pyrimidine 501694-02-6P,  
4-Amino-5-(4-benzyloxy-3-fluorophenyl)-6-(4-methoxyphenyl)furo[2,3-  
d]pyrimidine 501694-03-7P, 4-Amino-5-[4-(ethylthio)phenyl]-6-(4-  
methoxyphenyl)furo[2,3-d]pyrimidine 501694-04-8P,  
4-Amino-5-(3-chloro-4-fluorophenyl)-6-(4-methoxyphenyl)furo[2,3-  
d]pyrimidine 501694-05-9P, 4-Amino-6-(3,4-dichlorophenyl)-5-(4-  
methoxyphenyl)furo[2,3-d]pyrimidine 501694-06-0P,  
4-Amino-6-(4-methoxyphenyl)-5-(2-phenylethyn-1-yl)furo[2,3-d]pyrimidine  
501694-07-1P, 4-Amino-5-(4-methoxyphenyl)-6-(2-methylphenyl)furo[2,3-  
d]pyrimidine 501694-08-2P, 4-Amino-6-(2-fluorophenyl)-5-(4-  
methoxyphenyl)furo[2,3-d]pyrimidine 501694-09-3P,  
4-Amino-6-(3-acetamidophenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine  
501694-10-6P, 4-Amino-5-(4-methoxyphenyl)-6-(3-pyridyl)furo[2,3-  
d]pyrimidine 501694-11-7P, 4-Amino-5-(2-butylethyn-1-yl)-6-(4-  
methoxyphenyl)furo[2,3-d]pyrimidine 501694-12-8P,  
4-Amino-5-[2-(3-methylbutyl)ethyn-1-yl]-6-(4-methoxyphenyl)furo[2,3-  
d]pyrimidine 501694-13-9P, 4-Amino-5-[2-(tert-butyl)ethyn-1-yl]-6-(4-  
methoxyphenyl)furo[2,3-d]pyrimidine 501694-14-0P,  
4-Amino-5-[4-(hydroxymethyl)phenyl]-6-(4-methoxyphenyl)furo[2,3-  
d]pyrimidine 501694-15-1P, 4-Amino-5-(4-biphenyl)-6-(2-  
methoxyphenyl)furo[2,3-d]pyrimidine 501694-16-2P,  
4-Amino-6-(2-methoxyphenyl)-5-[4-(methylthio)phenyl]furo[2,3-d]pyrimidine

501694-17-3P, 4-Amino-5-(4-methoxyphenyl)-6-(2-phenylethyn-1-yl)furo[2,3-d]pyrimidine 501694-18-4P, 4-Amino-6-(2-butylethyn-1-yl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-19-5P, 4-Amino-6-(2-biphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-20-8P, 4-Amino-6-(3-biphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-21-9P, 4-Amino-6-[4-(2-carboxyethyl)phenyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-22-0P, 4-Amino-5-(4-methoxyphenyl)-6-[4-(methylsulfonyl)phenyl]furo[2,3-d]pyrimidine 501694-23-1P, 4-Amino-6-(4-carboxyphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-24-2P, 4-Amino-5-(4-methoxyphenyl)-6-[(4-chlorophenyl)-hydroxymethyl]furo[2,3-d]pyrimidine 501694-25-3P, 4-Amino-5-(4-isopropylphenyl)-6-(2-methoxyphenyl)furo[2,3-d]pyrimidine 501694-26-4P, 4-Amino-5-[4-(cyclopentyloxy)phenyl]-6-(2-methoxyphenyl)furo[2,3-d]pyrimidine 501694-27-5P, 4-Amino-5-[4-(isopropoxy)phenyl]-6-(2-methoxyphenyl)furo[2,3-d]pyrimidine 501694-28-6P, 4-Benzoyloxycarbonylamino-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-29-7P, 4-Amino-5-(4-methoxyphenyl)-6-(2-phenylethen-1-yl)furo[2,3-d]pyrimidine 501694-30-0P, 4-Amino-5-(4-methoxyphenyl)-6-(2-phenylethyl)furo[2,3-d]pyrimidine 501694-31-1P, 4-Amino-5-(4-methoxyphenyl)-6-[4-(morpholinocarbonyl)phenyl]furo[2,3-d]pyrimidine 501694-32-2P, 4-Amino-5-(4-methoxyphenyl)-6-[4-(methylcarbamoyl)phenyl]furo[2,3-d]pyrimidine 501694-33-3P, 4-Amino-5-(4-methoxyphenyl)-6-[4-[2-(4-imidazolyl)ethyl]carbamoyl]phenyl]furo[2,3-d]pyrimidine 501694-34-4P, 5,6-Bis(4-methoxyphenyl)-3,4-dihydro-4-imino-3-methylfuro[2,3-d]pyrimidine 501694-35-5P, 5,6-Bis(4-methoxyphenyl)-4-(methylamino)furo[2,3-d]pyrimidine 501694-36-6P, 4-Amino-5-(4-methoxyphenyl)-6-[4-(2-dimethylaminoethyl)carbamoyl]phenyl]furo[2,3-d]pyrimidine 501694-37-7P, 4-Amino-6-(1-hexen-1-yl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-38-8P, 4-Amino-6-hexyl-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-39-9P, 4-Amino-5-(2,4-dimethoxyphenyl)-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-40-2P, 4-Amino-5-(4-methoxyphenyl)-6-(2-methoxypyridin-5-yl)furo[2,3-d]pyrimidine 501694-41-3P, 4-Amino-6-[4-(dimethylamino)phenyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-42-4P, 4-Amino-6-(2,4-dimethoxyphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-43-5P, 4-Amino-6-(4-methoxyphenyl)-5-(2-methoxypyridin-5-yl)furo[2,3-d]pyrimidine 501694-44-6P, 4-Amino-6-[(3-chlorophenyl)oxymethyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-45-7P, 4-Amino-6-[(4-fluorophenyl)oxymethyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-46-8P, 4-Amino-5-(4-methoxyphenyl)-6-[(hydroxy)(phenyl)methyl]furo[2,3-d]pyrimidine 501694-47-9P, 4-Amino-6-(3-carbamoylphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-48-0P, 4-Amino-6-[3-(dimethylcarbamoyl)phenyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-49-1P, 4-Amino-6-(1-methylindol-5-yl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-50-4P, 4-Amino-6-[2-(hydroxymethyl)phenyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-51-5P, 4-Amino-6-(3-aminophenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-52-6P, 4-Amino-6-carboxy-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-53-7P, 4-Amino-6-(2-carboxyphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-54-8P, 4-Amino-6-(3-methoxycarbonylphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-55-9P, 4-Amino-6-(4-methoxyphenyl)-5-(1-methylindol-5-yl)furo[2,3-d]pyrimidine 501694-56-0P, 4-Amino-6-(3-carboxyphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-57-1P, 4-Amino-5-(4-methoxyphenyl)-6-[3-[2-(4-imidazolyl)ethyl]carbamoyl]phenyl]furo[2,3-d]pyrimidine 501694-58-2P, 4-Amino-5-(4-methoxyphenyl)-6-[3-[(4-methylpiperazin-1-yl)carbonyl]phenyl]furo[2,3-d]pyrimidine 501694-59-3P,

4-Amino-5-(4-methoxyphenyl)-6-[3-[(2-dimethylaminoethyl)carbamoyl]phenyl]furo[2,3-d]pyrimidine 501694-60-6P,  
 4-Amino-6-[(2-cyanophenyl)oxymethyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-61-7P, 4-Amino-6-[(2-fluorophenyl)oxymethyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-62-8P,  
 4-Amino-5-(4-methoxyphenyl)-6-[3-[(4-pyridyl)carbamoyl]phenyl]furo[2,3-d]pyrimidine 501694-63-9P, 4-Amino-6-(2-carbamoylphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-64-0P,  
 4-Amino-6-(4-carboxy-2-methoxyphenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-65-1P, 4-Amino-5-(4-methoxyphenyl)-6-[3-[(3-pyridyl)carbamoyl]phenyl]furo[2,3-d]pyrimidine 501694-66-2P,  
 6-[(3-Acetamidophenyl)oxymethyl]-4-amino-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-67-3P, 4-Amino-6-[(3-cyanophenyl)oxymethyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-68-4P,  
 4-Amino-6-[3-methoxycarbonyl-4-(methylamino)phenyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-69-5P,  
 4-Amino-5-(4-methoxyphenyl)-6-(4-methylamino-3-carboxyphenyl)furo[2,3-d]pyrimidine hydrochloride 501694-70-8P,  
 4-Amino-6-(4-methoxyphenyl)-5-[4-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine 501694-71-9P, 4-Amino-5-(4-methoxyphenyl)-6-[(3-methylindazol-5-yl)carbamoyl]furo[2,3-d]pyrimidine 501694-72-0P,  
 4-Amino-6-[[1,2-bis(ethoxycarbonyl)hydrazino]methyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-73-1P,  
 4-Amino-5-[4-(diethylamino)phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-74-2P, 4-Amino-5-(4-methoxyphenyl)-6-(phenylcarbamoyl)furo[2,3-d]pyrimidine 501694-75-3P,  
 4-Amino-6-[[5-amino-3-methylindazol-1-yl]carbonyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-76-4P,  
 4-Amino-5-(4-methoxyphenyl)-6-(1-pyrrolidinocarbonyl)furo[2,3-d]pyrimidine 501694-77-5P, 4-Amino-5-(4-methoxyphenyl)-6-[dicyclohexylcarbamoyl]furo[2,3-d]pyrimidine 501694-78-6P,  
 4-Amino-5-(4-methoxyphenyl)-6-(isopropylcarbamoyl)furo[2,3-d]pyrimidine 501694-79-7P, 4-Amino-5-(4-methoxyphenyl)-6-[(2-dimethylaminoethyl)carbamoyl]furo[2,3-d]pyrimidine 501694-80-0P,  
 4-Amino-6-(4-methoxyphenyl)-5-[4-(1-pyrrolidino)phenyl]furo[2,3-d]pyrimidine 501694-81-1P, 4-Amino-6-(5-indolyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-82-2P,  
 4-Amino-5-(4-methoxyphenyl)-6-[[2-(phenylamino)ethyl]oxycarbonyl]furo[2,3-d]pyrimidine 501694-83-3P, 4-Amino-6-[(3-hydroxypiperazin-1-yl)carbonyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-84-4P,  
 4-Amino-5-(4-methoxyphenyl)-6-[(2-cyanoethyl)(phenyl)carbamoyl]furo[2,3-d]pyrimidine 501694-85-5P, 4-Amino-5-(4-biphenyl)-6-(3-carbamoylphenyl)furo[2,3-d]pyrimidine 501694-86-6P,  
 6-(3-Acetamidophenyl)-4-amino-5-(4-biphenyl)furo[2,3-d]pyrimidine 501694-87-7P, 4-Amino-5-(4-methoxyphenyl)-6-[[[(methoxycarbonyl)methyl](phenyl)carbamoyl]furo[2,3-d]pyrimidine 501694-88-8P,  
 4-Amino-6-(3-carbamoyl-4-chlorophenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-89-9P,  
 4-Amino-6-(3-aminophenyl)-5-(4-biphenyl)furo[2,3-d]pyrimidine 501694-90-2P, 4-Amino-6-[3-(aminomethyl)phenyl]-5-(4-biphenyl)furo[2,3-d]pyrimidine 501694-91-3P, 4-Amino-5-(4-biphenyl)-6-[4-(dimethylamino)phenyl]furo[2,3-d]pyrimidine 501694-92-4P,  
 4-Amino-6-[[2-(tert-butoxycarbonylamino)ethyl](phenyl)carbamoyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-93-5P,  
 4-Amino-5-(4-methoxyphenyl)-6-[(carboxymethyl)(phenyl)carbamoyl]furo[2,3-d]pyrimidine 501694-94-6P, 4-Amino-6-carbamoyl-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-95-7P,  
 4-Amino-5-(4-methoxyphenyl)-6-[3-[(2-morpholinoethyl)sulfonylamino]phenyl]furo[2,3-d]pyrimidine 501694-96-8P,  
 4-Amino-5-(4-methoxyphenyl)-6-(2-methylbenzothiazol-5-yl)furo[2,3-

d]pyrimidine 501694-97-9P, 4-Amino-6-(6-indolyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-98-0P, 4-Amino-6-(3-carbamoyl-4-fluorophenyl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501694-99-1P, 4-Amino-5-(4-biphenyl)-6-(3-carbamoyl-4-fluorophenyl)furo[2,3-d]pyrimidine 501695-00-7P, 4-Amino-6-[(4-hydroxypiperazin-1-yl)carbonyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-01-8P, 4-Amino-6-[4-amino-3-(methylcarbamoyl)phenyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-02-9P, 4-Amino-6-[(carbamoylmethyl)(phenyl)carbamoyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-03-0P, 4-Amino-6-[[2-(aminocarbonylamino)ethyl](phenyl)carbamoyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-04-1P, 4-Amino-6-(2-amino-1,3,4-oxadiazol-5-yl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-05-2P, 4-Amino-6-[4-(ethoxycarbonyl)thiazol-2-yl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-06-3P, 4-Amino-6-[4-(4-fluorophenyl)-5-methylthiazol-2-yl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-07-4P, 4-Amino-6-(5-indolyl)-5-[4-(3-pyridyl)phenyl]furo[2,3-d]pyrimidine 501695-08-5P, 4-Amino-6-(2-imidazolin-2-yl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-09-6P, 4-Amino-6-[2-(phenylamino)-1,3,4-oxadiazol-5-yl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-10-9P, 4-Amino-6-(8H-indeno[1,2-d]thiazol-2-yl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-11-0P, 4-Amino-5-(4-methoxyphenyl)-6-(4-methylthiazol-2-yl)furo[2,3-d]pyrimidine 501695-12-1P, 4-Amino-6-[3-[[2-(dimethylamino)ethyl]aminocarbonylamino]phenyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-13-2P, 4-Amino-5-(4-biphenyl)-6-[3-[[[2-(dimethylamino)ethyl]amino]carbonyl]amino]phenyl]furo[2,3-d]pyrimidine 501695-14-3P, 4-Amino-5-(4-biphenyl)-6-[3-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine 501695-15-4P, 4-Amino-5-(4-methoxyphenyl)-6-[4-(methylcarbamoyl)thiazol-2-yl]furo[2,3-d]pyrimidine 501695-16-5P, 4-Amino-5-[4-(3-fluorophenyl)phenyl]-6-[3-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine 501695-17-6P, 4-Amino-5-(4-methoxyphenyl)-6-[4-(phenylcarbamoyl)thiazol-2-yl]furo[2,3-d]pyrimidine 501695-18-7P, 4-Amino-6-(1-benzyl-4,5-dihydro-1H-imidazol-2-yl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-19-8P, 4-Amino-5-(4-methoxyphenyl)-6-(3-sulfamoylphenyl)furo[2,3-d]pyrimidine 501695-20-1P, 4-Amino-5-(4-biphenyl)-6-(3-sulfamoylphenyl)furo[2,3-d]pyrimidine 501695-21-2P, 4-Amino-5-(4-methoxyphenyl)-6-(1,3,4-oxadiazol-2-yl)furo[2,3-d]pyrimidine 501695-22-3P, 4-Amino-5-(4-methoxyphenyl)-6-(5,6,7,7a-tetrahydro-1H-pyrrolo[1,2-c]imidazol-3-yl)furo[2,3-d]pyrimidine 501695-23-4P, 4-Amino-6-(4-carboxythiazol-2-yl)-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-24-5P, 4-Amino-6-[3-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine 501695-25-6P, 4-Amino-5-(4-methoxyphenyl)-6-[(2-phenylethyl)carbamoyl]furo[2,3-d]pyrimidine 501695-26-7P, 4-Amino-6-[(3-fluorophenyl)carbamoyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-27-8P, 4-Amino-6-[(4-chlorophenyl)carbamoyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-28-9P, 4-Amino-5-(4-methoxyphenyl)-6-[(4-methoxyphenyl)carbamoyl]furo[2,3-d]pyrimidine 501695-29-0P, 4-Amino-6-[(2-benzimidazolyl)carbamoyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-30-3P, 4-Amino-5-[4-(2,3-difluorophenyl)phenyl]-6-[4-fluoro-3-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine 501695-31-4P, 4-Amino-6-[(2-hydroxyphenyl)carbamoyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-32-5P, 4-Amino-6-[4-fluoro-3-(methylsulfonylamino)phenyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-33-6P, 4-Amino-6-[(6,7-dimethoxy-1,2,3,4-tetrahydroisoquinolin-2-yl)carbonyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-34-7P, 4-Amino-6-[(2-carbamoylphenyl)carbamoyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-35-8P, 4-Amino-6-[4-fluoro-3-



(methylsulfonylamino)phenyl]-5-[4-(3-thienyl)phenyl]furo[2,3-d]pyrimidine  
 501695-36-9P, 4-Amino-6-[3-(aminocarbonylamino)phenyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-37-0P,  
 4-Amino-6-[3-(aminocarbonylamino)phenyl]-5-(4-biphenyl)furo[2,3-d]pyrimidine 501695-38-1P, 4-Amino-6-[(3-cyanophenyl)carbonyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-39-2P,  
 4-Amino-5-(4-methoxyphenyl)-6-[(3-pyridyl)carbonyl]furo[2,3-d]pyrimidine  
 501695-40-5P 501695-41-6P, 4-Amino-6-[(3,5-dimethoxyphenyl)carbonyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-42-7P,  
 4-Amino-5-(4-biphenyl)-6-[4-methoxy-3-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine 501695-43-8P  
 , 4-Amino-5-(4-biphenyl)-6-[3-[[[2-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]furo[2,3-d]pyrimidine  
 501695-44-9P, 4-Amino-5-(4-biphenyl)-6-[4-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine 501695-45-0P,  
 4-Amino-5-(4-biphenyl)-6-[4-(aminocarbonylamino)phenyl]furo[2,3-d]pyrimidine 501695-46-1P, 4-Amino-5-(4-biphenyl)-6-[3-[(4-pyridylcarbonyl)amino]phenyl]furo[2,3-d]pyrimidine 501695-47-2P,  
 4-Amino-5-(4-methoxyphenyl)-6-[4-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine 501695-48-3P, 4-Amino-6-[4-(aminocarbonylamino)phenyl]-5-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-49-4P,  
 4-Amino-6-(5-benzotriazolyl)-5-(4-biphenyl)furo[2,3-d]pyrimidine  
 501695-50-7P, 4-Amino-5-(4-biphenyl)-6-[3-(p-toluenesulfonylamino)phenyl]furo[2,3-d]pyrimidine 501695-51-8P,  
 4-Amino-6-(5-benzimidazolyl)-5-(4-biphenyl)furo[2,3-d]pyrimidine  
 501695-52-9P, 4-Amino-5-(4-biphenyl)-6-(4-sulfamoylphenyl)furo[2,3-d]pyrimidine 501695-53-0P, 4-Amino-5-(4-biphenyl)-6-[3-(methylsulfonyl)phenyl]furo[2,3-d]pyrimidine 501695-54-1P,  
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 4-Amino-5-(4-biphenyl)-6-[3-[(dimethylamino)sulfonylamino]phenyl]furo[2,3-d]pyrimidine 501695-59-6P,  
 4-Amino-5-[4-(2-pyridyl)phenyl]-6-(3-sulfamoylphenyl)furo[2,3-d]pyrimidine  
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 4-Amino-5-(4-biphenyl)-6-(4-cyanophenyl)furo[2,3-d]pyrimidine  
 501695-62-1P, 4-Amino-5-(4-biphenyl)-6-[4-(tetrazol-5-yl)phenyl]furo[2,3-d]pyrimidine hydrochloride 501695-63-2P,  
 4-Amino-5-(4-biphenyl)-6-[3-(tetrazol-5-yl)phenyl]furo[2,3-d]pyrimidine  
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 4-Amino-5,6-bis(4-methoxyphenyl)-2-(ethoxycarbonyl)furo[2,3-d]pyrimidine  
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 4-Amino-5-[4-(2-fluorobiphenyl-4-yl)phenyl]-6-(3-sulfamoylphenyl)furo[2,3-d]pyrimidine 501695-69-8P, 4-Amino-5,6-bis(4-methoxyphenyl)-2-carbamoylfuro[2,3-d]pyrimidine 501695-70-1P,  
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 4-Amino-5-(4-methoxyphenyl)-6-[4-(tetrazol-5-yl)phenyl]furo[2,3-d]pyrimidine hydrochloride 501695-72-3P,  
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4-Amino-5-[4-[(3-fluorobenzoyl)amino]phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-74-5P, 4-Amino-5-[4-[(2-fluorobenzoyl)amino]phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-75-6P, 4-Amino-5,6-bis(4-methoxyphenyl)-2-methylfuro[2,3-d]pyrimidine 501695-76-7P, 4-Amino-5-[4-[[[2-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]-2-(methylamino)furo[2,3-d]pyrimidine 501695-77-8P, 4-Amino-5-[4-[(2-naphthylsulfonyl)amino]phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-78-9P, 4-Amino-5-[4-(3-acetamidophenyl)phenyl]-6-(3-sulfamoylphenyl)furo[2,3-d]pyrimidine 501695-79-0P, 4-Amino-5-[4-(aminocarbonylamino)phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-80-3P, 4-Amino-6-(4-methoxyphenyl)-5-[4-(phenylaminocarbonylamino)phenyl]furo[2,3-d]pyrimidine 501695-81-4P, 4-Amino-5-[4-(cyclohexylaminocarbonylamino)phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-82-5P, 4-Amino-5-[4-(butylaminocarbonylamino)phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-83-6P, 4-Amino-5-[4-[[[2-fluoro-5-(trifluoromethyl)phenyl]aminocarbonylamino]methyl]phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-84-7P, 4-Amino-5-[3-[[[2-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-85-8P, 4-Amino-5-[4-(aminomethyl)phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-86-9P, 4-Amino-5-(3-aminophenyl)-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine 501695-87-0P, 4-Amino-5-[4-[[[2-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]-6-(3-sulfamoylphenyl)furo[2,3-d]pyrimidine 501695-88-1P, 4-Amino-6-(4-cyanophenyl)-5-[4-[[[2-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]furo[2,3-d]pyrimidine 501695-89-2P, 4-Amino-5-[4-[(phenylaminothiocarbonyl)amino]phenyl]furo[2,3-d]pyrimidine 501695-90-5P, 5-(4-Nitrophenyl)-4-(phenylamino)furo[2,3-d]pyrimidine 501695-91-6P, 4-(Methylamino)-5-(4-nitrophenyl)furo[2,3-d]pyrimidine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

TNU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(drug candidate; preparation of furo- and thienopyrimidines as TIE-2 and/or VEGFR-2 kinase inhibitors useful against hyperproliferative diseases)

IT 501695-83-6P, 4-Amino-5-[4-[[[2-fluoro-5-(trifluoromethyl)phenyl]aminocarbonylamino]methyl]phenyl]-6-(4-methoxyphenyl)furo[2,3-d]pyrimidine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

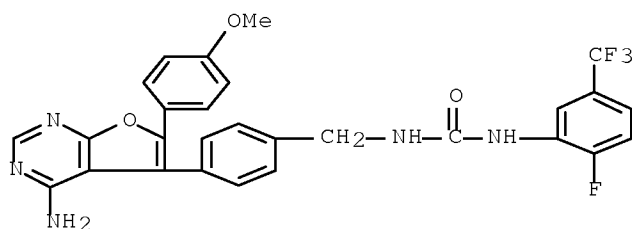
TNU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(drug candidate; preparation of furo- and thienopyrimidines as TIE-2 and/or VEGFR-2 kinase inhibitors useful against hyperproliferative diseases)

RN 501695-83-6 HCAPLUS

CN Urea, N-[[4-[4-amino-6-(4-methoxyphenyl)furo[2,3-d]pyrimidin-5-yl]phenyl]methyl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



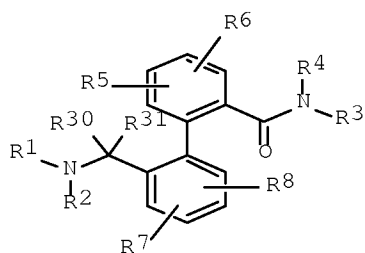
OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)  
 REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 17 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2003:196948 HCAPLUS Full-text  
 DOCUMENT NUMBER: 138:221357  
 TITLE: Preparation of 2'-aminomethylbiphenyl-2-carboxamides as Kv1.5 potassium channel blockers  
 INVENTOR(S): Brendel, Joachim; Schmidt, Wolfgang; Below, Peter  
 PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany  
 SOURCE: U.S., 65 pp., Cont.-in-part of U.S. Ser. No. 675,674.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6531495	B1	20030311	US 2000-698078	20001030 <--
DE 19947457	A1	20010405	DE 1999-19947457	19991002 <--
US 20030171351	A1	20030911	US 2002-252385	20020924 <--
US 6686395	B2	20040203		
US 20040102513	A1	20040527	US 2003-691624	20031024 <--
US 7514582	B2	20090407		
US 20090192096	A1	20090730	US 2009-419069	20090406 <--
PRIORITY APPLN. INFO.:			DE 1999-19947457	A 19991002 <--
			US 2000-675674	A2 20000929 <--
			US 2000-698078	A3 20001030 <--
			US 2002-252385	A3 20020924 <--
			US 2003-691624	A1 20031024 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 138:221357  
 ED Entered STN: 12 Mar 2003  
 GI



AB Title compds. [I; R1 = CO<sub>2</sub>R<sub>9</sub>, SO<sub>2</sub>R<sub>10</sub>, COR<sub>11</sub>, CONR<sub>12</sub>R<sub>13</sub>, CSNR<sub>12</sub>R<sub>13</sub>; R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub>, R<sub>12</sub> = CmH<sub>2m</sub>R<sub>14</sub>; m = 0-4; R<sub>14</sub> = (fluoro)alkyl, cycloalkyl, (un)substituted Ph, naphthyl, furyl, etc.; m ≠ 0 if R<sub>14</sub> = (cyclo)alkoxy, SO<sub>2</sub>Me, or OPh; R<sub>2</sub> and R<sub>13</sub> = independently H, alkyl, or CF<sub>3</sub>; R<sub>3</sub> = CnH<sub>2n</sub>R<sub>16</sub> or CHR<sub>18</sub>R<sub>19</sub>; n = 0-4; n ≠ 0 if R<sub>16</sub> = OR<sub>17</sub>, SO<sub>2</sub>Me; R<sub>17</sub> = H, (cyclo)alkyl, (un)substituted Ph, or pyridyl, R<sub>16</sub> = (fluoro)alkyl, cycloalkyl, (un)substituted Ph, naphthyl, furyl, etc.; R<sub>18</sub> = H or C<sub>p</sub>H<sub>2p</sub>R<sub>16</sub>; p = 0-3; R<sub>19</sub> = CO<sub>2</sub>H, CONH<sub>2</sub>, CH<sub>2</sub>OH, etc.; R<sub>4</sub> = H, alkyl, or CF<sub>3</sub>; or NR<sub>3</sub>R<sub>4</sub> = heterocyclcyl; R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub> = independently H, halo, CF<sub>3</sub>, NO<sub>2</sub>, cyano, etc.; R<sub>30</sub> and R<sub>31</sub> = independently H or alkyl; CR<sub>30</sub>R<sub>31</sub> = cyclopropyl; and pharmaceutically acceptable salts thereof] were prepared Thus, 2'-aminomethylbiphenyl-2-(N-phenethyl)carboxamide (preparation given) and NaHCO<sub>3</sub> in dioxane and H<sub>2</sub>O were treated dropwise with 4-trifluoromethylbenzyl-N-succinimide carbonate (preparation given) in dioxane followed by 12 h stirring at room temperature to give 2'-(4-trifluoromethylbenzyloxycarbonylaminomethyl)-biphenyl-2-(N-phenethyl)carboxamide. Tested I inhibited Kv1.5 potassium flow with IC<sub>50</sub> = 0.2 μM - 11.3 μM. Thus, I are especially suitable as antiarrhythmic active agents, in particular for the treatment and prophylaxis of atrial arrhythmia, e.g. atrial fibrillation (AF) or atrial flutter (no data).

IC ICM A61K031-44

ICS C07D213-55; C07D213-56

INCL 514357000; 546264000; 546265000; 546266000; 546267000

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1, 34

IT	332378-34-4P	332378-35-5P	332378-36-6P	332378-37-7P	332378-38-8P
	332378-40-2P	332378-41-3P	332378-42-4P	332378-43-5P	332378-44-6P
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498579-13-8P	498579-15-0P	498579-18-3P	498579-21-8P	498579-43-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(antiarrhythmic; preparation of aminomethylbiphenylcarboxamides as Kv1.5 potassium channel blockers)

IT 

<del>498578-05-5P</del>	<del>498578-07-7P</del>	<del>498578-08-8P</del>
<del>498578-09-9P</del>	<del>498578-10-2P</del>	<del>498578-11-3P</del>
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

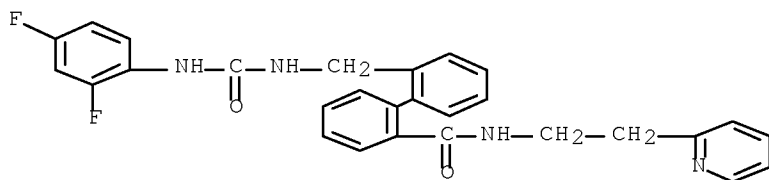
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(antiarrhythmic; preparation of aminomethylbiphenylcarboxamides as Kv1.5 potassium channel blockers)

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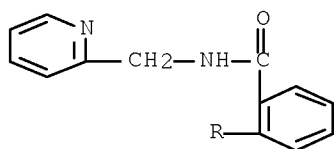
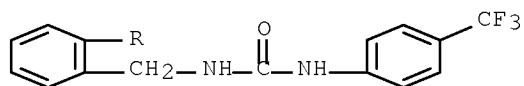
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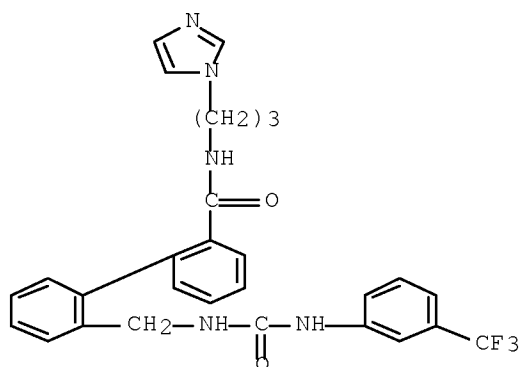
CN [1,1'-Biphenyl]-2-carboxamide, N-(2-pyridinylmethyl)-2'-[[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)

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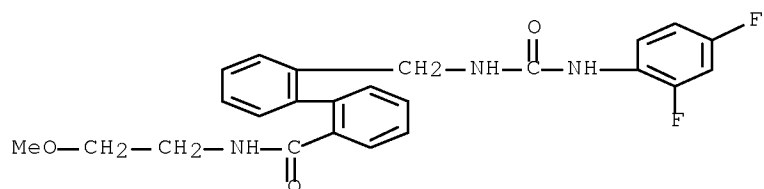
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CN [1,1'-Biphenyl]-2-carboxamide, N-[3-(1H-imidazol-1-yl)propyl]-2'--[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)



RN 498578-09-9 HCAPLUS

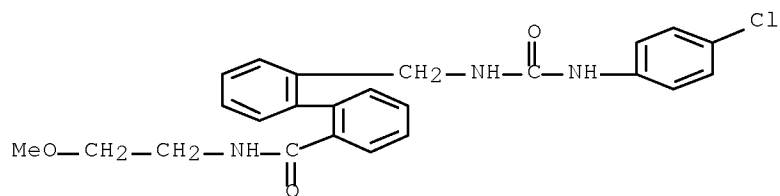
CN [1,1'-Biphenyl]-2-carboxamide, 2'--[[[[(2,4-difluorophenyl)amino]carbonyl]amino]methyl]-N-(2-methoxyethyl)- (CA INDEX NAME)



RN 498578-10-2 HCAPLUS

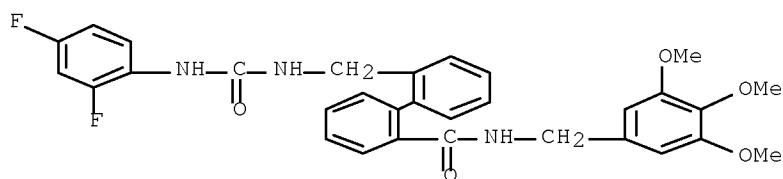
CN [1,1'-Biphenyl]-2-carboxamide, 2'--[[[[(4-chlorophenyl)amino]carbonyl]amino]methyl]-N-(2-methoxyethyl)- (CA INDEX NAME)

10/569,873



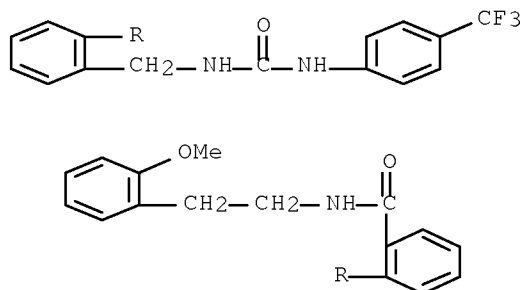
RN 498578-11-3 HCAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[[(2,4-difluorophenyl)amino]carbonyl]amino]methyl]-N-[(3,4,5-trimethoxyphenyl)methyl]- (CA INDEX NAME)



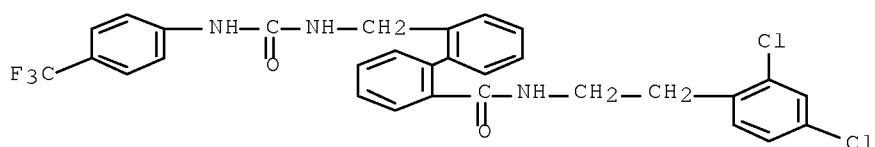
RN 498578-12-4 HCAPLUS

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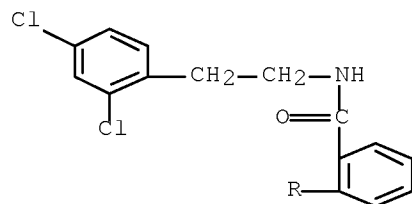
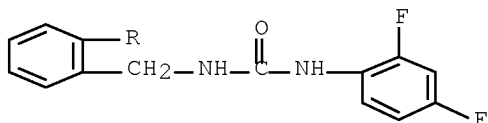
RN 498578-13-5 HCAPLUS

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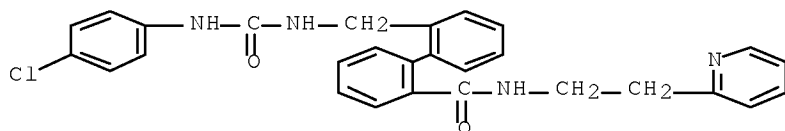
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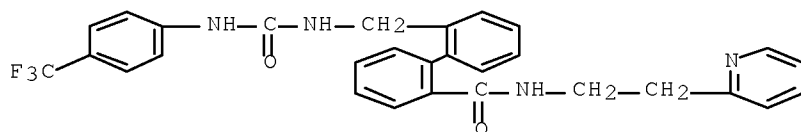
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RN 498578-16-8 HCAPLUS

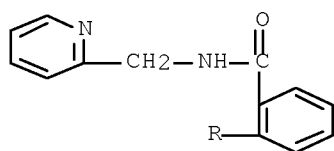
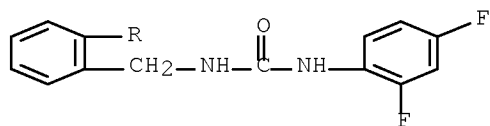
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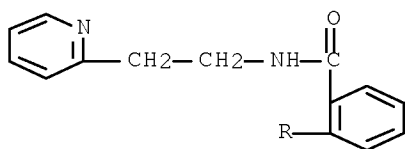
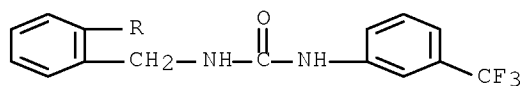
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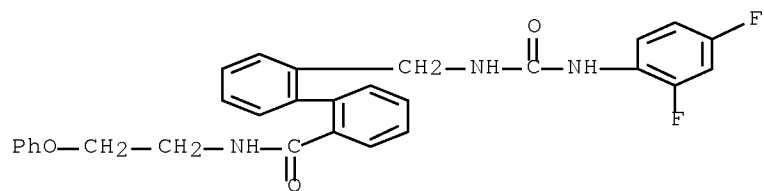
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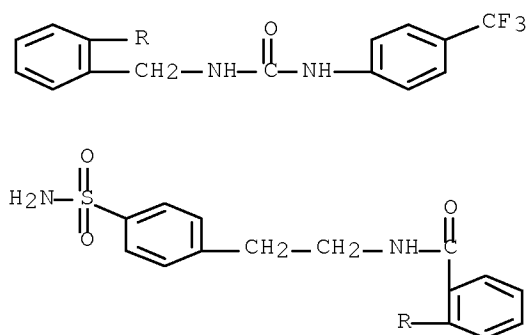
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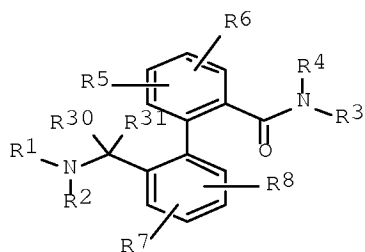
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(1 CITINGS)  
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RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 18 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2003:193044 HCAPLUS Full-text  
DOCUMENT NUMBER: 138:187521  
TITLE: Preparation of 2'-aminomethylbiphenyl-2-carboxamides  
as Kv1.5 potassium channel blockers.  
INVENTOR(S): Brendel, Joachim; Schmidt, Wolfgang; Below, Peter  
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany  
SOURCE: PCT Int. Appl., 125 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

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WO 2001025189	A1	20010412	WO 2000-EP9151	20000919 <--
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OTHER SOURCE(S):	MARPAT	138:187521		
ED	Entered STN:	12 Mar 2003		
GI				



I

AB Title compds. [I; R1 = CO2R9, SO2R10, COR11, CONR12R13, CSNR12R13; R9, R10, R11, R12 = CmH2mR14; m = 0-4; R14 = (fluoro)alkyl, cycloalkyl, (un)substituted Ph, naphthyl, furyl, etc.; m ≠ 0 if R14 = (cyclo)alkoxy, SO2Me, or OPh; R2 and R13 = independently H, alkyl, or CF3; R3 = CnH2nR16 or CHR18R19; n = 0-4; n ≠ 0 if R16 = OR17, SO2Me; R17 = H, (cyclo)alkyl, (un)substituted Ph, or pyridyl, R16 = (fluoro)alkyl, cycloalkyl, (un)substituted Ph, naphthyl, furyl, etc.; R18 = H or Cph2pR16; p = 0-3; R19 = CO2H, CONH2, CH2OH, etc.; R4 = H, alkyl, or CF3; or NR3R4 = heterocyclcyl; R5, R6, R7, R8 = independently H, halo, CF3, NO2, cyano, etc.; R30 and R31 = independently H or alkyl; CR30R31 = cyclopropyl; and pharmaceutically acceptable salts thereof] were prepared Thus, 2'-aminomethylbiphenyl-2-(N-phenethyl)carboxamide (preparation given) and NaHCO3 in dioxane and H2O were treated dropwise with 4-trifluoromethylbenzyl-N-succinimide carbonate (preparation given) in dioxane followed by 12 h stirring at room temperature to give 2'-(4-trifluoromethylbenzyloxycarbonylaminomethyl)-biphenyl-2-(N-phenethyl)carboxamide. Tested I inhibited Kv1.5 potassium flow with IC50 = 0.2 μM - 11.3 μM. Thus, I are especially suitable as antiarrhythmic active agents, in particular for the treatment and prophylaxis of atrial arrhythmia, e.g. atrial fibrillation (AF) or atrial flutter (no data).

IC ICM C07C271-22

ICS C07D213-40; C07C311-19; C07C311-06; C07C311-13; C07C233-11; C07C233-87; C07C235-38; C07C275-28; C07C275-24; C07C335-16; C07C335-12; C07D233-54; A61K031-165; A61P009-06

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds) Section cross-reference(s): 1, 34

IT 332378-34-4P 332378-35-5P 332378-36-6P 332378-37-7P 332378-38-8P  
332378-40-2P 332378-41-3P 332378-42-4P 332378-43-5P 332378-44-6P

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

TNU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(antiarrhythmic; preparation of aminomethylbiphenylcarboxamides as Kv1.5 potassium channel blockers)

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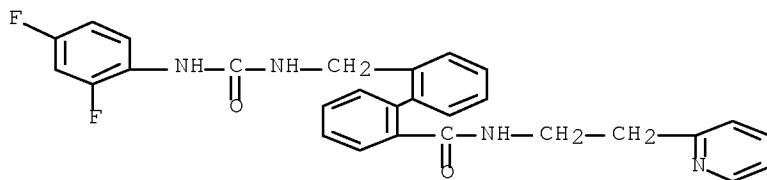
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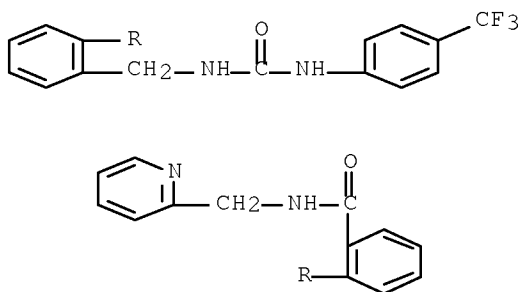
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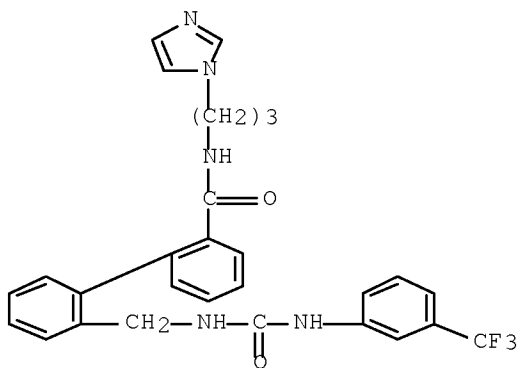
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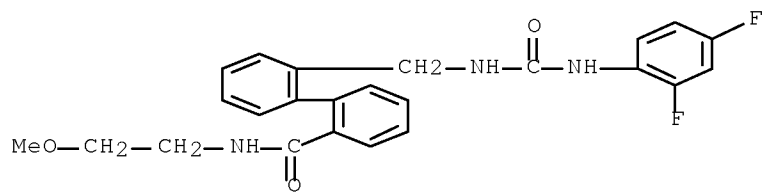
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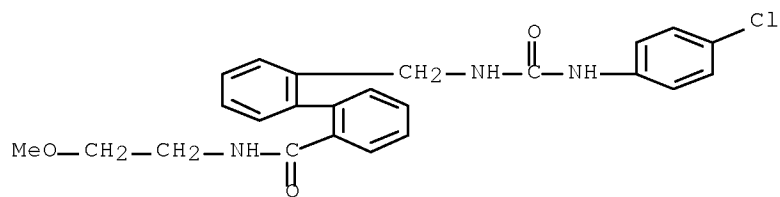
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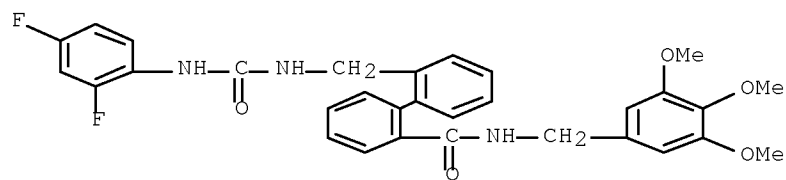
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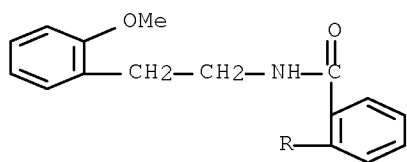
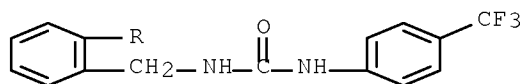
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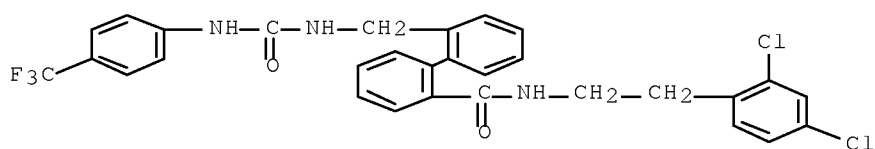
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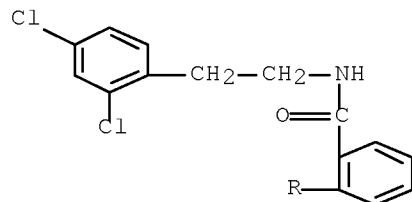
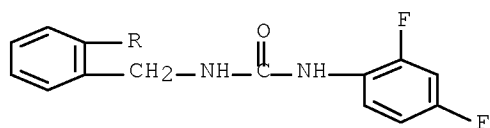
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RN 498578-14-6 HCAPLUS

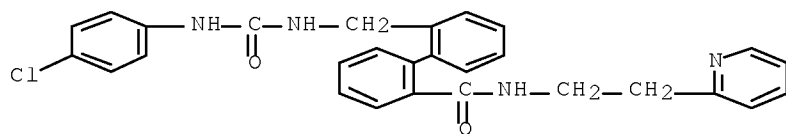
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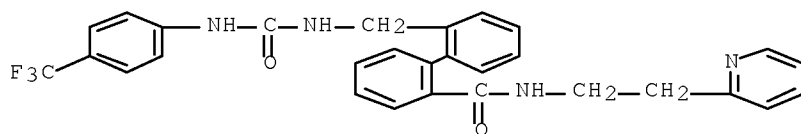
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10/569,873



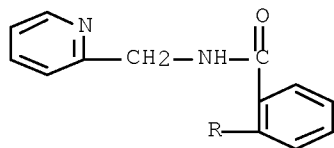
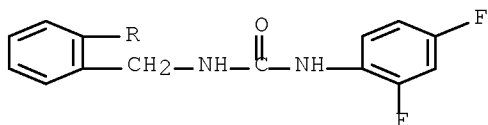
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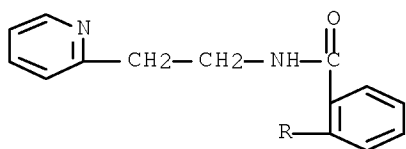
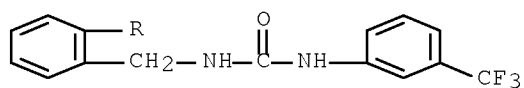
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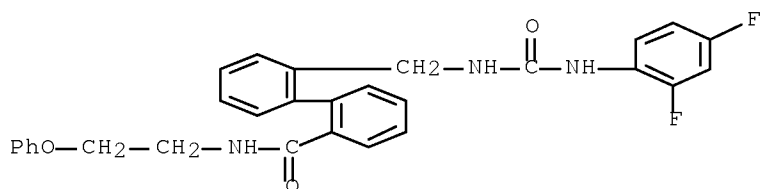
CN [1,1'-Biphenyl]-2-carboxamide, N-[2-(2-pyridinyl)ethyl]-2'--[[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)





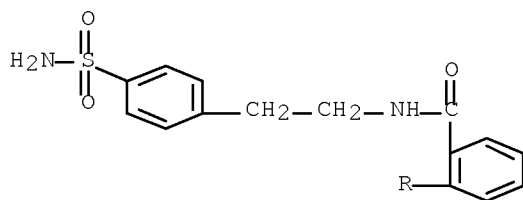
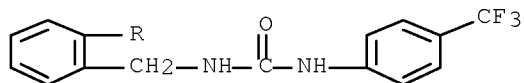
RN 498578-19-1 HCAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(2,4-difluorophenyl)amino]carbonyl]amino]methyl]-N-(2-phenoxyethyl)- (CA INDEX NAME)



RN 498578-21-5 HCAPLUS

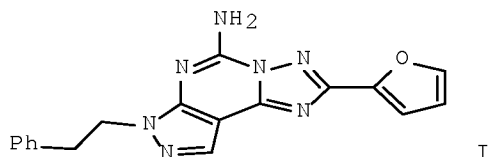
CN [1,1'-Biphenyl]-2-carboxamide, N-[2-[4-(aminosulfonyl)phenyl]ethyl]-2'-[[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2003:151162 HCAPLUS Full-text  
 DOCUMENT NUMBER: 138:321211  
 TITLE: Design, Synthesis, and Biological Evaluation of C9-  
 and C2-Substituted  
 Pyrazolo[4,3-e]-1,2,4-triazolo[1,5-c]pyrimidines as  
 New A2A and A3 Adenosine Receptor Antagonists  
 AUTHOR(S): Baraldi, Pier Giovanni; Fruttarolo, Francesca;  
 Tabrizi, Mojgan Aghazadeh; Preti, Delia; Romagnoli,  
 Romeo; El-Kashef, Hussein; Moorman, Allan; Varani,  
 Katia; Gessi, Stefania; Merighi, Stefania; Borea, Pier  
 Andrea  
 CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche and Dipartimento  
 di Medicina Clinica e Sperimentale-Sezione di  
 Farmacologia, Universita di Ferrara, Ferrara, 44100,  
 Italy  
 SOURCE: Journal of Medicinal Chemistry (2003),  
 46(7), 1229-1241  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 138:321211  
 ED Entered STN: 28 Feb 2003  
 GI



AB Pyrazolo[4,3-e]-1,2,4-triazolo[1,5-c]pyrimidines such as I are prepared as  
 selective adenosine A2a and A3 receptor antagonists. Pyrazolo[4,3-e]-1,2,4-  
 triazolo[1,5-c]pyrimidines substituted at the 9-position retain receptor  
 affinity but lose selectivity for the adenosine A2a and A3 receptors over  
 other adenosine receptors. Replacement of the furan moiety present in the  
 pyrazolo[4,3-e]-1,2,4-triazolo[1,5- c]pyrimidine with a Ph or a substituted  
 aromatic ring abolishes affinity at all the adenosine receptor subtypes,  
 demonstrating that the furanyl ring is a necessary structural element to  
 guarantee interaction with the adenosine receptor surface; replacement of the  
 furan ring with an ortho-ethoxy-substituted aromatic ring did not enhance  
 affinity. Introduction of a N-methylpiperazinomethyl or morpholinomethyl  
 function at the 5' position of the furanyl ring of I or introduction of a  
 methylsulfanyl moiety at the 9-position of pyrazolo[4,3-e]-1,2,4-triazolo[1,5-  
 c]pyrimidines yields inhibitors with improved water solubilities but reduced  
 affinities for adenosine A2a and A3 receptors.

CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))  
 Section cross-reference(s): 1

IT 512845-17-9P 512845-20-4P 512845-23-7P 512845-31-7P  
~~512845-34-0P~~ 512846-12-7P 512846-14-9P 512846-18-3P  
 512846-20-7P 512846-22-9P 512846-24-1P 512846-26-3P 512846-28-5P  
 512846-32-1P 512846-34-3P 512846-36-5P 512846-38-7P 512846-40-1P  
 512846-46-7P 512846-48-9P

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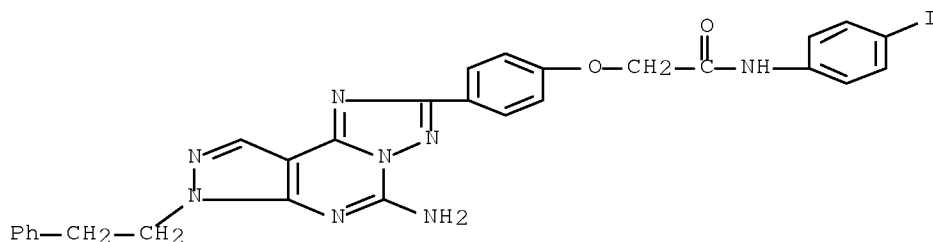
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
BIOL (Biological study); PREP (Preparation)  
(preparation and structure-activity relationships of  
pyrazolo[4,3-e]-1,2,4-triazolo[1,5-c]pyrimidines as potential selective  
adenosine A2a and A3 receptor antagonists)

IT 512845-34-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
BIOL (Biological study); PREP (Preparation)  
(preparation and structure-activity relationships of  
pyrazolo[4,3-e]-1,2,4-triazolo[1,5-c]pyrimidines as potential selective  
adenosine A2a and A3 receptor antagonists)

RN 512845-34-0 HCAPLUS

CN Acetamide, 2-[4-[5-amino-7-(2-phenylethyl)-7H-pyrazolo[4,3-  
e][1,2,4]triazolo[1,5-c]pyrimidin-2-yl]phenoxy]-N-(4-iodophenyl)- (CA  
INDEX NAME)



OS.CITING REF COUNT: 46 THERE ARE 46 CAPLUS RECORDS THAT CITE THIS  
RECORD (47 CITINGS)  
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 20 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:133223 HCAPLUS Full-text

DOCUMENT NUMBER: 138:169972

TITLE: Preparation of substituted N-naphthyl-N'-phenylureas  
and N-substituted naphthylacetamides as vanilloid  
receptor 1 (VR1) antagonists

INVENTOR(S): Yura, Takeshi; Mogi, Munet; Ikegami, Yuka; Masuda,  
Tsutoma; Kokubo, Toshio; Urbahns, Klaus; Lowinger,  
Timothy B.; Yoshida, Nagahiro; Freitag, Joachim;  
Meier, Heinrich; Wittka-Nopper, Reilinde; Marumo,  
Makiko; Shiroo, Masahiro; Tajimi, Masaomi; Takeshita,  
Keisuke; Moriwaki, Toshuda; Tsukimi, Yasuhiro

PATENT ASSIGNEE(S): Bayer AG, Germany

SOURCE: PCT Int. Appl., 186 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

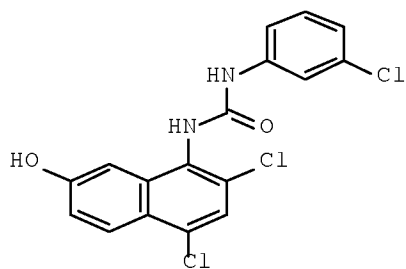
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003014064	A1	20030220	WO 2002-EP8493	20020731 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,  
 UA, UG, US, UZ, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,  
 CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 JP 2003055209 A 20030226 JP 2001-232503 20010731 <--  
 CA 2455754 A1 20030220 CA 2002-2455754 20020731 <--  
 AU 2002325381 A1 20030224 AU 2002-325381 20020731 <--  
 EP 1414788 A1 20040506 EP 2002-758413 20020731 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK  
 JP 2005501873 T 20050120 JP 2003-524319 20020731 <--  
 US 20040259875 A1 20041223 US 2004-485481 20040726 <--  
 PRIORITY APPLN. INFO.: JP 2001-232503 A 20010731 <--  
 JP 2001-392310 A 20011225 <--  
 WO 2002-EP8493 W 20020731 <--  
 OTHER SOURCE(S): MARPAT 138:169972  
 ED Entered STN: 21 Feb 2003  
 GI



I

AB The title compds. R<sup>7</sup>Q(Y)C(O)NXR<sup>6</sup> [X = (un)substituted Ph, cycloalkyl optionally fused by benzene, thienyl, quinolyl, etc.; Q = CH, N; R<sup>6</sup>, R<sup>7</sup> = H, Me; Y = substituted 1-naphthyl] or their salts which have vanilloid receptor 1 (VR1) antagonistic activity, and therefore are useful for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, stroke, incontinence and/or inflammatory disorders, were prepared Thus, reacting 8-amino-5,7-dichloro-2-naphthol (preparation given) with 3-chlorophenyl isocyanate in 1,4-dioxane afforded 39% I which showed IC<sub>50</sub> of ≤ 10 nM for VR1.

IC ICM C07C235-38  
 ICS C07C275-32; C07C275-34; C07C275-36; C07C275-38; C07C275-40;  
 C07C275-42; C07C311-08; C07C311-47; C07C323-44; C07D209-88;  
 C07D215-38; C07D235-10; C07D239-69; C07D261-14; C07D261-16;  
 C07D263-10; C07D285-06; C07D295-135; C07D307-88

CC 25-24 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
 Section cross-reference(s): 1

IT 199584-96-8P 199929-52-7P 391937-38-5P 497148-29-5P 497148-30-8P  
 497148-31-9P 497148-32-0P 497148-33-1P 497148-34-2P 497148-35-3P  
 497148-36-4P 497148-37-5P 497148-38-6P 497148-39-7P 497148-40-0P

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497148-41-1P	497148-42-2P	497148-43-3P	497148-44-4P	497148-45-5P
497148-46-6P	497148-47-7P	497148-48-8P	497148-49-9P	497148-50-2P
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497148-56-8P	497148-57-9P	497148-58-0P	497148-59-1P	497148-60-4P
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497149-62-9P	497149-63-0P	497149-64-1P	497149-66-3P	497149-67-4P
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497150-69-3P	497150-70-6P	497150-71-7P	497150-72-8P	497150-73-9P
497150-74-0P	497150-75-1P	497150-76-2P	497150-77-3P	497150-78-4P

RL: FAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(preparation of substituted N-naphthyl-N'-phenylureas and N-substituted  
 naphthylacetamides as vanilloid receptor 1 (VR1) antagonists)

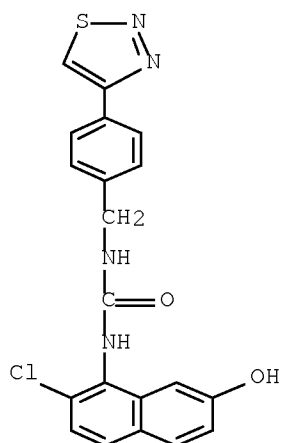
IT ~~497150-14-8P~~

RL: FAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(preparation of substituted N-naphthyl-N'-phenylureas and N-substituted  
 naphthylacetamides as vanilloid receptor 1 (VR1) antagonists)

RN 497150-14-8 HCAPLUS

CN Urea, N-(2-chloro-7-hydroxy-1-naphthalenyl)-N'-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 31 THERE ARE 31 CAPLUS RECORDS THAT CITE THIS RECORD (32 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 21 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:5963 HCAPLUS Full-text

DOCUMENT NUMBER: 138:73267

TITLE: Preparation of 6-phenylpyrrolopyrimidinediones as A2 adenosine receptor inhibitors

INVENTOR(S): Vidal Juan, Bernat; Esteve Trias, Cristina; Segarra Matamoros, Victor; Ravina Rubira, Enrique; Fernandez Gonzalez, Franco; Loza Garcia, Maria Isabel; Sanz Carreras, Ferran

PATENT ASSIGNEE(S): Almirall Prodesfarma S.A., Spain

SOURCE: PCT Int. Appl., 168 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

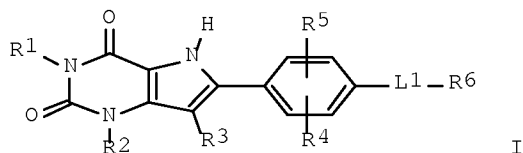
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000694	A1	20030103	WO 2002-EP6727	20020618 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
ES 2193839	A1	20031101	ES 2001-1452	20010622 <--
ES 2193839	B1	20050216		
AU 2002350425	A1	20030108	AU 2002-350425	20020618 <--
EP 1409489	A1	20040421	EP 2002-780834	20020618 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004534828	T	20041118	JP 2003-507097	20020618 <--

US 20050070558 A1 20050331 US 2004-481728 20041019 <--  
 PRIORITY APPLN. INFO.: ES 2001-1452 A 20010622 <--  
 WO 2002-EP6727 W 20020618 <--  
 OTHER SOURCE(S): MARPAT 138:73267  
 ED Entered STN: 05 Jan 2003  
 GI



AB The title compds. [I; R1, R2 = H, (CH2)<sub>n</sub>R7, (un)substituted alkyl (wherein n = 0-4; R7 = cycloalkyl, (un)substituted Ph, 3-7 membered (non)aromatic ring containing 1-4 heteroatoms and which is optionally fused to (hetero)aromatic ring); R3 = H, halo, NO<sub>2</sub>, etc.; R4, R5 = H, halo, alkyl, etc.; L1 = a direct bond, O, S, etc.; R6 = CONR10R11, SO<sub>2</sub>NR10R11, ON:CR12R13, aryl, etc.; R10, R11 = H, alkyl, cycloalkyl, etc.; R12, R13 = defined as R10 and R11, except that either or both of R12 and R13 can be an amino, alkylamino or dialkylamino] which have therapeutic potential as A2 adenosine receptor inhibitors (biol. data given), were prepared and formulated. Thus, coupling {4-[2-(5-nitro-2,6-dioxo-1,3-dipropyl-1,2,3,6-tetrahydropyrimidin-4-yl)vinyl]phenoxy}acetic acid (preparation given) with aniline (yield 42%) followed by reductive cyclization of the resulting intermediate mediated by triethylphosphite (46%) afforded I [R1, R2 = Pr; R3-R5 = H; L1 = OCH<sub>2</sub>; R6 = CONHPh].

IC ICM C07D487-04

ICS C07D519-00; A61K031-505; A61P011-06; A61P011-08; A61P037-08;  
 A61P001-12; A61P025-16; A61P003-10; A61P037-00; A61P007-06;  
 A61P043-00; A61P017-06; A61P017-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
 Section cross-reference(s): 1, 63

IT 480991-19-3P	480991-20-6P	<del>480991-21-7P</del>	480991-22-8P	
<del>480991-23-9P</del>	<del>480991-24-0P</del>	480991-25-1P		
480991-26-2P	480991-27-3P	480991-28-4P	480991-29-5P	480991-30-8P
480991-31-9P	480991-32-0P	480991-33-1P	480991-34-2P	480991-35-3P
480991-36-4P	480991-37-5P	480991-38-6P	480991-39-7P	480991-40-0P
480991-41-1P	480991-42-2P	480991-43-3P	480991-44-4P	480991-45-5P
480991-46-6P	480991-47-7P	480991-48-8P	480991-49-9P	480991-51-3P
480991-52-4P	480991-53-5P	480991-54-6P	480991-55-7P	480991-56-8P
480991-57-9P	480991-58-0P	<del>480991-59-1P</del>	480991-62-6P	
480991-64-8P	480991-65-9P	480991-66-0P	480991-67-1P	480991-69-3P
480991-70-6P	480991-71-7P	480991-72-8P	<del>480991-73-9P</del>	
480991-74-0P	<del>480991-75-1P</del>	480991-76-2P	480991-77-3P	
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480991-88-6P	480991-89-7P	480991-90-0P	480991-91-1P	480991-92-2P
480991-93-3P	480991-94-4P	480991-95-5P	480991-96-6P	480991-97-7P
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480992-03-8P	480992-04-9P	480992-05-0P	480992-06-1P	480992-07-2P
<del>480992-08-3P</del>	480992-09-4P	480992-10-7P	480992-11-8P	
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480992-17-4P	480992-18-5P	480992-19-6P	480992-20-9P	480992-21-0P
480992-22-1P	<del>480992-23-2P</del>	480992-24-3P		
<del>480992-25-4P</del>	480992-26-5P	<del>480992-27-6P</del>		

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480992-28-7P	480992-29-8P	480992-30-1P	480992-31-2P	480992-32-3P
480992-33-4P	480992-34-5P	480992-35-6P	480992-36-7P	480992-37-8P
480992-38-9P	480992-39-0P	480992-40-3P	<del>480992-41-4P</del>	
<del>480992-42-5P</del>	480992-43-6P	<del>480992-44-7P</del>		
<del>480992-45-8P</del>	480992-46-9P	480992-47-0P	480992-48-1P	
<del>480992-49-2P</del>	<del>480992-50-5P</del>	480992-51-6P		
480992-52-7P	480992-53-8P	480992-54-9P	<del>480992-55-0P</del>	
<del>480992-56-1P</del>	480992-57-2P	<del>480992-58-3P</del>		
<del>480992-59-4P</del>	<del>480992-60-7P</del>	<del>480992-61-8P</del>		
480992-62-9P	480992-63-0P	480992-64-1P	480992-65-2P	
<del>480992-66-3P</del>	480992-67-4P	<del>480992-68-5P</del>		
480992-69-6P	480992-70-9P	480992-71-0P	480992-72-1P	
<del>480992-73-2P</del>	480992-74-3P	480992-75-4P	480992-76-5P	
<del>480992-77-6P</del>	480992-78-7P	480992-79-8P	480992-80-1P	
480992-81-2P	<del>480992-82-3P</del>	480992-83-4P	480992-84-5P	
480992-85-6P	480992-86-7P	480992-87-8P	480992-88-9P	480992-89-0P
<del>480992-90-3P</del>	480992-91-4P	480992-92-5P	<del>480992-93-6P</del>	
<del>480992-94-7P</del>	480992-95-8P	480992-96-9P	480992-97-0P	
<del>480992-98-1P</del>	<del>480992-99-2P</del>	480993-00-8P		
480993-01-9P	480993-02-0P	<del>480993-03-1P</del>		
<del>480993-04-2P</del>	480993-05-3P	480993-06-4P	480993-07-5P	
<del>480993-08-6P</del>	480993-09-7P	480993-10-0P	480993-11-1P	
480993-12-2P	480993-13-3P	480993-14-4P	480993-15-5P	480993-16-6P
480993-17-7P	480993-18-8P	480993-19-9P	480993-20-2P	480993-21-3P
480993-22-4P	480993-23-5P	480993-24-6P	480993-25-7P	480993-26-8P
480993-27-9P	480993-29-1P	480993-30-4P	480993-31-5P	480993-32-6P
480993-33-7P	480993-34-8P	480993-35-9P	480993-36-0P	480993-37-1P
480993-38-2P	480993-39-3P	480993-40-6P	480993-41-7P	480993-42-8P
480993-43-9P	480993-44-0P	480993-45-1P	480993-46-2P	480993-47-3P
480993-48-4P	480993-49-5P	480993-50-8P	480993-51-9P	480993-52-0P
480993-53-1P	480993-54-2P	480993-55-3P	480993-56-4P	480993-57-5P
480993-58-6P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of 6-phenylpyrrolopyrimidinediones as A2 adenosine receptor inhibitors)

IT	480993-59-7P	480993-60-0P	480993-61-1P	480993-62-2P	480993-63-3P
	480993-64-4P	480993-65-5P	480993-66-6P	480993-67-7P	480993-68-8P
	<del>480993-69-9P</del>	<del>480993-70-2P</del>	480993-71-3P		
	480993-72-4P	480993-73-5P	480993-74-6P	480993-75-7P	480993-76-8P
	480993-77-9P	480993-78-0P	480993-79-1P	480993-80-4P	480993-81-5P
	<del>480993-82-6P</del>	480993-83-7P	480993-84-8P	480993-85-9P	
	480993-86-0P	480993-87-1P	480993-88-2P	480993-89-3P	480993-90-6P
	480993-91-7P	480993-92-8P	480993-93-9P	480993-94-0P	480993-95-1P
	480993-96-2P	480993-97-3P	480993-98-4P	480993-99-5P	480994-00-1P
	480994-01-2P	480994-02-3P	480994-03-4P	480994-04-5P	480994-05-6P
	480994-06-7P	480994-07-8P			

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of 6-phenylpyrrolopyrimidinediones as A2 adenosine receptor inhibitors)

IT	<del>480991-21-7P</del>	<del>480991-23-9P</del>	<del>480991-24-0P</del>		
	<del>480991-59-1P</del>	<del>480991-73-9P</del>	<del>480991-75-1P</del>		
	<del>480992-08-3P</del>	<del>480992-23-2P</del>	<del>480992-25-4P</del>		
	<del>480992-27-6P</del>	<del>480992-41-4P</del>	<del>480992-42-5P</del>		
	<del>480992-44-7P</del>	<del>480992-45-8P</del>	<del>480992-49-2P</del>		
	<del>480992-50-5P</del>	<del>480992-55-0P</del>	<del>480992-56-1P</del>		
	<del>480992-58-3P</del>	<del>480992-59-4P</del>	<del>480992-60-7P</del>		



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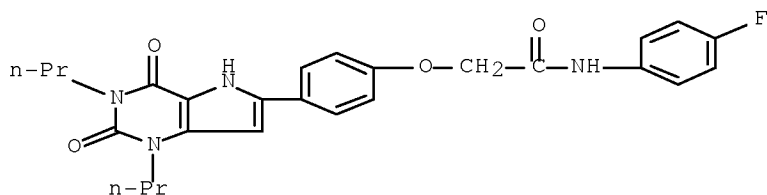
480992-61-8P	480992-66-3P	480992-68-5P
480992-73-2P	480992-77-6P	480992-82-3P
480992-90-3P	480992-93-6P	480992-94-7P
480992-98-1P	480992-99-2P	480993-03-1P
480993-04-2P	480993-08-6P	480993-69-9P
480993-70-2P	480993-82-6P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of 6-phenylpyrrolopyrimidinediones as A2 adenosine receptor inhibitors)

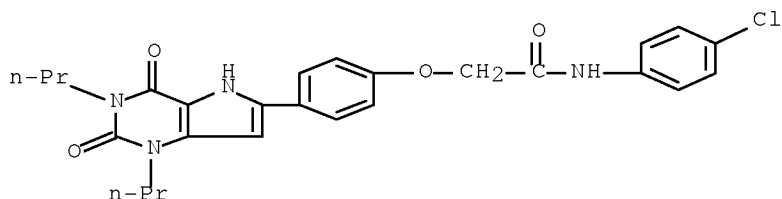
RN 480991-21-7 HCAPLUS

CN Acetamide, N-(4-fluorophenyl)-2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



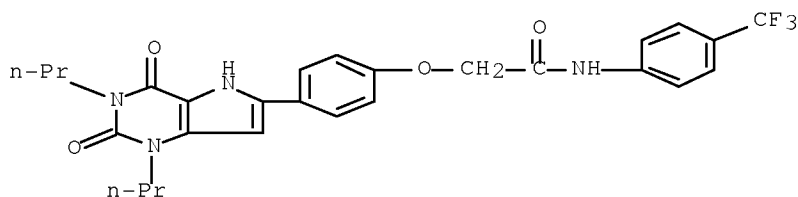
RN 480991-23-9 HCAPLUS

CN Acetamide, N-(4-chlorophenyl)-2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



RN 480991-24-0 HCAPLUS

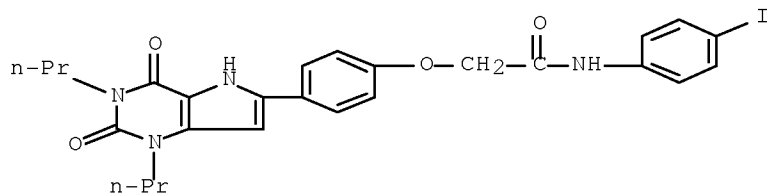
CN Acetamide, 2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]-N-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



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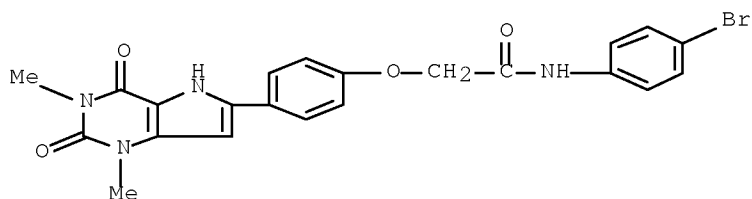
RN 480991-59-1 HCAPLUS

CN Acetamide, N-(4-iodophenyl)-2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



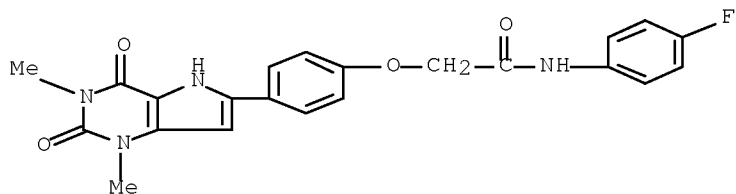
RN 480991-73-9 HCAPLUS

CN Acetamide, N-(4-bromophenyl)-2-[4-(2,3,4,5-tetrahydro-1,3-dimethyl-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



RN 480991-75-1 HCAPLUS

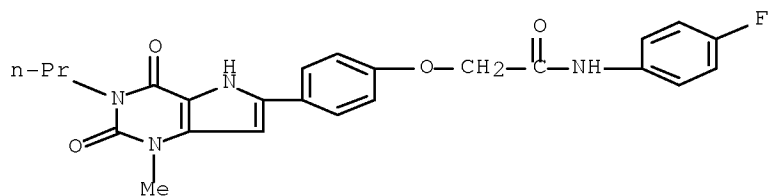
CN Acetamide, N-(4-fluorophenyl)-2-[4-(2,3,4,5-tetrahydro-1,3-dimethyl-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



RN 480992-08-3 HCAPLUS

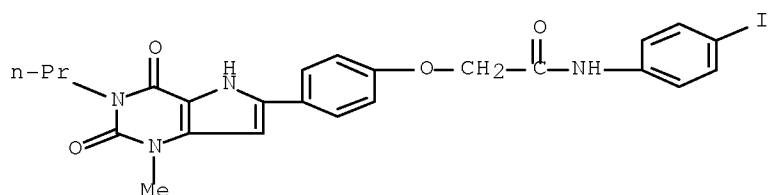
CN Acetamide, N-(4-fluorophenyl)-2-[4-(2,3,4,5-tetrahydro-1-methyl-2,4-dioxo-3-propyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)

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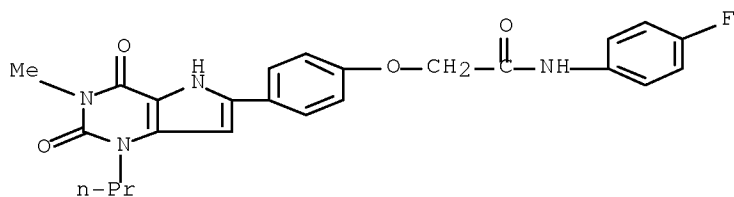
RN 480992-23-2 HCAPLUS

CN Acetamide, N-(4-iodophenyl)-2-[4-(2,3,4,5-tetrahydro-1-methyl-2,4-dioxo-3-propyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



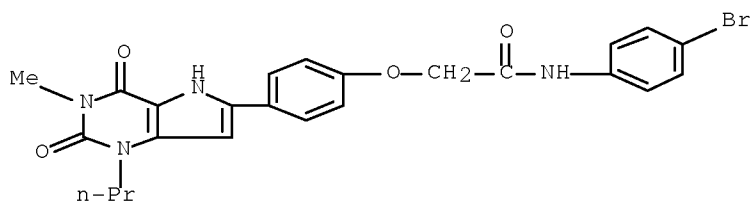
RN 480992-25-4 HCAPLUS

CN Acetamide, N-(4-fluorophenyl)-2-[4-(2,3,4,5-tetrahydro-3-methyl-2,4-dioxo-1-propyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



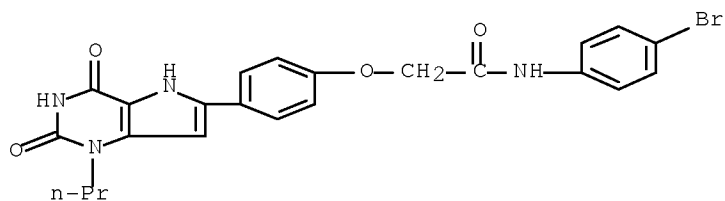
RN 480992-27-6 HCAPLUS

CN Acetamide, N-(4-bromophenyl)-2-[4-(2,3,4,5-tetrahydro-3-methyl-2,4-dioxo-1-propyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



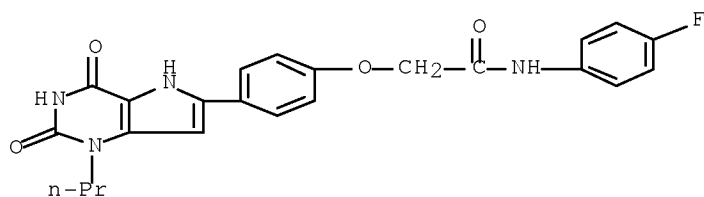
RN 480992-41-4 HCAPLUS

CN Acetamide, N-(4-bromophenyl)-2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-1-propyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



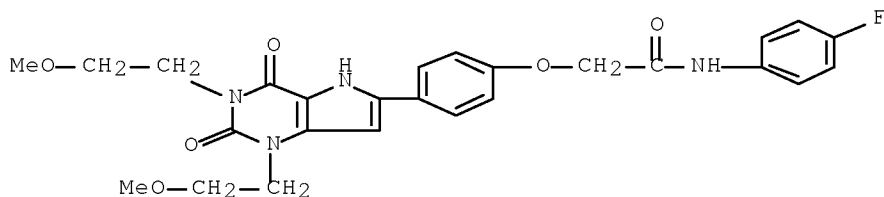
RN 480992-42-5 HCAPLUS

CN Acetamide, N-(4-fluorophenyl)-2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-1-propyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



RN 480992-44-7 HCAPLUS

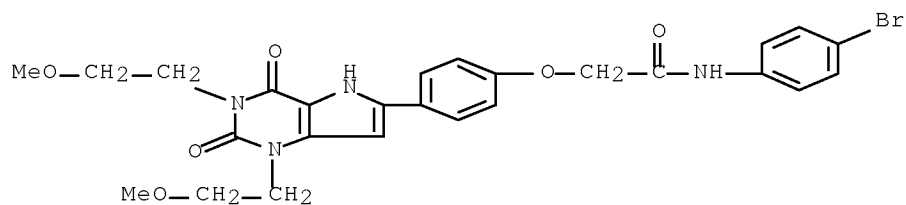
CN Acetamide, N-(4-fluorophenyl)-2-[4-[2,3,4,5-tetrahydro-1,3-bis(2-methoxyethyl)-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl]phenoxy]- (CA INDEX NAME)



RN 480992-45-8 HCAPLUS

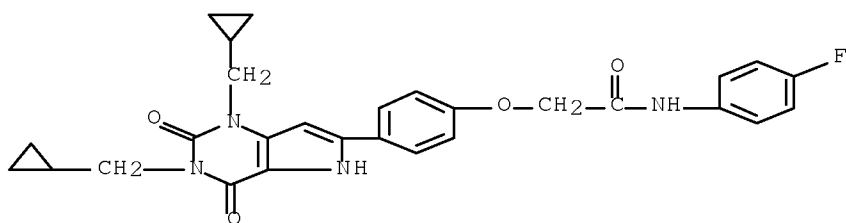
CN Acetamide, N-(4-bromophenyl)-2-[4-[2,3,4,5-tetrahydro-1,3-bis(2-methoxyethyl)-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl]phenoxy]- (CA INDEX NAME)

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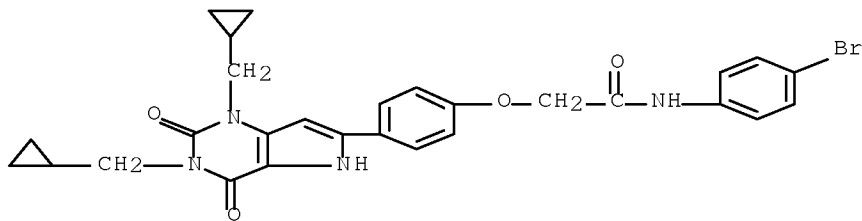
RN 480992-49-2 HCAPLUS

CN Acetamide, 2-[4-[1,3-bis(cyclopropylmethyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl]phenoxy]-N-(4-fluorophenyl)- (CA INDEX NAME)



RN 480992-50-5 HCAPLUS

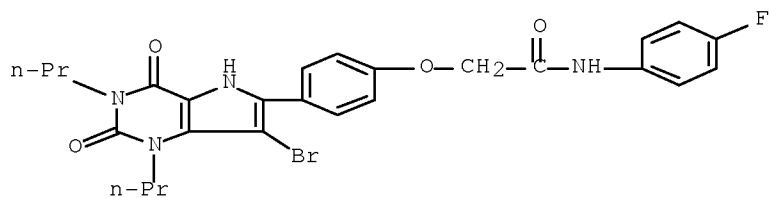
CN Acetamide, 2-[4-[1,3-bis(cyclopropylmethyl)-2,3,4,5-tetrahydro-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl]phenoxy]-N-(4-bromophenyl)- (CA INDEX NAME)



RN 480992-55-0 HCAPLUS

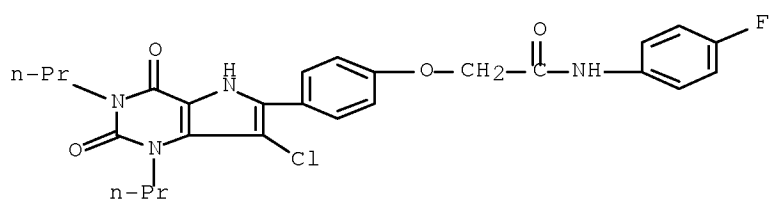
CN Acetamide, 2-[4-(7-bromo-2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]-N-(4-fluorophenyl)- (CA INDEX NAME)

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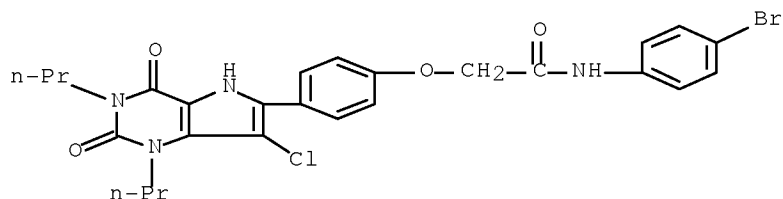
RN 480992-56-1 HCAPLUS

CN Acetamide, 2-[4-(7-chloro-2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]-N-(4-fluorophenyl)- (CA INDEX NAME)



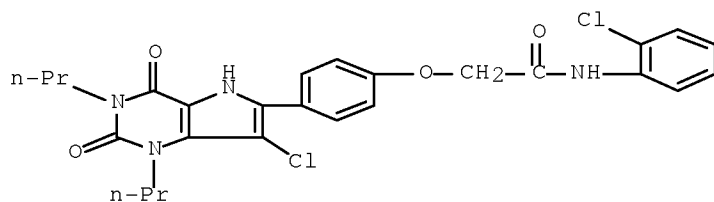
RN 480992-58-3 HCAPLUS

CN Acetamide, N-(4-bromophenyl)-2-[4-(7-chloro-2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



RN 480992-59-4 HCAPLUS

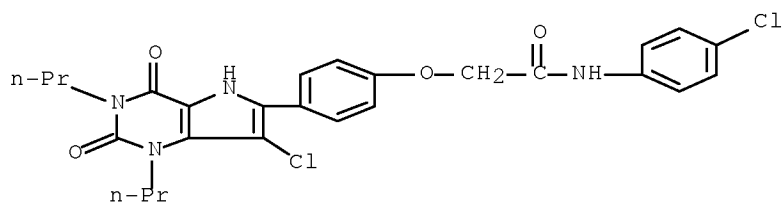
CN Acetamide, N-(2-chlorophenyl)-2-[4-(7-chloro-2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



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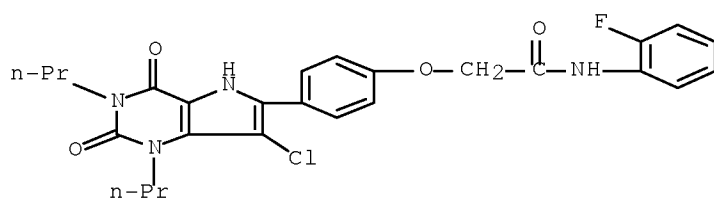
RN 480992-60-7 HCAPLUS

CN Acetamide, N-(4-chlorophenyl)-2-[4-(7-chloro-2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



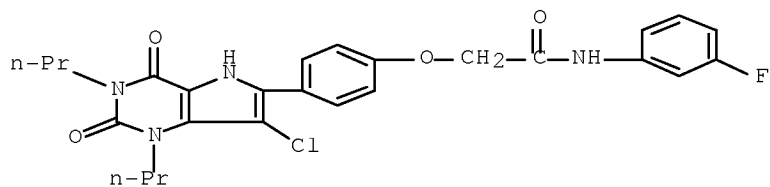
RN 480992-61-8 HCAPLUS

CN Acetamide, 2-[4-(7-chloro-2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]-N-(2-fluorophenyl)- (CA INDEX NAME)



RN 480992-66-3 HCAPLUS

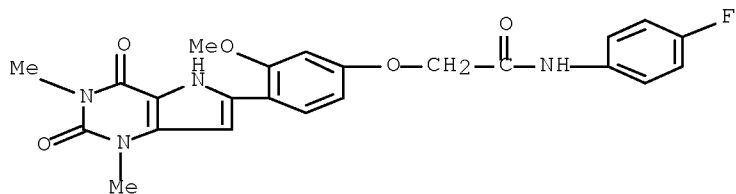
CN Acetamide, 2-[4-(7-chloro-2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]-N-(3-fluorophenyl)- (CA INDEX NAME)



RN 480992-68-5 HCAPLUS

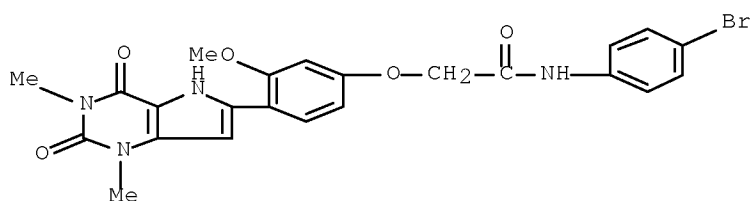
CN Acetamide, N-(4-fluorophenyl)-2-[3-methoxy-4-(2,3,4,5-tetrahydro-1,3-dimethyl-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)

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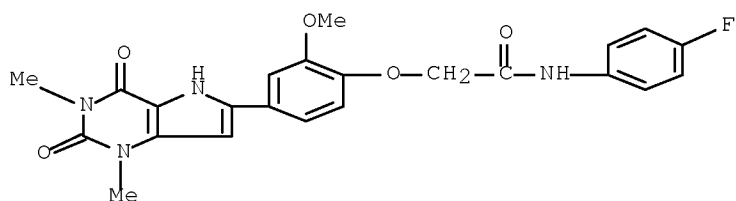
RN 480992-73-2 HCAPLUS

CN Acetamide, N-(4-bromophenyl)-2-[3-methoxy-4-(2,3,4,5-tetrahydro-1,3-dimethyl-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



RN 480992-77-6 HCAPLUS

CN Acetamide, N-(4-fluorophenyl)-2-[2-methoxy-4-(2,3,4,5-tetrahydro-1,3-dimethyl-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)

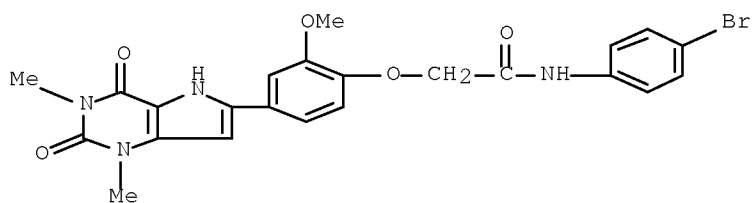


RN 480992-82-3 HCAPLUS

CN Acetamide, N-(4-bromophenyl)-2-[2-methoxy-4-(2,3,4,5-tetrahydro-1,3-dimethyl-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)

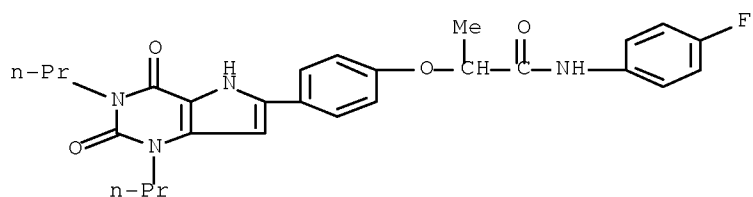


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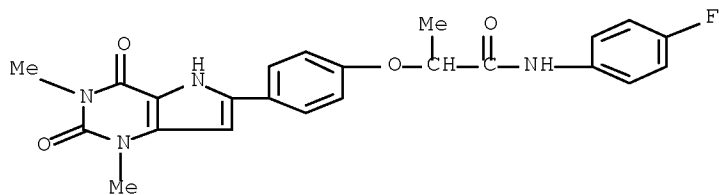
RN 480992-90-3 HCAPLUS

CN Propanamide, N-(4-fluorophenyl)-2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



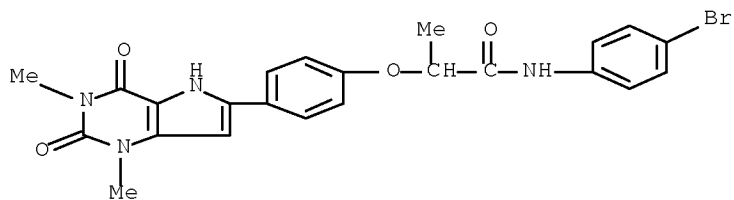
RN 480992-93-6 HCAPLUS

CN Propanamide, N-(4-fluorophenyl)-2-[4-(2,3,4,5-tetrahydro-1,3-dimethyl-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



RN 480992-94-7 HCAPLUS

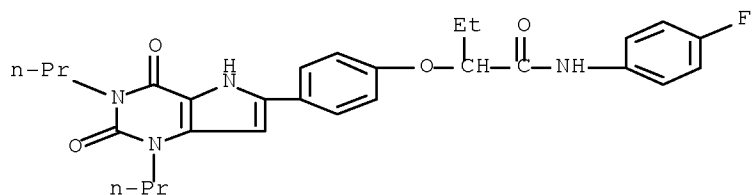
CN Propanamide, N-(4-bromophenyl)-2-[4-(2,3,4,5-tetrahydro-1,3-dimethyl-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



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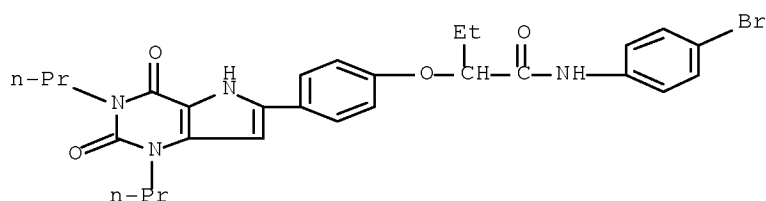
RN 480992-98-1 HCAPLUS

CN Butanamide, N-(4-fluorophenyl)-2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



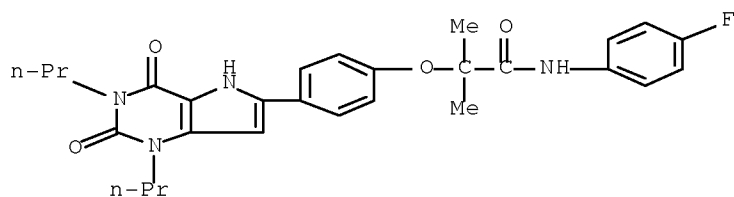
RN 480992-99-2 HCAPLUS

CN Butanamide, N-(4-bromophenyl)-2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



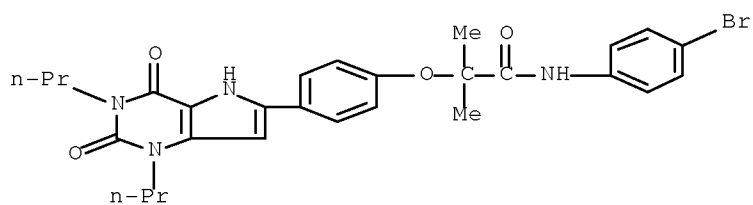
RN 480993-03-1 HCAPLUS

CN Propanamide, N-(4-fluorophenyl)-2-methyl-2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



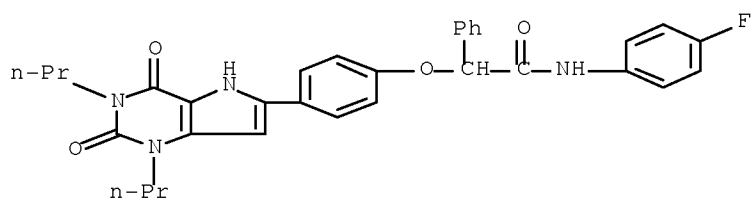
RN 480993-04-2 HCAPLUS

CN Propanamide, N-(4-bromophenyl)-2-methyl-2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



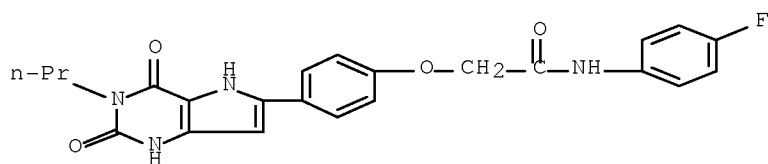
RN 480993-08-6 HCAPLUS

CN Benzeneacetamide, N-(4-fluorophenyl)- $\alpha$ -[4-(2,3,4,5-tetrahydro-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



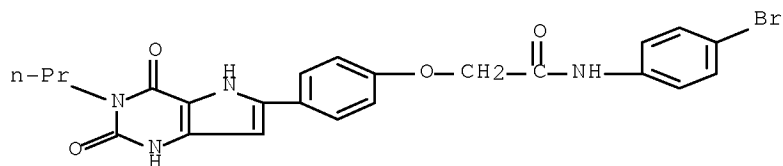
RN 480993-69-9 HCAPLUS

CN Acetamide, N-(4-fluorophenyl)-2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-3-propyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)

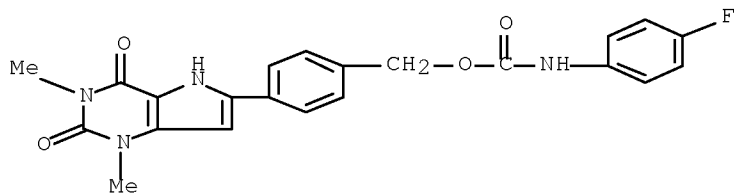


RN 480993-70-2 HCAPLUS

CN Acetamide, N-(4-bromophenyl)-2-[4-(2,3,4,5-tetrahydro-2,4-dioxo-3-propyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



RN 480993-82-6 HCAPLUS  
 CN Carbamic acid, (4-fluorophenyl)-, [4-(2,3,4,5-tetrahydro-1,3-dimethyl-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenyl]methyl ester (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)  
 REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 22 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:555466 HCAPLUS Full-text

DOCUMENT NUMBER: 137:125096

TITLE: Preparation of phenyl derivatives containing inhibitors of coagulation factor for prophylaxis and/or therapy of thromboembolic disorders  
 INVENTOR(S): Dorsch, Dieter; Mederski, Werner; Tsaklakidis, Christos; Cezanne, Bertram; Gleitz, Johannes; Barnes, Christopher

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: PCT Int. Appl., 133 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057236	A1	20020725	WO 2001-EP14296	20011205 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10102322	A1	20020725	DE 2001-10102322	20010119 <--
CA 2434937	A1	20020725	CA 2001-2434937	20011205 <--
AU 2002227993	A1	20020730	AU 2002-227993	20011205 <--
AU 2002227993	B2	20070809		
EP 1351938	A1	20031015	EP 2001-989580	20011205 <--
EP 1351938	B1	20070411		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			

10/569,873

BR 2001016804	A	20040217	BR 2001-16804	20011205 <--
CN 1518541	A	20040804	CN 2001-823061	20011205 <--
JP 2004535362	T	20041125	JP 2002-557917	20011205 <--
JP 4180375	B2	20081112		
HU 2005000110	A2	20050628	HU 2005-110	20011205 <--
AT 359271	T	20070515	AT 2001-989580	20011205 <--
ES 2284718	T3	20071116	ES 2001-989580	20011205 <--
MX 2003006483	A	20030922	MX 2003-6483	20030718 <--
IN 2003KN01033	A	20060602	IN 2003-KN1033	20030813 <--
ZA 2003006419	A	20041118	ZA 2003-6419	20030818 <--
US 20040087582	A1	20040506	US 2003-466680	20031218 <--
US 7273867	B2	20070925		

PRIORITY APPLN. INFO.:

DE 2001-10102322	A	20010119 <--
WO 2001-EP14296	W	20011205 <--

OTHER SOURCE(S): MARPAT 137:125096

ED Entered STN: 26 Jul 2002

AB Novel compds. of the formula R1R2C6H3-W-X-Y-T in which W, X, Y, T, R1 and R2 are as defined in Patent Claim 1, are inhibitors of coagulation factor Xa and can be employed for the prophylaxis and/or therapy of thromboembolic disorders. Thus, 3-(5-methyl-1,2,4-oxadiazol-3-yl)phenol wa reacted with Et 2-bromovalerate, sodium hydroxide, thionyl chloride, 4-morpholin-4-ylaniline, followed a hydrogenation in acetic acid to give 2-(3-amidinophenoxy)-N-(4-morpholin-4-ylphenyl)valeramide acetate, showing IC50=3x10<sup>-7</sup> M and IC50=4.9x10<sup>-7</sup> M.

IC ICM C07D211-76

ICS C07D211-74; C07D265-32; C07D241-08; C07D401-04; C07D263-22;  
C07D237-14; C07D223-10; A61K031-535; A61K031-50; A61K031-4412;  
A61K031-421

CC 27-19 (Heterocyclic Compounds (One Hetero Atom))

IT 444001-94-9P	444001-95-0P	444001-96-1P	444001-97-2P	444001-98-3P
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444004-16-4P 444004-17-5P 444004-18-6P 444004-19-7P 444004-20-0P  
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 444021-54-9P 444021-57-2P 444021-59-4P 444021-61-8P 444021-63-0P  
 444021-65-2P

RL: IMF (Industrial manufacture); THU (Therapeutic use); BIOL  
 (Biological study); PREP (Preparation); USES (Uses)

(preparation of Ph derivs. containing inhibitors of coagulation factor for  
 prophylaxis and/or therapy of thromboembolic disorders)

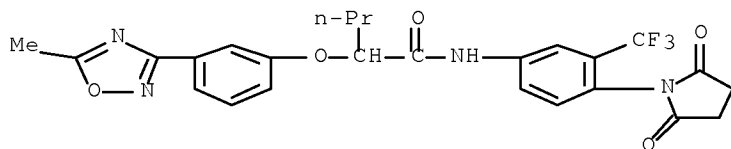
IT 444002-21-5P 444002-22-6P

RL: IMF (Industrial manufacture); THU (Therapeutic use); BIOL  
 (Biological study); PREP (Preparation); USES (Uses)

(preparation of Ph derivs. containing inhibitors of coagulation factor for  
 prophylaxis and/or therapy of thromboembolic disorders)

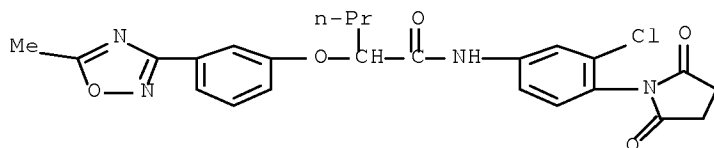
RN 444002-21-5 HCAPLUS

CN Pentanamide, N-[4-(2,5-dioxo-1-pyrrolidinyl)-3-(trifluoromethyl)phenyl]-2-  
 [3-(5-methyl-1,2,4-oxadiazol-3-yl)phenoxy]- (CA INDEX NAME)



RN 444002-22-6 HCAPLUS

CN Pentanamide, N-[3-chloro-4-(2,5-dioxo-1-pyrrolidinyl)phenyl]-2-[3-(5-  
 methyl-1,2,4-oxadiazol-3-yl)phenoxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS  
 RECORD (17 CITINGS)  
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 23 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:539647 HCAPLUS Full-text

DOCUMENT NUMBER: 137:109128

TITLE: Preparation of biaryl compounds for treatment of  
 hyperlipidemia and arteriosclerosis

INVENTOR(S): Kori, Masakuni; Ishikawa, Eiichiro; Nakata, Mikiyo;  
 Kobayashi, Makoto

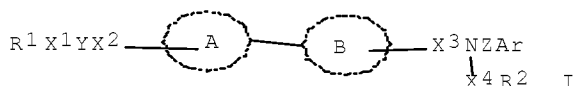
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 470 pp.

CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055484	A1	20020718	WO 2002-JP73	20020110 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002226675	A1	20020724	AU 2002-226675	20020110 <--
JP 2003055326	A	20030226	JP 2002-4422	20020111 <--
PRIORITY APPLN. INFO.:			JP 2001-5823	A 20010112 <--
			JP 2001-174901	A 20010608 <--
			WO 2002-JP73	W 20020110 <--

OTHER SOURCE(S): MARPAT 137:109128  
 ED Entered STN: 19 Jul 2002  
 GI



AB The title compds. I [rings A and B each represents an optionally substituted five- or six-membered aromatic ring; R1 and R2 each represents hydrogen, an optionally substituted hydrocarbon group, or an optionally substituted heterocyclic group; X1, X2, X3, and X4 each represents a bond or an optionally substituted divalent hydrocarbon group; Y represents NR3CO, CONR3, NR3SO2, SO2NR3, NR3CH2 (R3 represents hydrogen, an optionally substituted hydrocarbon group, or an optionally substituted heterocyclic group), etc.; Z represents CONH, CSNH, CO, or SO2; and Ar represents an optionally substituted cyclic hydrocarbon group or an optionally substituted heterocyclic group] are prepared I increase the amount of low-d. lipoprotein (LDL) receptors. The LDL receptor gene transcription promoting activities of compds. of this invention were demonstrated. Processes for preparing I are disclosed.

IC ICM C07C233-78  
 ICS C07C233-80; C07C271-08; C07C271-40; C07C275-28; C07C311-01;  
 C07C311-15; C07C311-30; C07C335-16; C07D213-40; C07D213-56;  
 C07D213-75; C07D213-81; C07D213-82; C07D307-52; C07D333-20;  
 C07D401-12; C07D405-12; C07D409-12; A61K031-17  
 CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
 Section cross-reference(s): 1, 27, 28

IT 443340-73-6P 443340-76-9P 443340-77-0P  
 443342-38-9P 443342-45-8P 443343-42-8P  
 RL: IMF (Industrial manufacture); PAC (Pharmacological activity)  
 ; RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic  
use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or

reagent); USES (Uses)

(preparation of biaryl compds. for treatment of hyperlipidemia and arteriosclerosis)

IT	443340-69-0P	443340-70-3P	443340-71-4P	443340-74-7P	443340-75-8P
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	443342-72-1P	443342-73-2P	443342-74-3P	443342-75-4P	443342-76-5P
	443342-77-6P	443342-78-7P	443342-79-8P	443342-80-1P	443342-81-2P
	443342-82-3P	443342-83-4P	443342-84-5P	443342-85-6P	443342-86-7P
	443342-87-8P	443342-88-9P	443342-89-0P	443342-90-3P	443342-91-4P
	443342-92-5P	443342-93-6P	443342-94-7P	443342-95-8P	443342-96-9P
	443342-97-0P	443342-98-1P	443342-99-2P	443343-00-8P	443343-01-9P
	443343-02-0P	443343-03-1P	443343-04-2P	443343-05-3P	443343-06-4P
	443343-07-5P	443343-08-6P	443343-09-7P		



RL: IMF (Industrial manufacture); PAC (Pharmacological activity)  
 ; SPN (Synthetic preparation); THU (Therapeutic use); BIOL  
 (Biological study); PREP (Preparation); USES (Uses)

(preparation of biaryl compds. for treatment of hyperlipidemia and  
 arteriosclerosis)

IT	1762-34-1P	1762-46-5P	6311-35-9P, 6-Bromonicotinic acid	29886-62-2P,	
	4-(2-Thienyl)benzoic acid	31181-90-5P	33024-60-1P	35461-98-4P	
	65586-64-3P	70000-61-2P	70917-02-1P	75601-33-1P	105501-69-7P
	122306-01-8P	130497-31-3P	131818-17-2P	153171-22-3P	171663-13-1P
	312922-67-1P	328125-41-3P	443343-62-2P	443343-63-3P	443343-64-4P
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	443344-81-8P	443344-82-9P	443344-83-0P	443344-84-1P	443344-85-2P
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	443345-11-7P	<del>443345-12-8P</del>	443345-13-9P		
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	<del>443345-27-5P</del>	443345-28-6P	<del>443345-29-7P</del>		
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	443345-38-8P	<del>443345-39-9P</del>	<del>443345-40-2P</del>		
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	443345-56-0P	443345-57-1P	443345-58-2P	443345-59-3P	443345-60-6P
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443345-76-4P 443345-77-5P 443345-78-6P 443345-79-7P 443345-80-0P  
443345-81-1P 443345-82-2P 443345-83-3P 443345-86-6P 443345-87-7P  
443345-88-8P 443345-89-9P 443345-93-5P 443345-96-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of biaryl compds. for treatment of hyperlipidemia and arteriosclerosis)

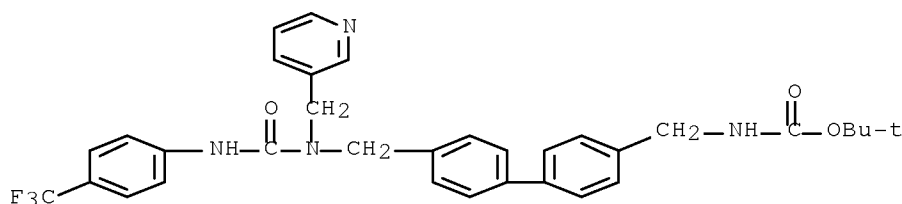
IT ~~443340-76-9P~~ ~~443340-77-0P~~ ~~443343-42-8P~~

RL: IMF (Industrial manufacture); ~~PAC (Pharmacological activity)~~; RCT (Reactant); SPN (Synthetic preparation); ~~THU (Therapeutic use)~~; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of biaryl compds. for treatment of hyperlipidemia and arteriosclerosis)

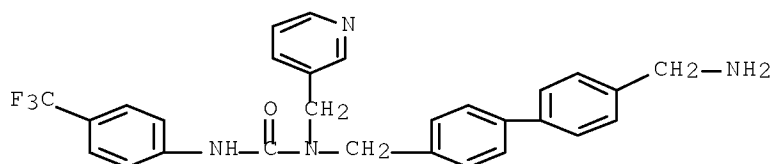
RN 443340-76-9 HCAPLUS

CN Carbamic acid, [[4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 443340-77-0 HCAPLUS

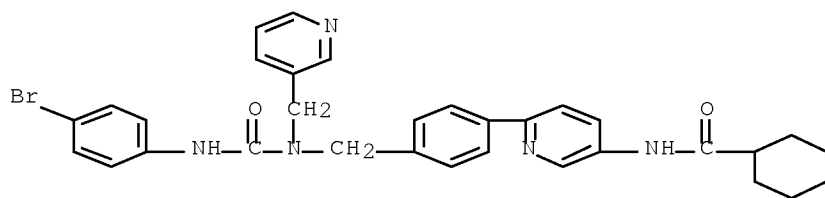
CN Urea, N-[[4'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 443343-42-8 HCAPLUS

CN Cyclohexanecarboxamide, N-[6-[4-[[[(4-bromophenyl)amino]carbonyl](3-pyridinylmethyl)amino]methyl]phenyl]-3-pyridinyl]- (CA INDEX NAME)

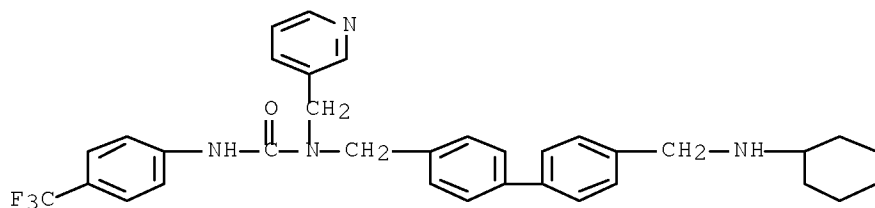


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	443340-81-6P	443340-82-7P	443340-83-8P
	443340-84-9P	443340-85-0P	443340-86-1P
	443340-87-2P	443340-88-3P	443340-89-4P
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	443342-57-2P	443342-58-3P	443342-59-4P
	443342-60-7P	443342-63-0P	443342-64-1P
	443342-65-2P		

RL: IMF (Industrial manufacture); PAC (Pharmacological activity)  
 ; SPN (Synthetic preparation); THU (Therapeutic use); BIOL  
 (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of biaryl compds. for treatment of hyperlipidemia and  
 arteriosclerosis)

RN 443340-78-1 HCAPLUS

CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl)methyl]-N-(3-  
 pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA  
 INDEX NAME)

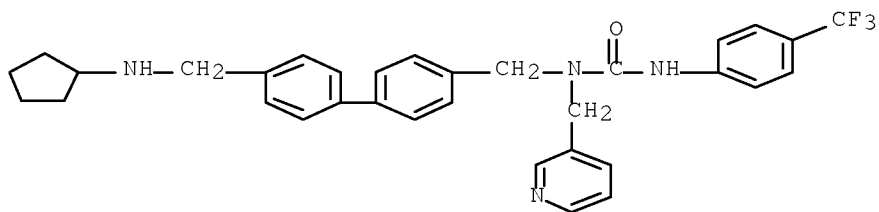


● 2 HCl

RN 443340-79-2 HCAPLUS

CN Urea, N-[[4'-[(cyclopentylamino)methyl][1,1'-biphenyl]-4-yl)methyl]-N-(3-  
 pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA  
 INDEX NAME)

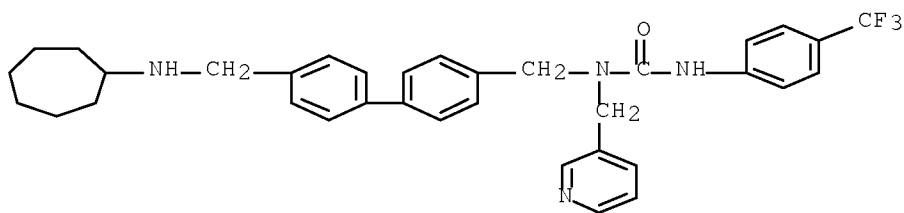
10/569,873



● 2 HCl

RN 443340-80-5 HCAPLUS

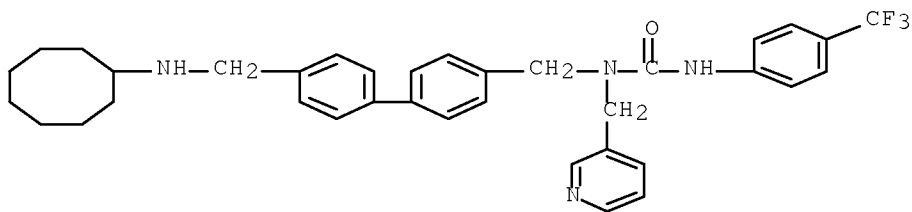
CN Urea, N-[[4'-[(cycloheptylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 443340-81-6 HCAPLUS

CN Urea, N-[[4'-[(cyclooctylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



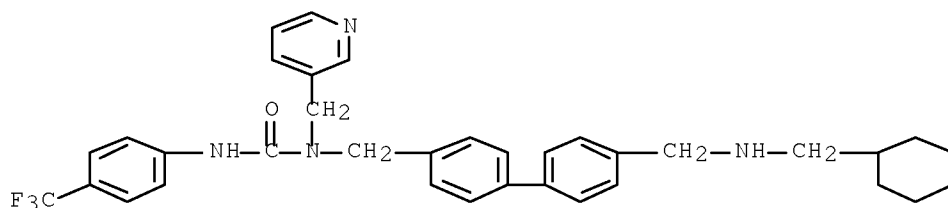
● 2 HCl

RN 443340-82-7 HCAPLUS

CN Urea, N-[[4'-[[[(cyclohexylmethyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-

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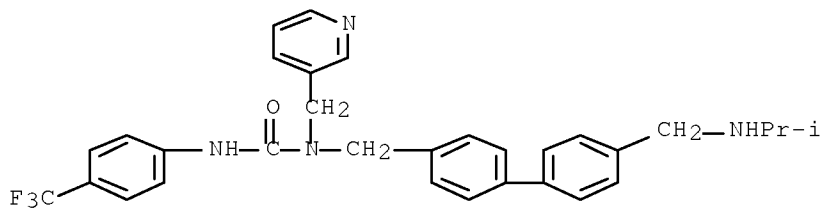
N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2)  
(CA INDEX NAME)



●2 HCl

RN 443340-83-8 HCAPLUS

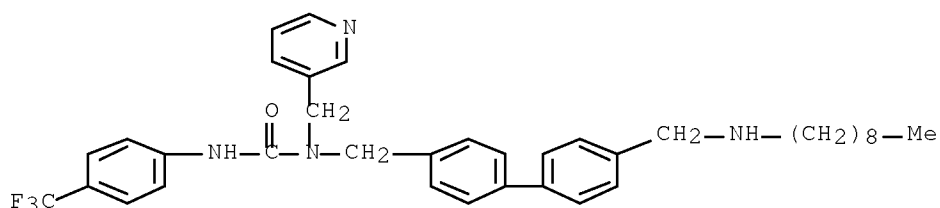
CN Urea, N-[[4'-[[[(1-methylethyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2)  
(CA INDEX NAME)



●2 HCl

RN 443340-84-9 HCAPLUS

CN Urea, N-[[4'-[(nonylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)

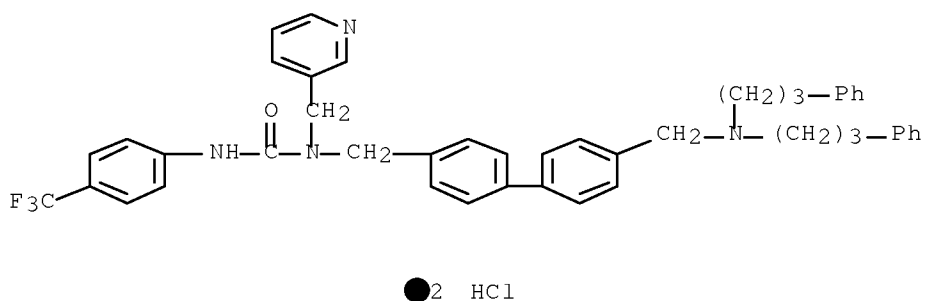


●2 HCl

10/569,873

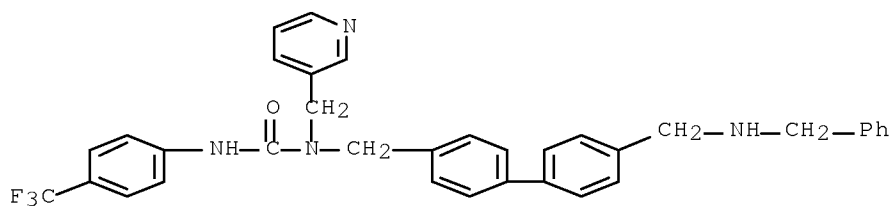
RN 443340-85-0 HCAPLUS

CN Urea, N-[[4'-[[bis(3-phenylpropyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



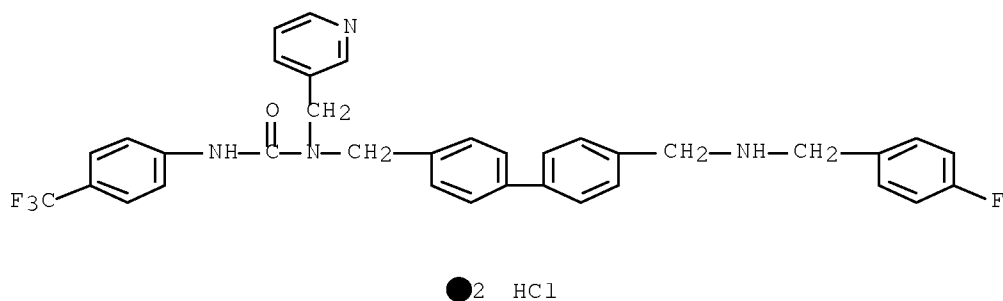
RN 443340-86-1 HCAPLUS

CN Urea, N-[[4'-[[[(phenylmethyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 443340-87-2 HCAPLUS

CN Urea, N-[[4'-[[[(4-fluorophenyl)methyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)

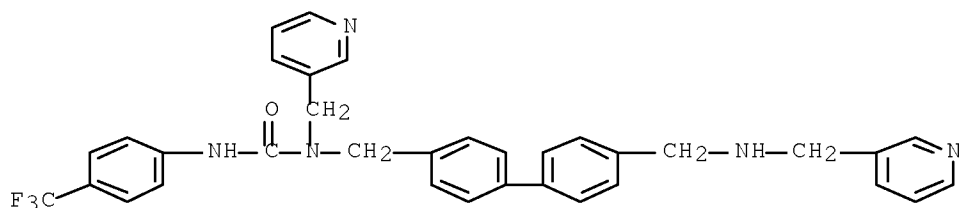


RN 443340-88-3 HCAPLUS

CN Urea, N-(3-pyridinylmethyl)-N-[[4'-[[[(3-pyridinylmethyl)amino]methyl][1,1'-

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biphenyl]-4-yl)methyl]-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride  
(1:3) (CA INDEX NAME)

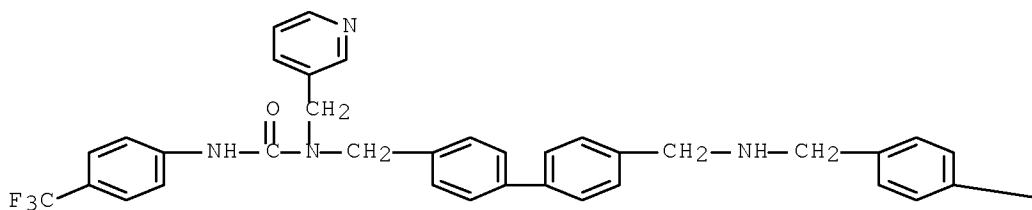


●3 HCl

RN 443340-89-4 HCAPLUS

CN Urea, N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-N-[[4'-[[[4-(trifluoromethyl)phenyl)methyl]amino]methyl][1,1'-biphenyl]-4-yl)methyl]-, hydrochloride (1:2) (CA INDEX NAME)

PAGE 1-A



●2 HCl

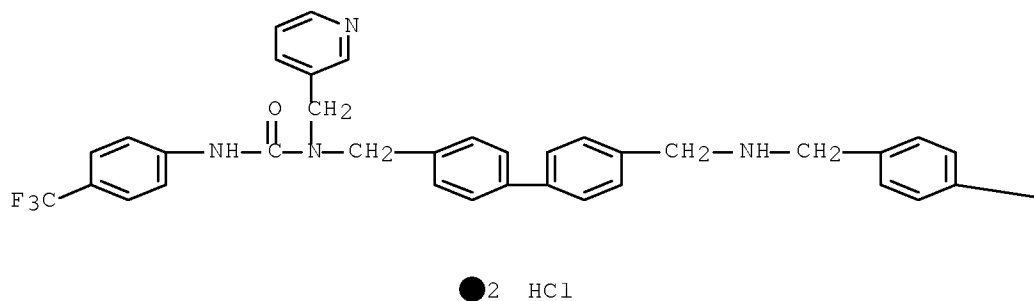
PAGE 1-B

—CF<sub>3</sub>

RN 443340-90-7 HCAPLUS

CN Urea, N-[[4'-[[[4-methoxyphenyl)methyl]amino]methyl][1,1'-biphenyl]-4-yl)methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)

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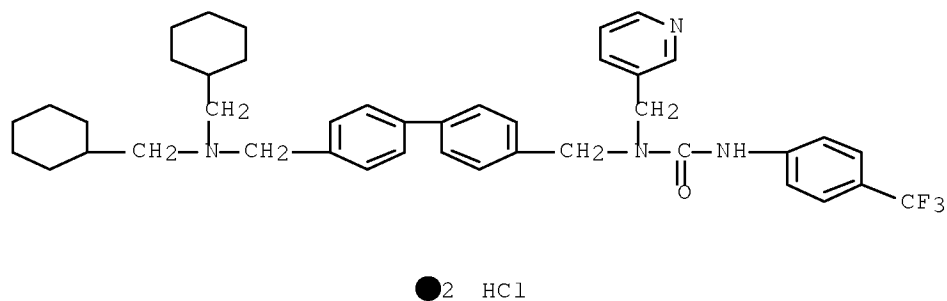


PAGE 1-B

— OMe

RN 443340-91-8 HCAPLUS

CN Urea, N-[[4'-[[bis(cyclohexylmethyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)

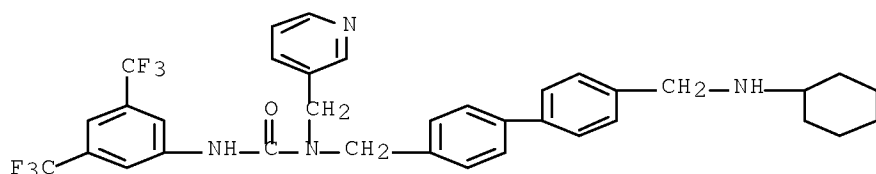


RN 443340-97-4 HCAPLUS

CN Urea, N'-[3,5-bis(trifluoromethyl)phenyl]-N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)



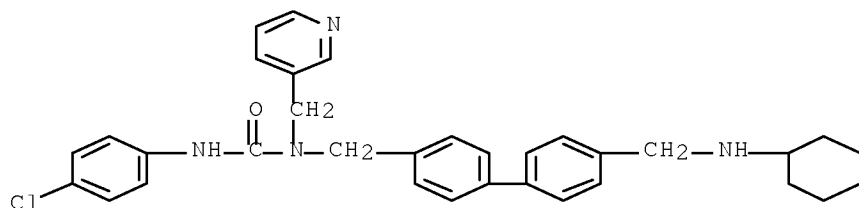
10/569,873



● 2 HCl

RN 443341-06-8 HCAPLUS

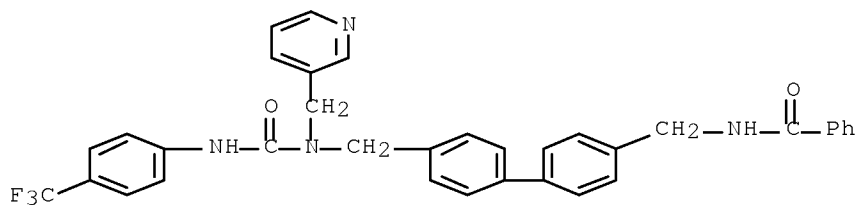
CN Urea, N'-(4-chlorophenyl)-N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

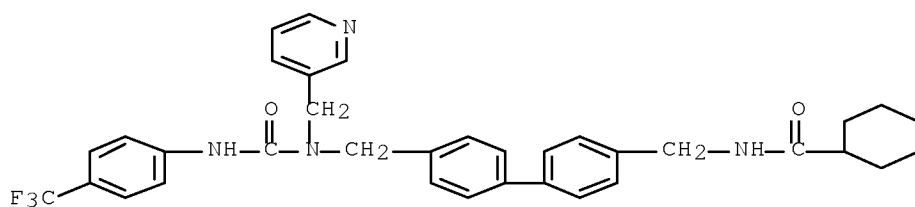
RN 443341-26-2 HCAPLUS

CN Benzamide, N-[[4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



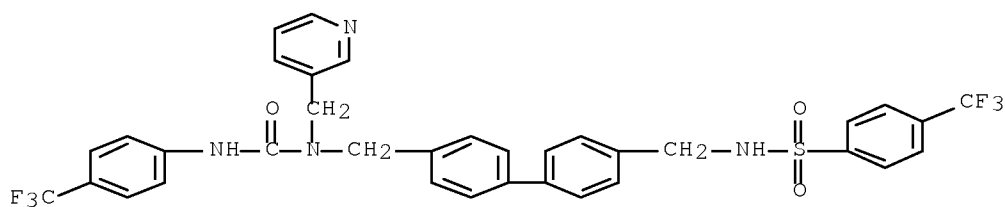
RN 443341-27-3 HCAPLUS

CN Cyclohexanecarboxamide, N-[[4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



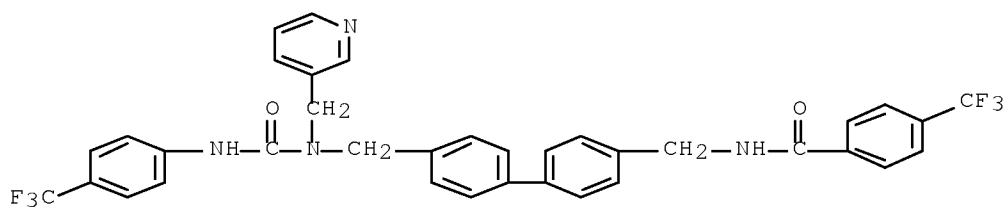
RN 443341-28-4 HCAPLUS

CN Benzenesulfonamide, N-[[4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-4-(trifluoromethyl)- (CA INDEX NAME)



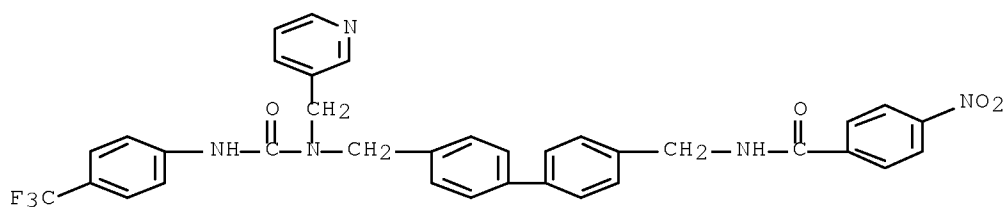
RN 443341-29-5 HCAPLUS

CN Benzamide, N-[[4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-4-(trifluoromethyl)- (CA INDEX NAME)



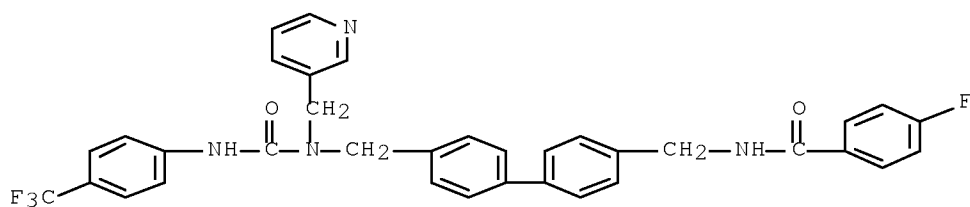
RN 443341-30-8 HCAPLUS

CN Benzamide, 4-nitro-N-[[4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



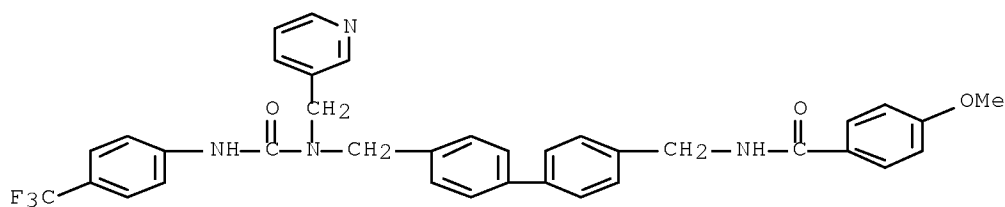
RN 443341-31-9 HCAPLUS

CN Benzamide, 4-fluoro-N-[[4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



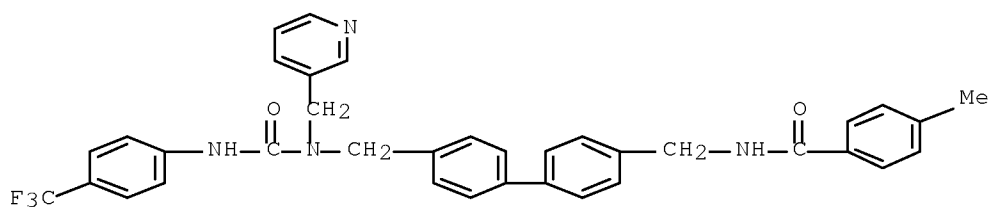
RN 443341-32-0 HCAPLUS

CN Benzamide, 4-methoxy-N-[[4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



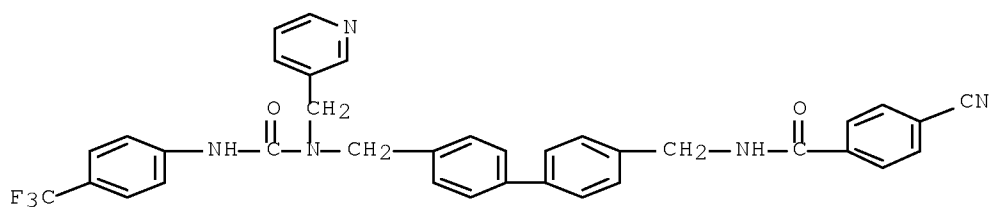
RN 443341-33-1 HCAPLUS

CN Benzamide, 4-methyl-N-[[4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



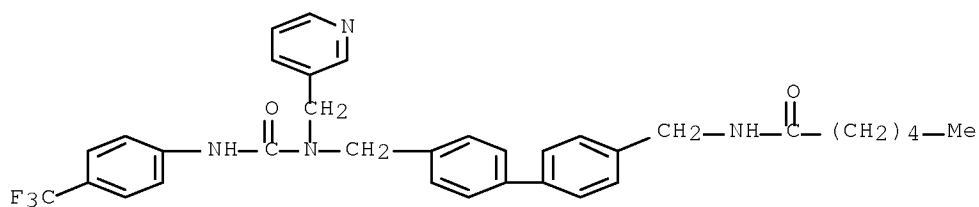
RN 443341-34-2 HCAPLUS

CN Benzamide, 4-cyano-N-[[4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



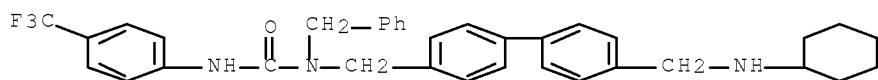
RN 443341-35-3 HCAPLUS

CN Hexanamide, N-[[4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



RN 443341-36-4 HCAPLUS

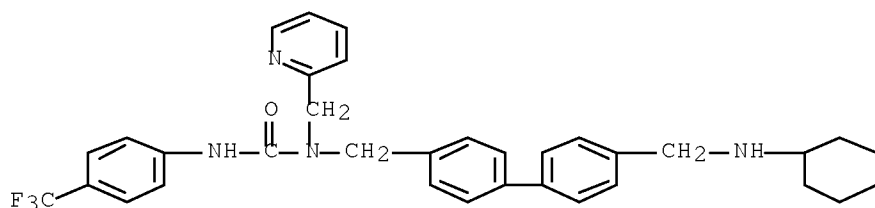
CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(phenylmethyl)-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 443341-40-0 HCAPLUS

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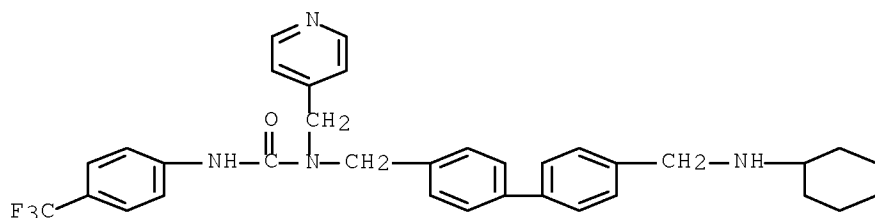
CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(2-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 443341-42-2 HCAPLUS

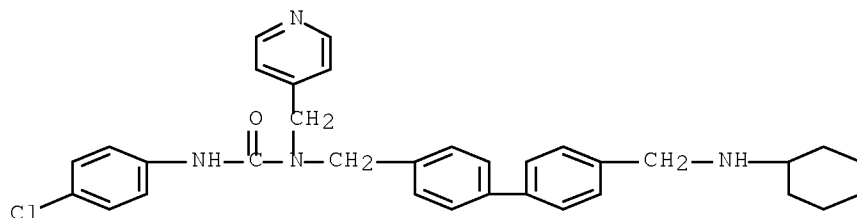
CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(4-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 443341-44-4 HCAPLUS

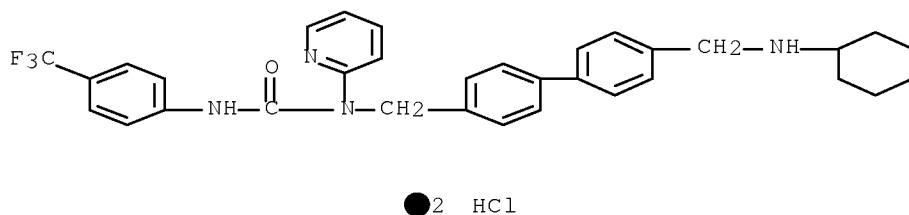
CN Urea, N'-(4-chlorophenyl)-N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(4-pyridinylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)



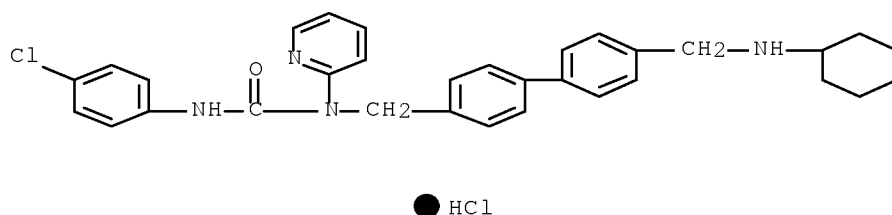
● 2 HCl

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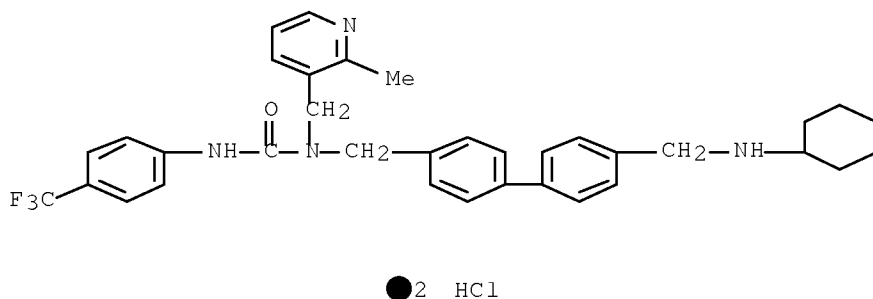
RN 443341-45-5 HCAPLUS  
 CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-2-pyridinyl-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



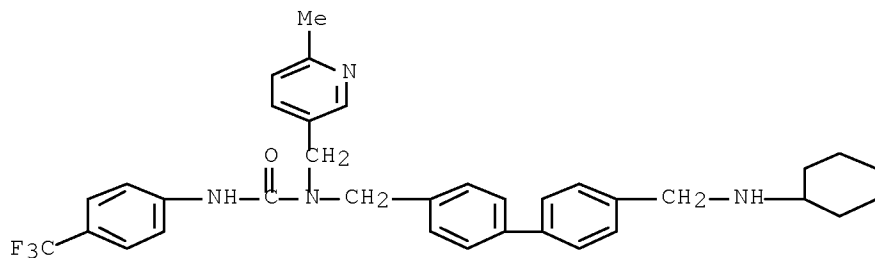
RN 443341-47-7 HCAPLUS  
 CN Urea, N'-(4-chlorophenyl)-N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-2-pyridinyl-, hydrochloride (1:1) (CA INDEX NAME)



RN 443341-48-8 HCAPLUS  
 CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-[(2-methyl-3-pyridinyl)methyl]-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



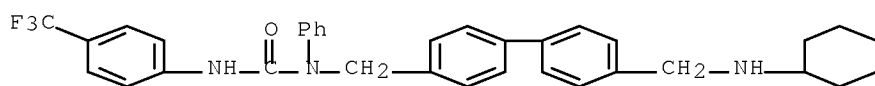
RN 443341-49-9 HCAPLUS  
 CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-[(6-methyl-3-pyridinyl)methyl]-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 443341-51-3 HCAPLUS

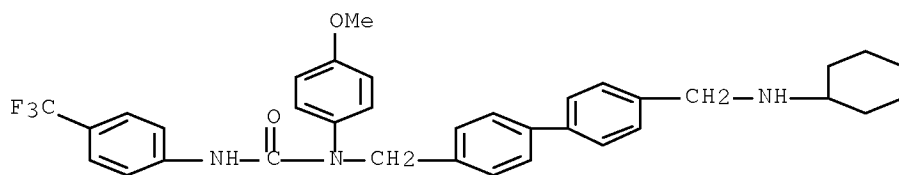
CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-phenyl-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 443341-53-5 HCAPLUS

CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(4-methoxyphenyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

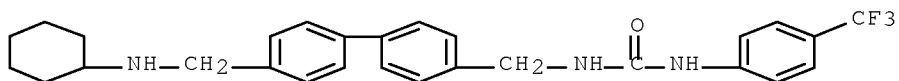


● HCl

RN 443341-55-7 HCAPLUS

CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

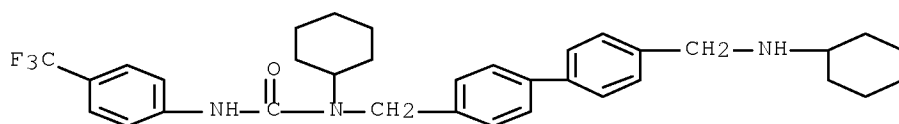
10/569,873



● HCl

RN 443341-57-9 HCAPLUS

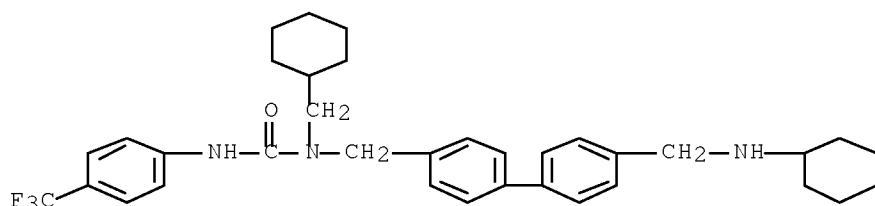
CN Urea, N-cyclohexyl-N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 443341-59-1 HCAPLUS

CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(cyclohexylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



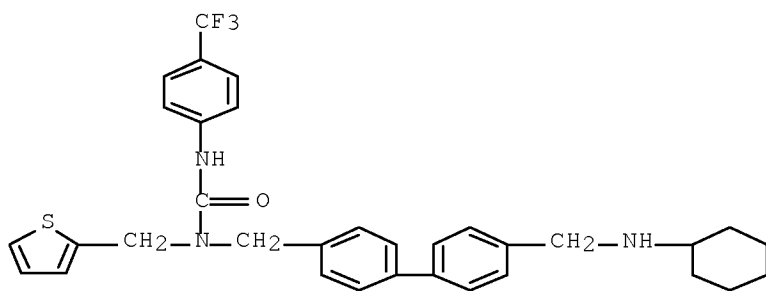
● HCl

RN 443341-61-5 HCAPLUS

CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(2-thienylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



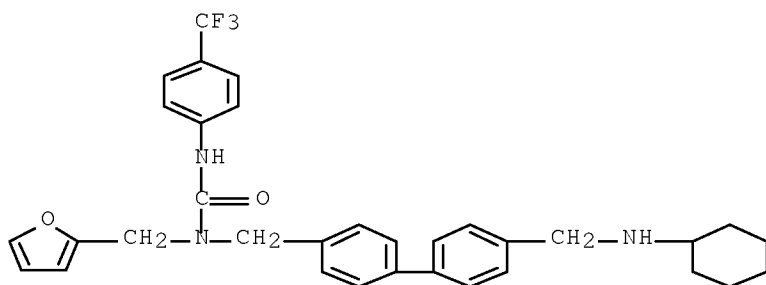
10/569,873



● HCl

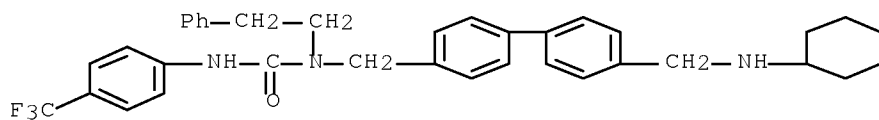
RN 443341-63-7 HCAPLUS

CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(2-furanylmethyl)-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 443341-65-9 HCAPLUS

CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(2-phenylethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

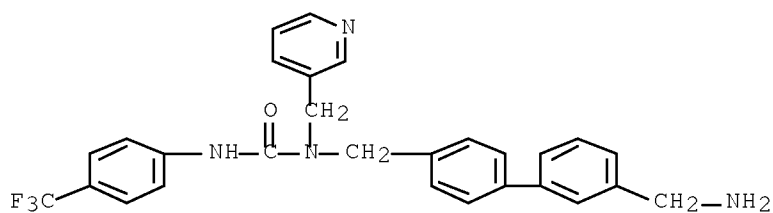


● HCl

RN 443341-68-2 HCAPLUS

CN Urea, N-[[3'-(aminomethyl)[1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)

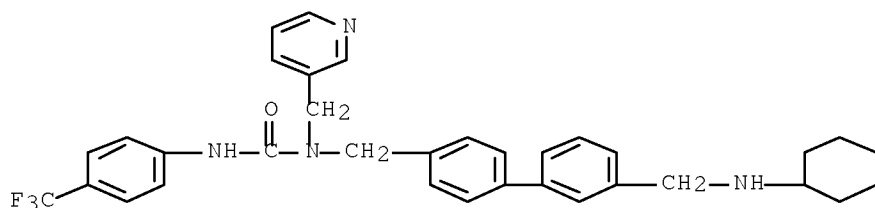
10/569,873



●2 HCl

RN 443341-69-3 HCAPLUS

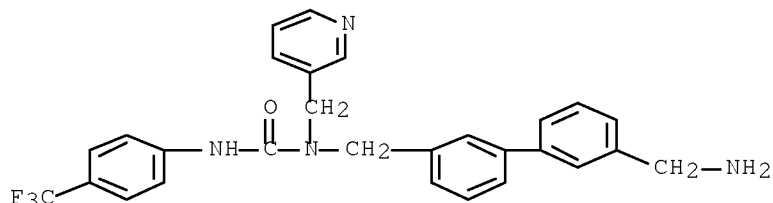
CN Urea, N-[[3'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 443341-72-8 HCAPLUS

CN Urea, N-[[3'-[(aminomethyl)[1,1'-biphenyl]-3-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)

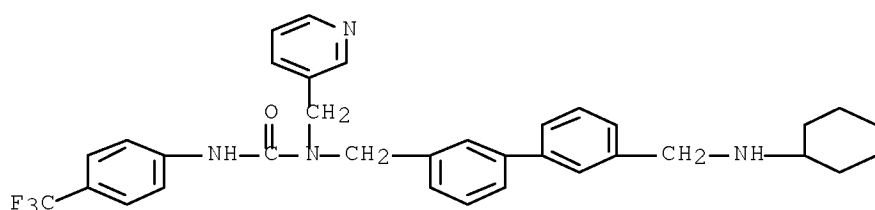


●2 HCl

RN 443341-73-9 HCAPLUS

CN Urea, N-[[3'-[(cyclohexylamino)methyl][1,1'-biphenyl]-3-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)

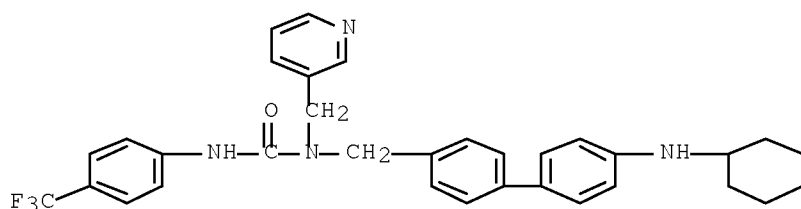
10/569,873



● 2 HCl

RN 443341-78-4 HCAPLUS

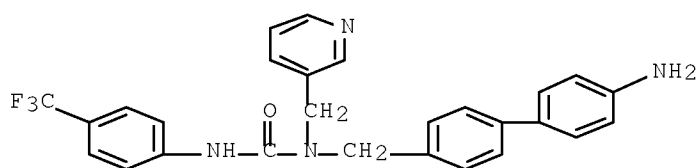
CN Urea, N-[[4'-(cyclohexylamino)[1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 443341-79-5 HCAPLUS

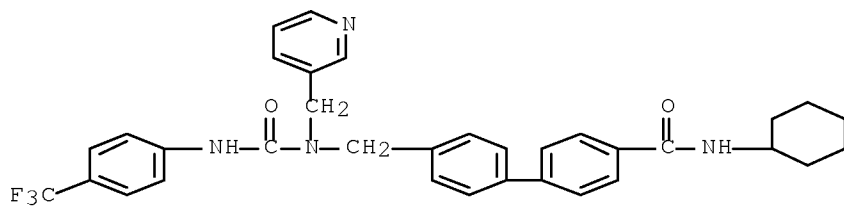
CN Urea, N-[(4'-amino[1,1'-biphenyl]-4-yl)methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

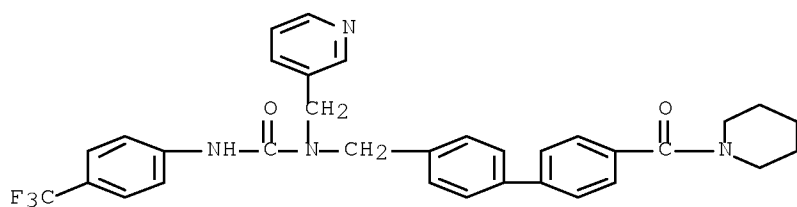
RN 443342-57-2 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-cyclohexyl-4'--[[[3-pyridinylmethyl][4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)



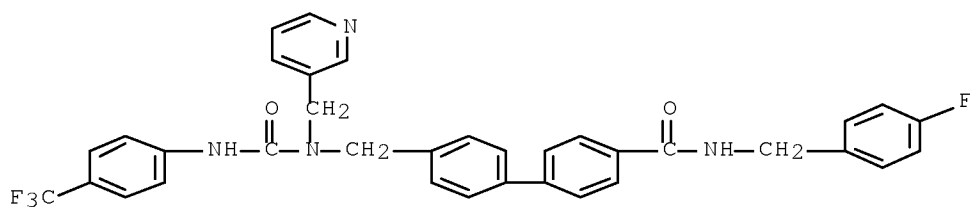
RN 443342-58-3 HCAPLUS

CN Urea, N-[[4'-(1-piperidinylcarbonyl)[1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 443342-59-4 HCAPLUS

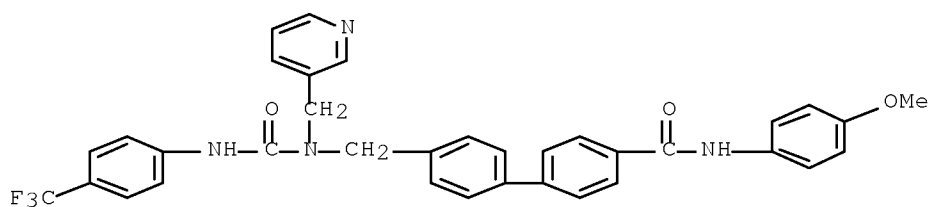
CN [1,1'-Biphenyl]-4-carboxamide, N-[(4-fluorophenyl)methyl]-4'-[[3-pyridinylmethyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)



RN 443342-60-7 HCAPLUS

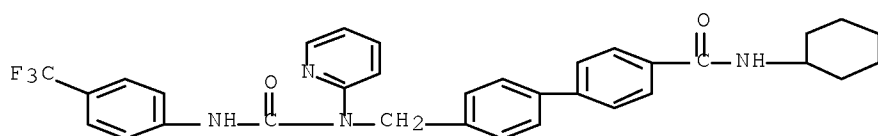
CN [1,1'-Biphenyl]-4-carboxamide, N-(4-methoxyphenyl)-4'-[[3-pyridinylmethyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)

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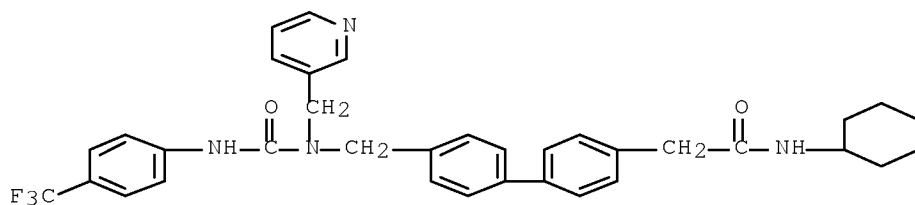
RN 443342-63-0 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-cyclohexyl-4'--[[2-pyridinyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)



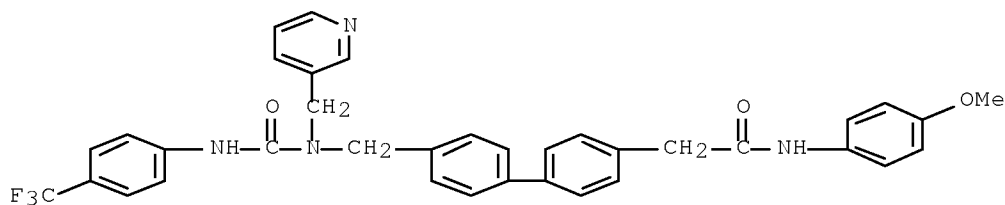
RN 443342-64-1 HCAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-cyclohexyl-4'--[[3-pyridinylmethyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)



RN 443342-65-2 HCAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-(4-methoxyphenyl)-4'--[[3-pyridinylmethyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)



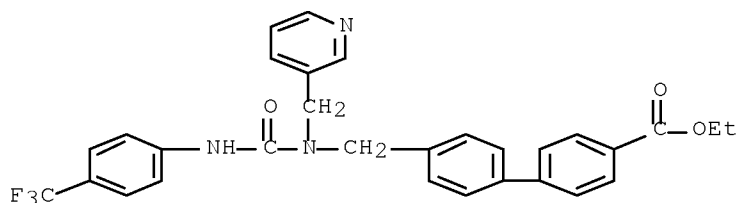
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	443344-39-6P	443344-40-9P	443344-41-0P
	443344-42-1P	443344-43-2P	443344-44-3P
	443344-45-4P	443344-46-5P	443344-47-6P
	443344-48-7P	443344-49-8P	443344-50-1P
	443344-51-2P	443344-52-3P	443344-62-5P
	443344-63-6P	443344-72-7P	443344-73-8P
	443344-76-1P	443344-97-6P	443344-99-8P
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	443345-06-0P	443345-07-1P	443345-08-2P
	443345-10-6P	443345-12-8P	443345-14-0P
	443345-16-2P	443345-18-4P	443345-20-8P
	443345-22-0P	443345-24-2P	443345-27-5P
	443345-29-7P	443345-30-0P	443345-33-3P
	443345-34-4P	443345-39-9P	443345-40-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of biaryl compds. for treatment of hyperlipidemia and arteriosclerosis)

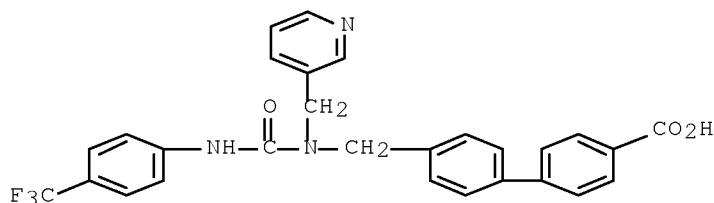
RN 443343-94-0 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid,  
4'--[[ (3-pyridinylmethyl) [[ [4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]-, ethyl ester (CA INDEX NAME)



RN 443343-95-1 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid,  
4'--[[ (3-pyridinylmethyl) [[ [4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)

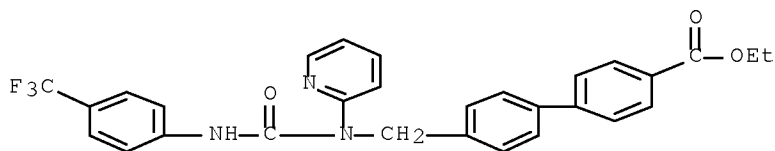


RN 443344-03-4 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid,  
4'--[[ (2-pyridinyl) [[ [4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]-

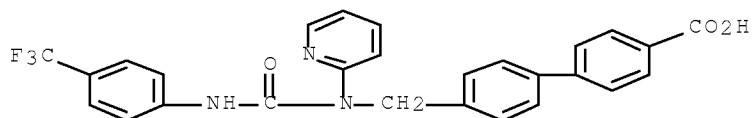
10/569,873

, ethyl ester (CA INDEX NAME)



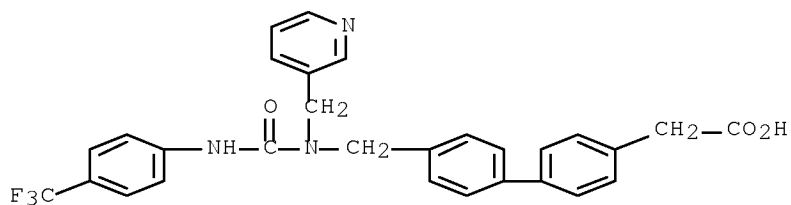
RN 443344-04-5 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid,  
4'-[[2-pyridinyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]-  
(CA INDEX NAME)



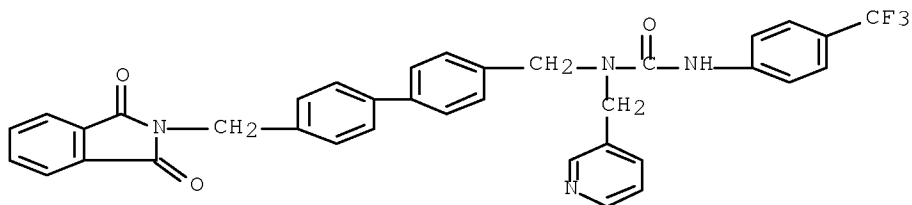
RN 443344-06-7 HCAPLUS

CN [1,1'-Biphenyl]-4-acetic acid, 4'-[[3-pyridinylmethyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]- (CA INDEX NAME)



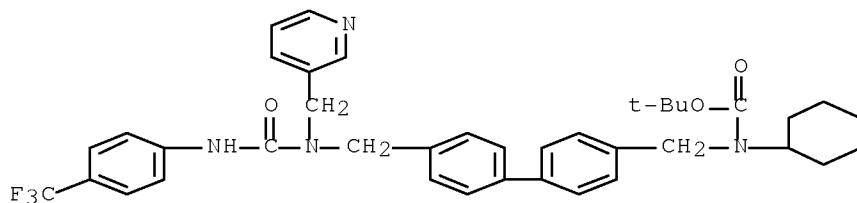
RN 443344-35-2 HCAPLUS

CN Urea, N-[[4'-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



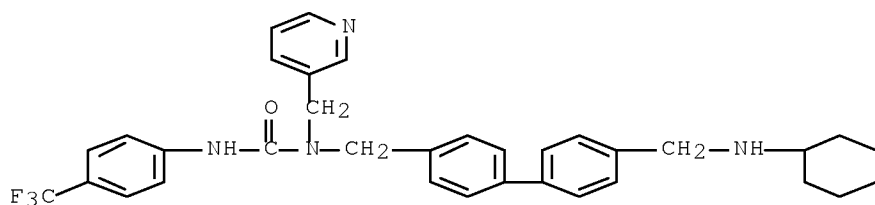
RN 443344-36-3 HCAPLUS

CN Carbamic acid, cyclohexyl[[4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



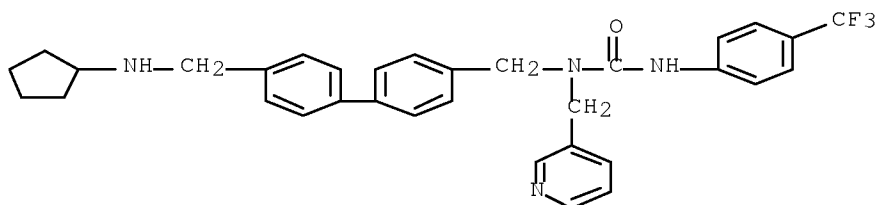
RN 443344-37-4 HCAPLUS

CN Urea, N-[[4'-[(cyclohexylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 443344-38-5 HCAPLUS

CN Urea, N-[[4'-[(cyclopentylamino)methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

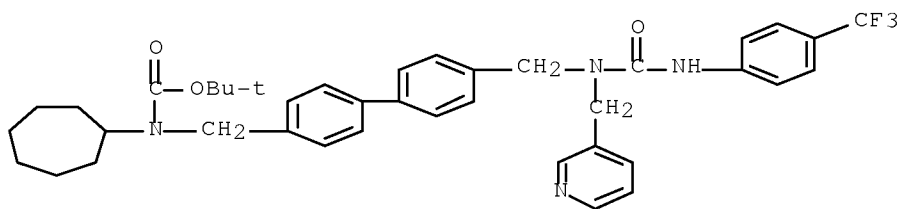


RN 443344-39-6 HCAPLUS

CN Carbamic acid, cycloheptyl[[4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

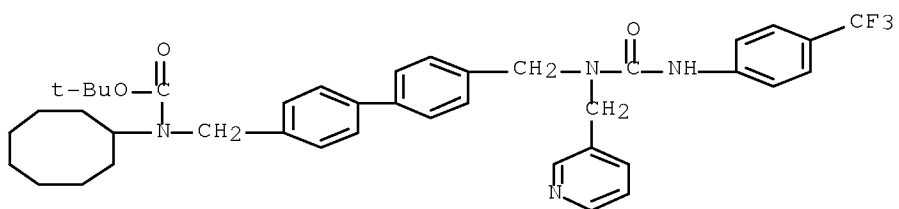


10/569,873



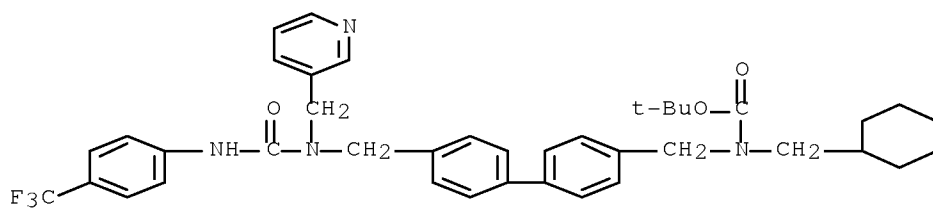
RN 443344-40-9 HCAPLUS

CN Carbamic acid, cyclooctyl[[4'-[[[(3-pyridinylmethyl)]]4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



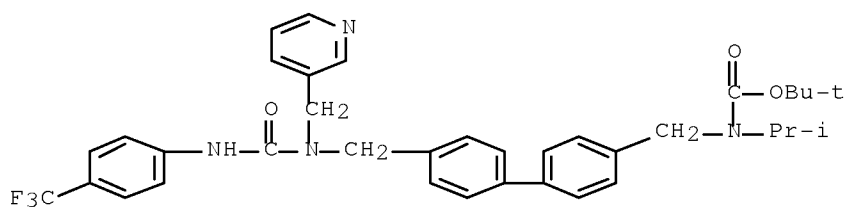
RN 443344-41-0 HCAPLUS

CN Carbamic acid, (cyclohexylmethyl)[[4'-[[[(3-pyridinylmethyl)]]4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



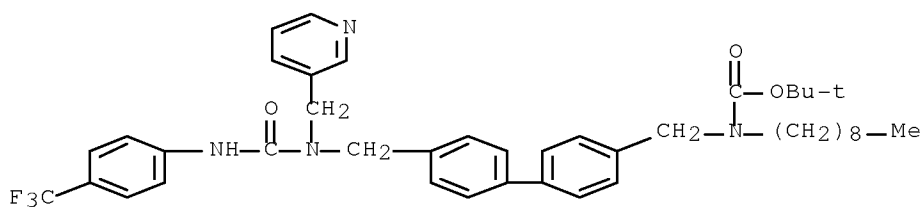
RN 443344-42-1 HCAPLUS

CN Carbamic acid, (1-methylethyl)[[4'-[[[(3-pyridinylmethyl)]]4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



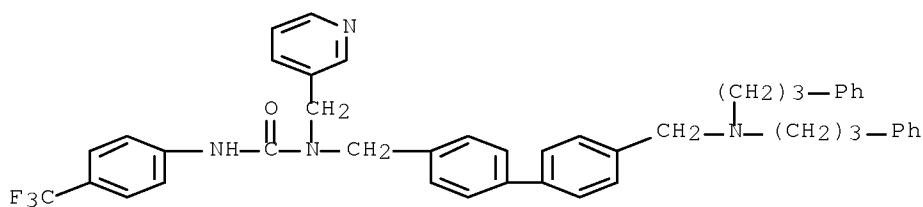
RN 443344-43-2 HCAPLUS

CN Carbamic acid, nonyl[[4'-[[[(3-pyridinylmethyl)]][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



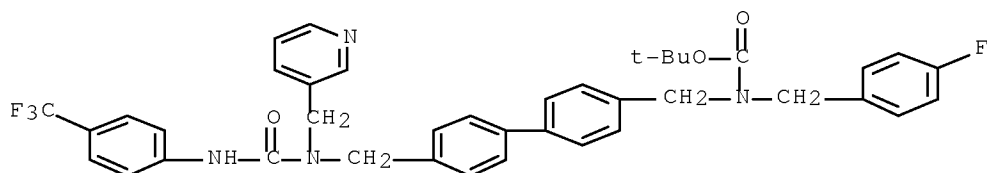
RN 443344-44-3 HCAPLUS

CN Urea, N-[[4'-[[bis(3-phenylpropyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-N-(3-pyridinylmethyl)-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



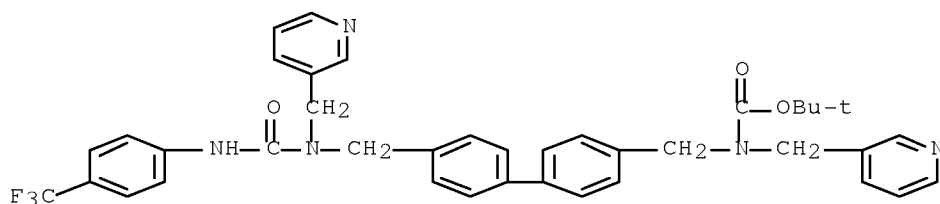
RN 443344-45-4 HCAPLUS

CN Carbamic acid, [(4-fluorophenyl)methyl][[4'-[[[(3-pyridinylmethyl)]][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



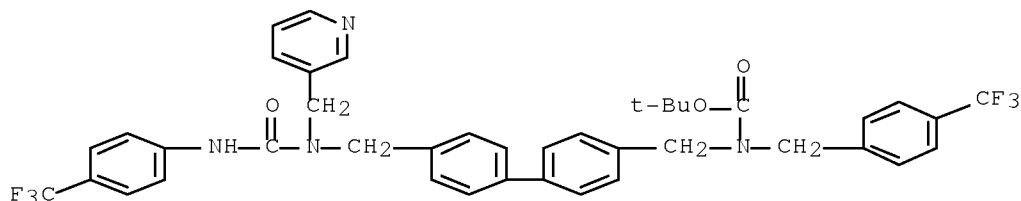
RN 443344-46-5 HCAPLUS

CN Carbamic acid, (3-pyridinylmethyl)[[4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



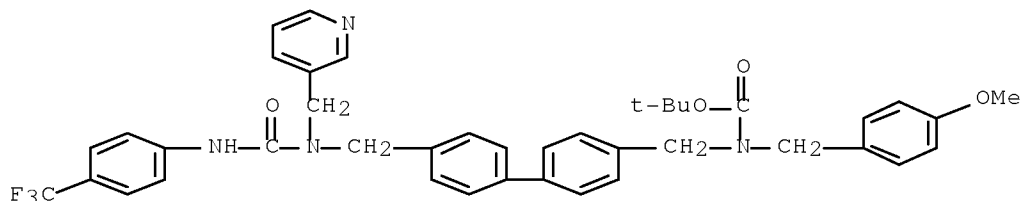
RN 443344-47-6 HCAPLUS

CN Carbamic acid, [[4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl][[4-(trifluoromethyl)phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 443344-48-7 HCAPLUS

CN Carbamic acid, [(4-methoxyphenyl)methyl][[4'-[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

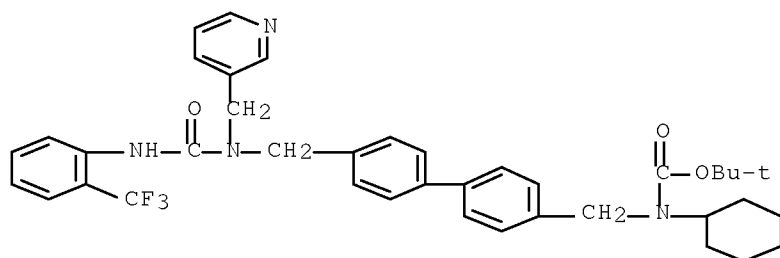


RN 443344-49-8 HCAPLUS

CN Carbamic acid, cyclohexyl[[4'-[[[(3-pyridinylmethyl)[[2-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-

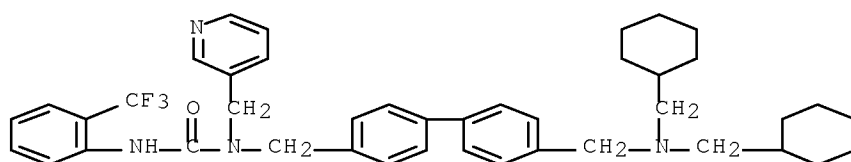
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yl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



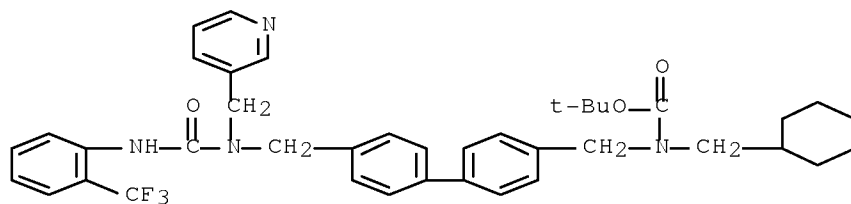
RN 443344-50-1 HCAPLUS

CN Urea, N-[[4'-[[bis(cyclohexylmethyl)amino]methyl][1,1'-biphenyl]-4-yl)methyl]-N-(3-pyridinylmethyl)-N'-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



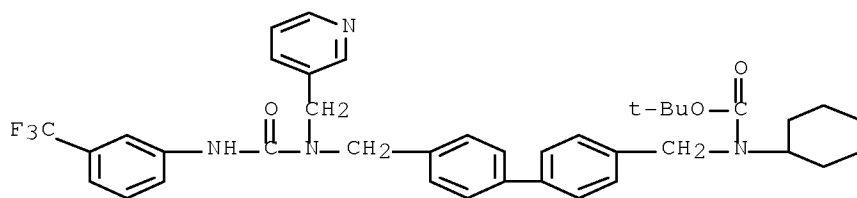
RN 443344-51-2 HCAPLUS

CN Carbamic acid, (cyclohexylmethyl)[[4'-[[[(3-pyridinylmethyl)[[2-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



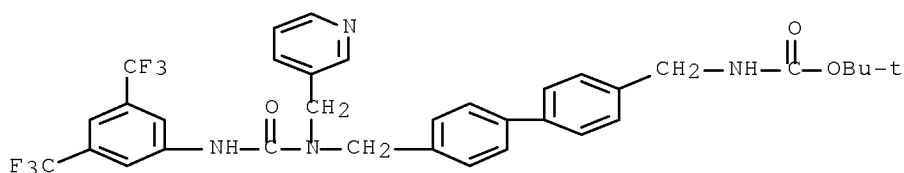
RN 443344-52-3 HCAPLUS

CN Carbamic acid, cyclohexyl[[4'-[[[(3-pyridinylmethyl)[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



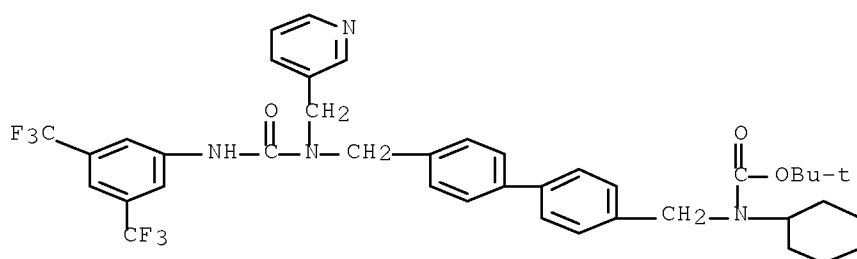
RN 443344-62-5 HCAPLUS

CN Carbamic acid, [[4'-[[[[[3,5-bis(trifluoromethyl)phenyl]amino]carbonyl](3-pyridinylmethyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 443344-63-6 HCAPLUS

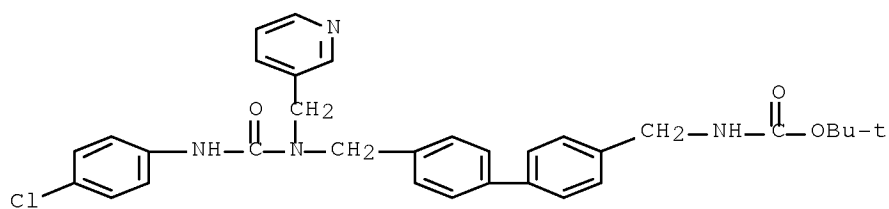
CN Carbamic acid, [[4'-[[[[[3,5-bis(trifluoromethyl)phenyl]amino]carbonyl](3-pyridinylmethyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]cyclohexyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 443344-72-7 HCAPLUS

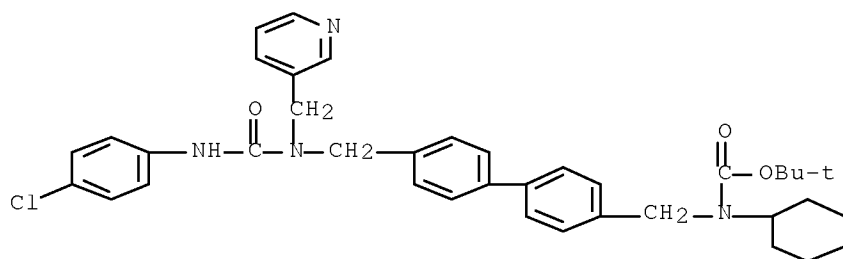
CN Carbamic acid, [[4'-[[[[[4-chlorophenyl]amino]carbonyl](3-pyridinylmethyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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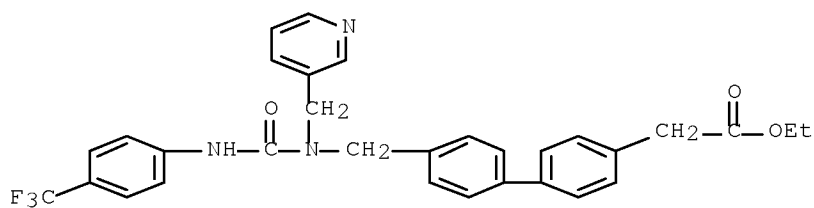
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CN Carbamic acid, [[4'-[[[(4-chlorophenyl)amino]carbonyl](3-pyridinylmethyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]cyclohexyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 443344-76-1 HCAPLUS

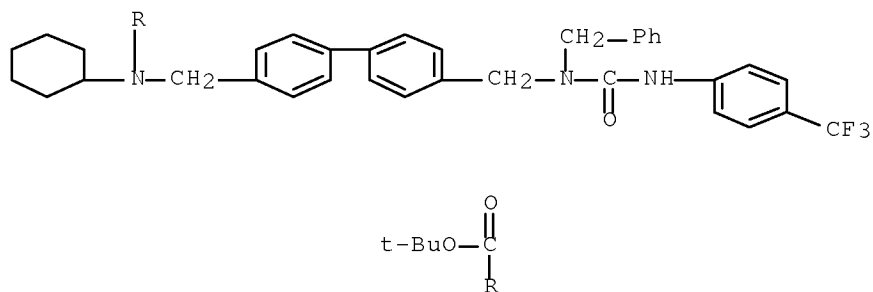
CN [1,1'-Biphenyl]-4-acetic acid, 4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]-, ethyl ester (CA INDEX NAME)



RN 443344-97-6 HCAPLUS

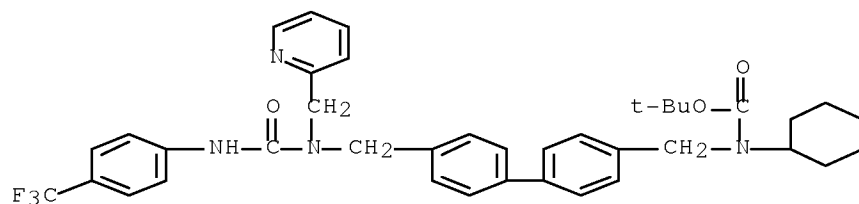
CN Carbamic acid, cyclohexyl[[4'-[[(phenylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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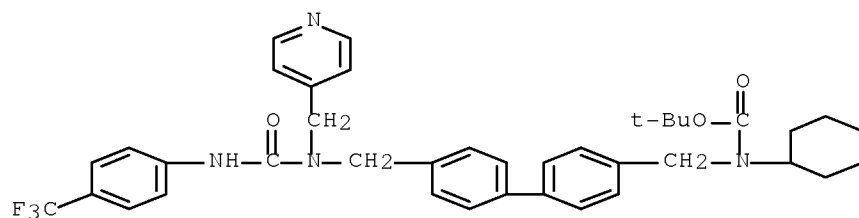
RN 443344-99-8 HCAPLUS

CN Carbamic acid, cyclohexyl[[4'-[[[(2-pyridinylmethyl)]]]4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



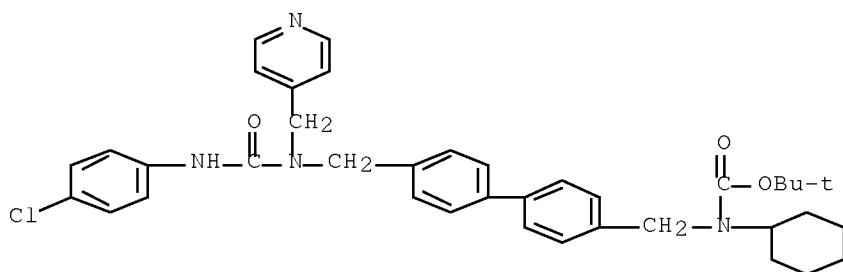
RN 443345-01-5 HCAPLUS

CN Carbamic acid, cyclohexyl[[4'-[[[(4-pyridinylmethyl)]]]4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



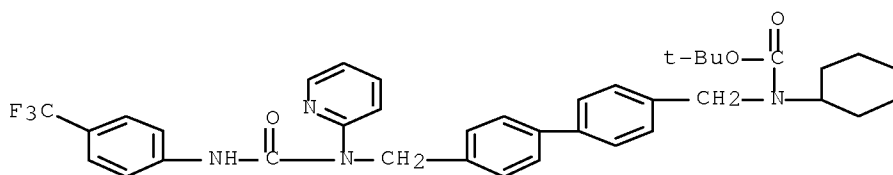
RN 443345-03-7 HCAPLUS

CN Carbamic acid, [[4'-[[[[[(4-chlorophenyl)amino]carbonyl](4-pyridinylmethyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]cyclohexyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



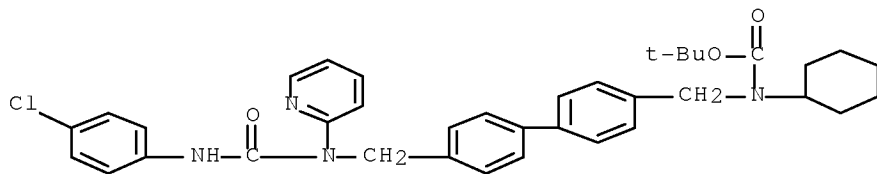
RN 443345-04-8 HCAPLUS

CN Carbamic acid, cyclohexyl[[4'-[[2-pyridinyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 443345-06-0 HCAPLUS

CN Carbamic acid, [[4'-[[[[(4-chlorophenyl)amino]carbonyl]-2-pyridinylamino]methyl][1,1'-biphenyl]-4-yl]methyl]cyclohexyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

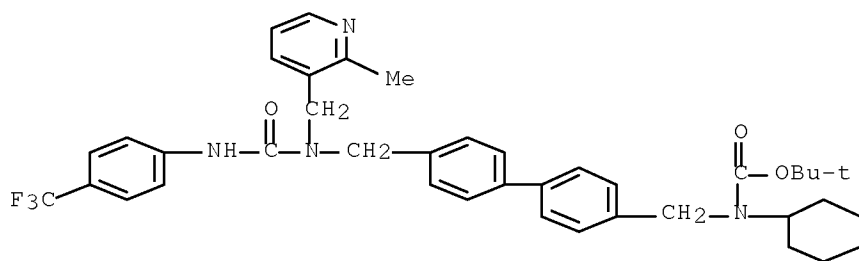


RN 443345-07-1 HCAPLUS

CN Carbamic acid, cyclohexyl[[4'-[[[2-methyl-3-pyridinyl]methyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

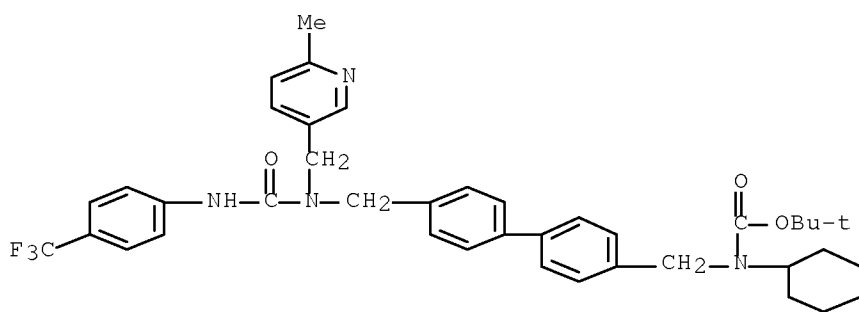


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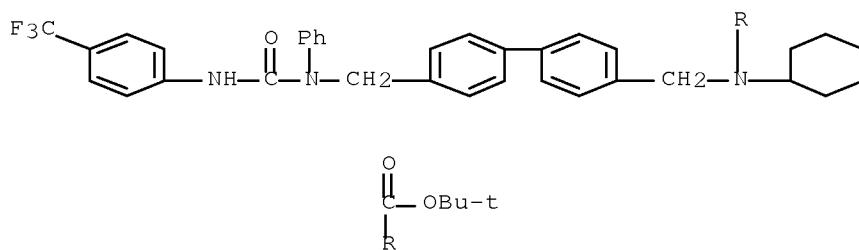
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CN Carbamic acid, cyclohexyl[[4'-[[[(6-methyl-3-pyridinyl)methyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 443345-10-6 HCAPLUS

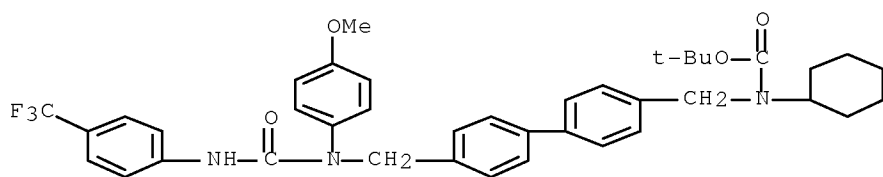
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RN 443345-12-8 HCAPLUS

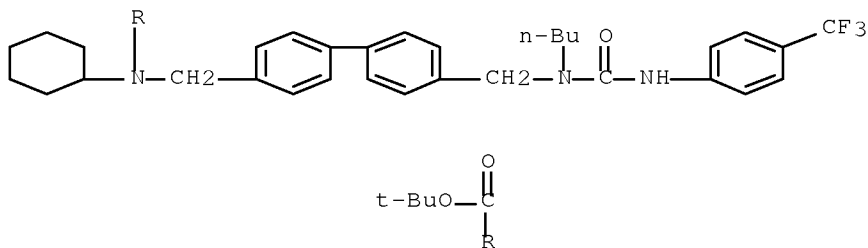
CN Carbamic acid, cyclohexyl[[4'-[[[(4-methoxyphenyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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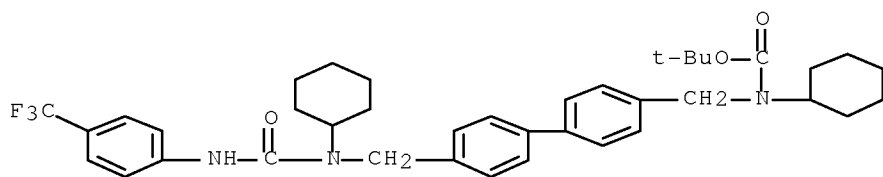
RN 443345-14-0 HCAPLUS

CN Carbamic acid, [[4'-[[butyl[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]cyclohexyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



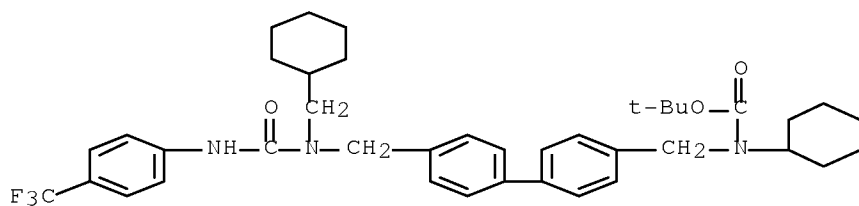
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CN Carbamic acid, cyclohexyl[[4'-[[cyclohexyl[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



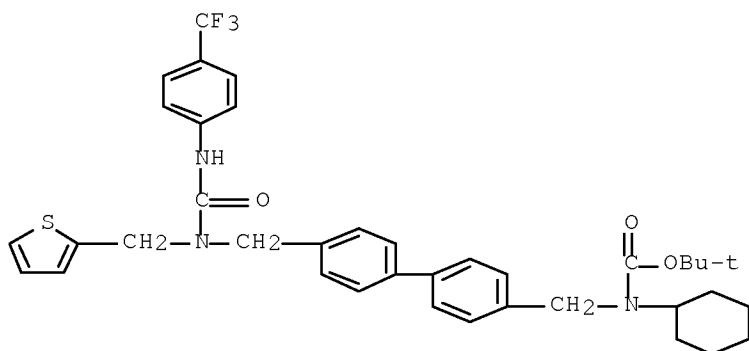
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CN Carbamic acid, cyclohexyl[[4'-[[cyclohexylmethyl][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



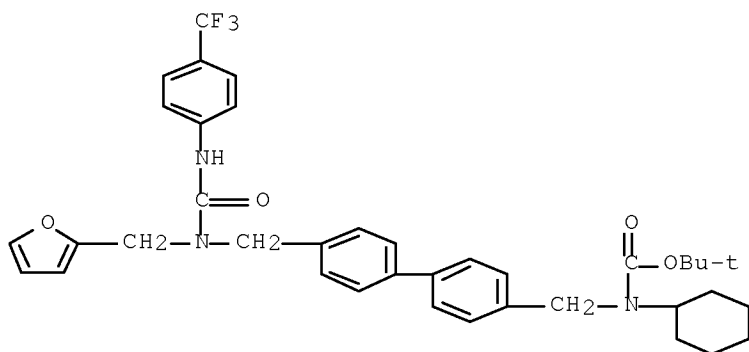
RN 443345-20-8 HCAPLUS

CN Carbamic acid, cyclohexyl[[4'-[[[(2-thienylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 443345-22-0 HCAPLUS

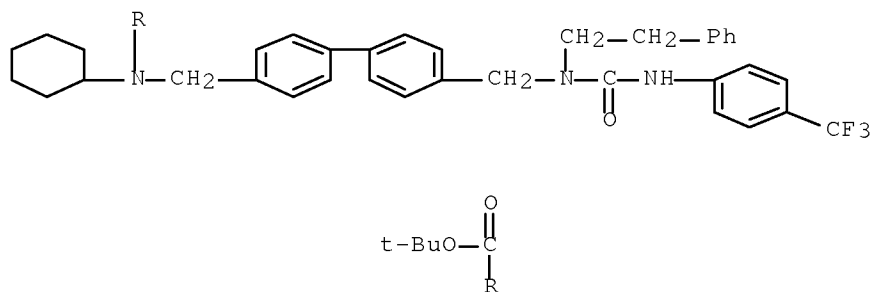
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RN 443345-24-2 HCAPLUS

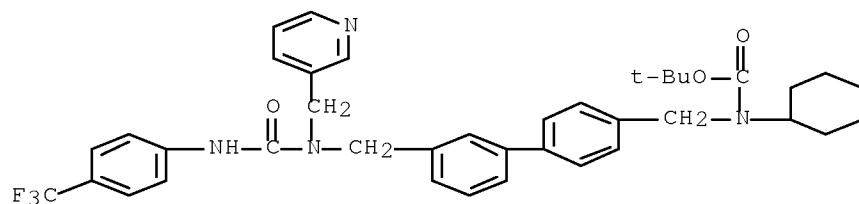
CN Carbamic acid, cyclohexyl[[4'-[[[(2-phenylethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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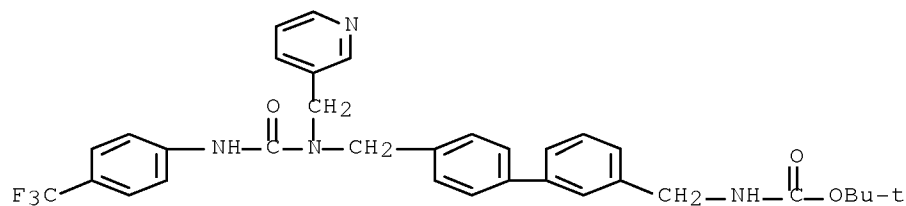
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CN Carbamic acid, cyclohexyl[[3'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



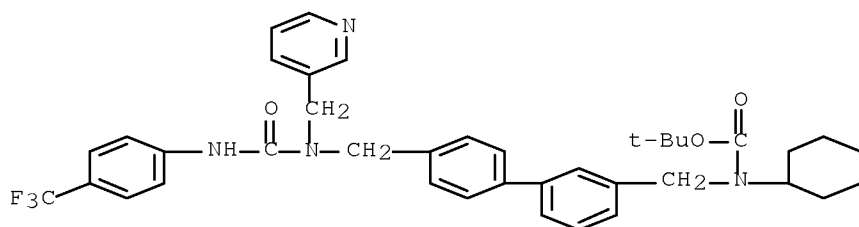
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CN Carbamic acid, [[4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-3-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



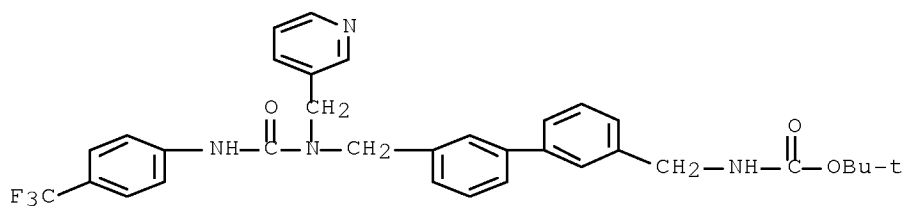
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CN Carbamic acid, cyclohexyl[[4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-3-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



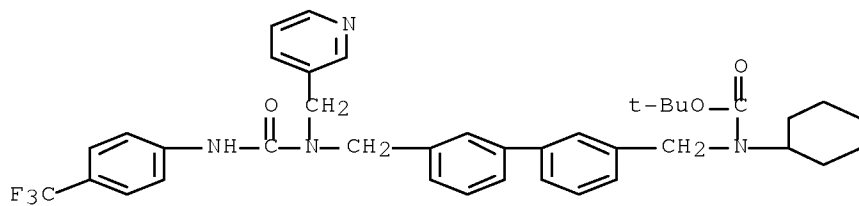
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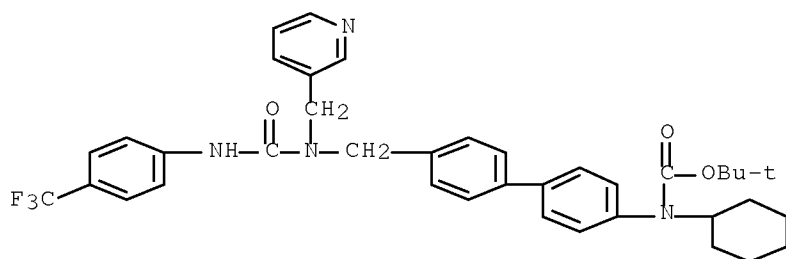
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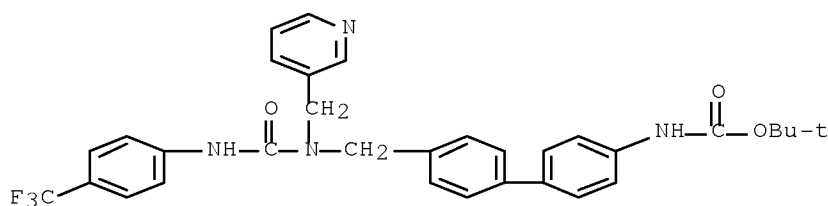
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CN Carbamic acid, cyclohexyl[4'-[[[(3-pyridinylmethyl)]][[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 443345-40-2 HCAPLUS

CN Carbamic acid, [4'-[[[(3-pyridinylmethyl)[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)  
 REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ACCESSION NUMBER: 2002:533181 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 137:88464

TITLE: Urea derivatives as angiotensin II receptor antagonists and acyl Co A cholesterol acyltransferase inhibitors for treatment of hypertension and hyperlipidemia

INVENTOR(S): Namiki, Takayuki; Kishii, Kaneichi; Mitani, Masaki; Tamai, Masashi; Hiyama, Naoki; Kimura, Makoto; Ichinomiya, Satoshi

PATENT ASSIGNEE(S): Pola Chemical Industries, Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

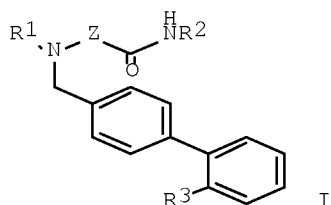
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002201127	A	20020716	JP 2000-402702	20001228 <--
PRIORITY APPLN. INFO.:			JP 2000-402702	20001228 <--
OTHER SOURCE(S): MARPAT 137:88464				
ED Entered STN: 17 Jul 2002				

GI



AB Urea derivs. (I; R1 = C5-7; R2 = (substituted)aromatic hydrocarbon radical or cycloalkyl; R3 = tetrazolyl, -NHSO2CF3; Z = single bond or -SO2NH-) are claimed as angiotensin II receptor antagonists and acyl Co A cholesterol acyltransferase inhibitors for treatment of hypertension, hyperlipidemia, and arteriosclerosis. Examples of I granules were formulated.

IC ICM A61K031-41

ICS A61P003-06; A61P009-10; A61P009-12; C07D257-04

CC 1-10 (Pharmacology)

Section cross-reference(s): 28, 63

IT 439904-54-8P 439904-55-9P 439904-56-0P  
439904-57-1P 439904-58-2P 439904-60-6P  
 439904-65-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(urea derivs. as angiotensin II receptor antagonists and acyl Co A cholesterol acyltransferase inhibitors for treatment of hypertension and hyperlipidemia)

IT 439904-67-3P 439904-68-4P 439904-69-5P 439904-72-0P  
439904-73-1P 439904-74-2P 439904-85-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(urea derivs. as angiotensin II receptor antagonists and acyl Co A cholesterol acyltransferase inhibitors for treatment of hypertension and hyperlipidemia)

IT 439904-55-9P 439904-56-0P 439904-57-1P  
439904-60-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

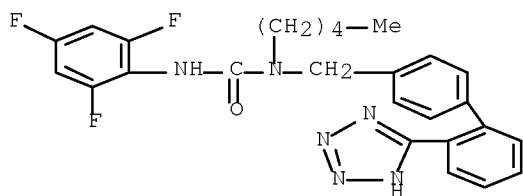
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(urea derivs. as angiotensin II receptor antagonists and acyl Co A cholesterol acyltransferase inhibitors for treatment of hypertension and hyperlipidemia)

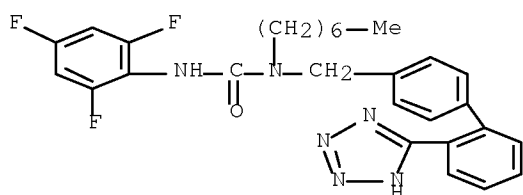
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CN Urea, N-pentyl-N-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-N'-(2,4,6-trifluorophenyl)- (CA INDEX NAME)



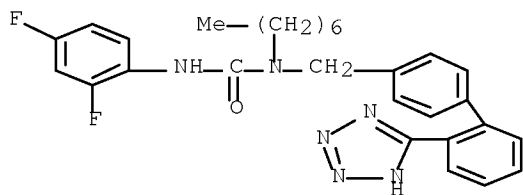
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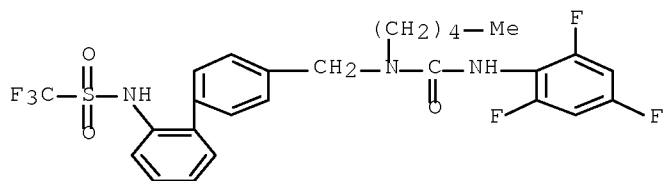
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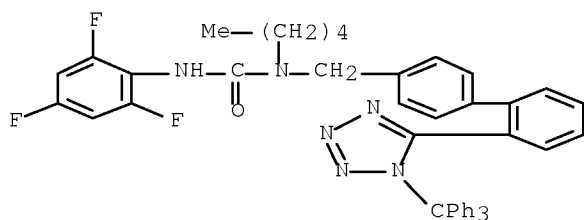
RN 439904-60-6 HCAPLUS

CN Methanesulfonamide, 1,1,1-trifluoro-N-[4'-[[pentyl[(2,4,6-trifluorophenyl)amino]carbonyl]amino]methyl][1,1'-biphenyl]-2-yl]- (CA INDEX NAME)

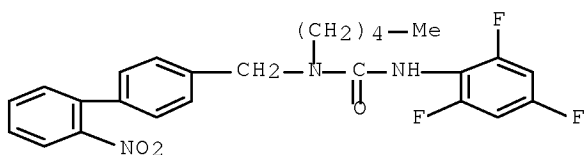




IT 439904-68-4P 439904-74-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (urea derivs. as angiotensin II receptor antagonists and acyl Co A  
 cholesterol acyltransferase inhibitors for treatment of hypertension  
 and hyperlipidemia)  
 RN 439904-68-4 HCAPLUS  
 CN Urea, N-pentyl-N'-(2,4,6-trifluorophenyl)-N-[[2'-[1-(triphenylmethyl)-1H-  
 tetrazol-5-yl][1,1'-biphenyl]-4-yl)methyl]- (CA INDEX NAME)



RN 439904-74-2 HCAPLUS  
 CN Urea, N-[[2'-nitro[1,1'-biphenyl]-4-yl)methyl]-N-pentyl-N'-(2,4,6-  
 trifluorophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
 (2 CITINGS)

L125 ANSWER 25 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2002:504751 HCAPLUS Full-text  
 DOCUMENT NUMBER: 137:78959  
 TITLE: Preparation of biphenyl derivatives as  
 acyl-CoA:cholesterol acyltransferase (ACAT) inhibitors  
 INVENTOR(S): Namiki, Takayuki; Kishii, Kenichi; Mitani, Masaki;  
 Tamai, Masashi; Hiyama, Naoki; Kimura, Makoto;  
 Ichinomiya, Satoshi  
 PATENT ASSIGNEE(S): Pola Chemical Industries, Inc., Japan  
 SOURCE: PCT Int. Appl., 42 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051799	A1	20020704	WO 2001-JP10626	20011205 <--

10/569,873

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2434228	A1	20020704	CA 2001-2434228	20011205 <--
CA 2434228	C	20090804		
AU 2002221055	A1	20020708	AU 2002-221055	20011205 <--
EP 1354871	A1	20031022	EP 2001-272246	20011205 <--
EP 1354871	B1	20080220		
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AT 386716	T	20080315	AT 2001-272246	20011205 <--
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US 7531576	B2	20090512		

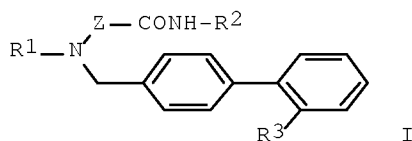
PRIORITY APPLN. INFO.: JP 2000-394372 A 20001226 <--  
WO 2001-JP10626 W 20011205 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 137:78959

ED Entered STN: 05 Jul 2002

GI



AB Biphenyl derivs. represented by the general formula (I) or salts thereof [wherein R1 is C5-7 alkyl; R2 is optionally substituted aromatic hydrocarbonyl or cycloalkyl; R3 is tetrazolyl, NHCOCF3, NHSO2CF3, or SO2NHCONHR4 (wherein R4 is optionally substituted aromatic hydrocarbonyl); and Z is a single bond, C1-4 alkylene, or SO2NH] are prepared Also disclosed are ACAT inhibitors or medicines containing the same as the active ingredient. The derivs. I and the salts have excellent ACAT inhibiting activity and are useful as preventive and/or therapeutic drugs for diseases due to the rise in ACAT activity, in particular hypercholesterolemia and atherosclerosis. Thus, a suspension of N-(chloroacetyl)-2,6-diisopropylaniline 196.3, N-pentyl-N-[[2'-[N-(triphenylmethyl)-1H-tetrazol-5-yl]-1,1'-biphenyl-4-yl]methyl]amine 430.0 mg, KI 70, and 0.6 g Et3N in 3 mL DMF was heated at .apprx.80° with stirring for 3 h to give 33% N-[[[(2,6-diisopropylphenyl)amino]carbonyl]methyl]-N-pentyl-N-[[2'-[N-(triphenylmethyl)-1H-tetrazol-5-yl]-1,1'-biphenyl-4-yl]methyl]amine which (278.3 mg) was dissolved in 10 mL THF, treated with 3.0 mL 10% aqueous HCl, and stirred at room temperature for 19 h to give N-[[[(2,6-diisopropylphenyl)amino]carbonyl]methyl]-N-pentyl-N-[[2'-(1H-tetrazol-5-yl)-1,1'-biphenyl-4-yl]methyl]amine (II) hydrochloride. The free amine II showed pIC50 of 6.57 against ACAT.

IC ICM C07C275-30

ICS C07C311-09; C07C311-60; C07D257-04; A61K031-41; A61K031-17; A61K031-18; A61K031-54; A61K031-166; A61K031-167; A61P043-00;

A61P003-06; A61P009-10

CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 7, 25

IT 439904-53-7P 439904-54-8P 439904-55-9P  
439904-56-0P 439904-57-1P 439904-58-2P  
439904-59-3P 439904-60-6P 439904-61-7P  
 439904-62-8P 439904-63-9P 439904-64-0P 439904-65-1P 439904-81-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of biphenyl derivs. as acyl-CoA:cholesterol acyltransferase  
 (ACAT) inhibitors for prevention and/or treatment of  
 hypercholesterolemia and atherosclerosis)

IT 141872-29-9P 142777-65-9P 439904-66-2P 439904-67-3P  
439904-68-4P 439904-69-5P 439904-70-8P  
439904-71-9P 439904-72-0P 439904-73-1P 439904-74-2P  
439904-75-3P 439904-76-4P 439904-77-5P  
439904-78-6P 439904-79-7P 439904-80-0P 439904-82-2P  
 439904-84-4P 439904-85-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(preparation of biphenyl derivs. as acyl-CoA:cholesterol acyltransferase  
 (ACAT) inhibitors for prevention and/or treatment of  
 hypercholesterolemia and atherosclerosis)

IT 439904-55-9P 439904-56-0P 439904-57-1P  
439904-59-3P 439904-60-6P 439904-61-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

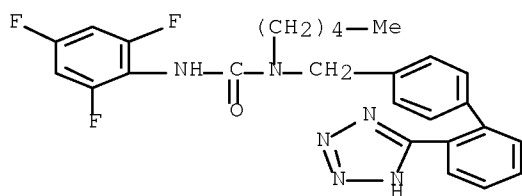
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of biphenyl derivs. as acyl-CoA:cholesterol acyltransferase  
 (ACAT) inhibitors for prevention and/or treatment of  
 hypercholesterolemia and atherosclerosis)

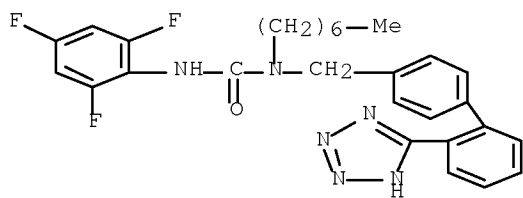
RN 439904-55-9 HCAPLUS

CN Urea, N-pentyl-N-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-N'-  
 (2,4,6-trifluorophenyl)- (CA INDEX NAME)



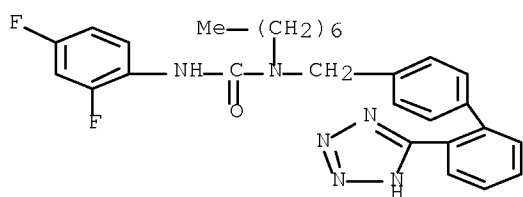
RN 439904-56-0 HCAPLUS

CN Urea, N-heptyl-N-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-N'-  
 (2,4,6-trifluorophenyl)- (CA INDEX NAME)



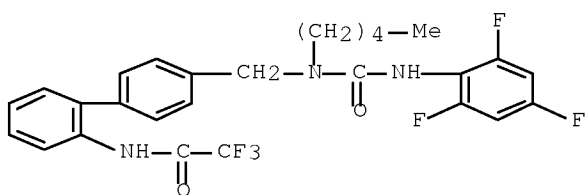
RN 439904-57-1 HCAPLUS

CN Urea, N'-(2,4-difluorophenyl)-N-heptyl-N-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



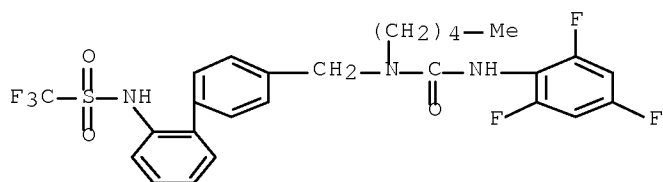
RN 439904-59-3 HCAPLUS

CN Acetamide, 2,2,2-trifluoro-N-[4'-[[pentyl[[2,4,6-trifluorophenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-2-yl]- (CA INDEX NAME)



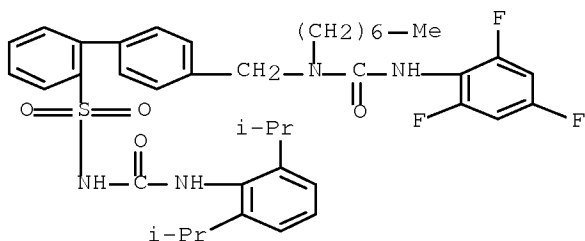
RN 439904-60-6 HCAPLUS

CN Methanesulfonamide, 1,1,1-trifluoro-N-[4'-[[pentyl[[2,4,6-trifluorophenyl]amino]carbonyl]amino]methyl][1,1'-biphenyl]-2-yl]- (CA INDEX NAME)



RN 439904-61-7 HCAPLUS

CN [1,1'-Biphenyl]-2-sulfonamide, N-[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]-4'-[[heptyl[(2,4,6-trifluorophenyl)amino]carbonyl]amino]methyl]- (CA INDEX NAME)



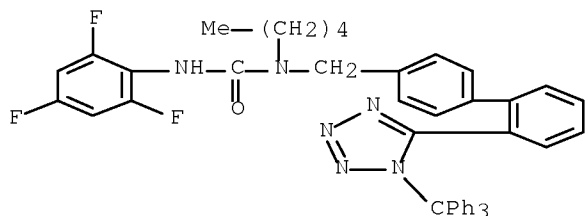
IT 439904-68-4P      439904-70-8P      439904-71-9P  
439904-74-2P      439904-75-3P      439904-77-5P  
439904-78-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of biphenyl derivs. as acyl-CoA:cholesterol acyltransferase (ACAT) inhibitors for prevention and/or treatment of hypercholesterolemia and atherosclerosis)

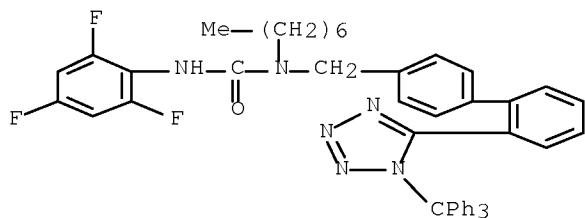
RN 439904-68-4 HCAPLUS

CN Urea, N-pentyl-N'-(2,4,6-trifluorophenyl)-N-[[2'-[1-(triphenylmethyl)-1H-tetrazol-5-yl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



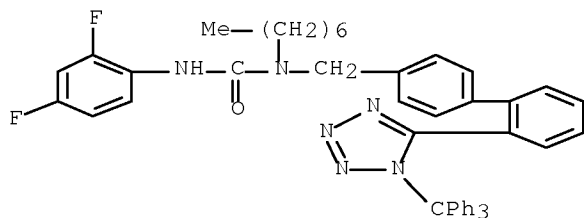
RN 439904-70-8 HCAPLUS

CN Urea, N-heptyl-N'-(2,4,6-trifluorophenyl)-N-[[2'-[1-(triphenylmethyl)-1H-tetrazol-5-yl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



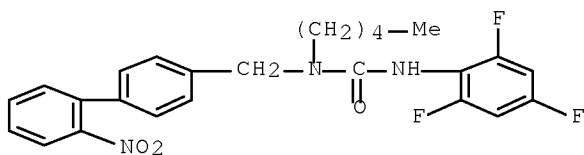
RN 439904-71-9 HCAPLUS

CN Urea, N'-(2,4-difluorophenyl)-N-heptyl-N-[[2'-[1-(triphenylmethyl)-1H-tetrazol-5-yl][1,1'-biphenyl]-4-yl)methyl]- (CA INDEX NAME)



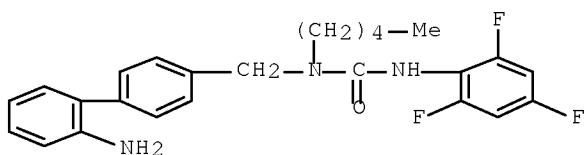
RN 439904-74-2 HCAPLUS

CN Urea, N-[(2'-nitro[1,1'-biphenyl]-4-yl)methyl]-N-pentyl-N'-(2,4,6-trifluorophenyl)- (CA INDEX NAME)



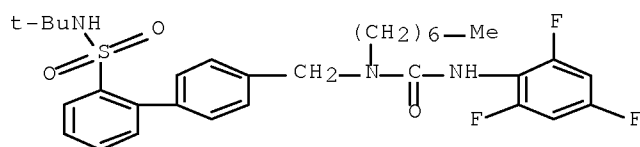
RN 439904-75-3 HCAPLUS

CN Urea, N-[(2'-amino[1,1'-biphenyl]-4-yl)methyl]-N-pentyl-N'-(2,4,6-trifluorophenyl)- (CA INDEX NAME)

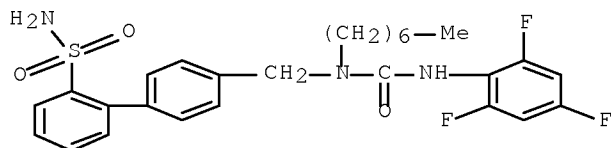


RN 439904-77-5 HCAPLUS

CN [1,1'-Biphenyl]-2-sulfonamide, N-(1,1-dimethylethyl)-4'-[[heptyl[[ (2,4,6-trifluorophenyl)amino]carbonyl]amino]methyl]- (CA INDEX NAME)



RN 439904-78-6 HCAPLUS  
 CN [1,1'-Biphenyl]-2-sulfonamide, 4'-[[heptyl[(2,4,6-trifluorophenyl)amino]carbonyl]amino]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
 (1 CITINGS)  
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 26 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:10426 HCAPLUS Full-text

DOCUMENT NUMBER: 136:85822

TITLE: Preparation of biphenylcarboxamide compounds as GPR14  
 antagonists or somatostatin receptor regulators

INVENTOR(S): Tarui, Naoki; Santo, Takashi; Watanabe, Hiroyuki; Aso,  
 Kazuyoshi; Miwa, Tetsuo; Takekawa, Shiro

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 274 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

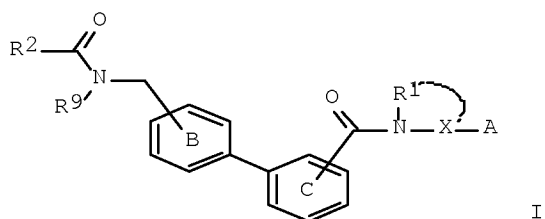
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000606	A1	20020103	WO 2001-JP5541	20010628 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001066346	A	20020108	AU 2001-66346	20010628 <--
JP 2002080439	A	20020319	JP 2001-196645	20010628 <--
EP 1295867	A1	20030326	EP 2001-943851	20010628 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 20040106792	A1	20040603	US 2002-312015	20021220 <--
US 7091247	B2	20060815		
PRIORITY APPLN. INFO.:			JP 2000-200118	A 20000628 <--
			WO 2001-JP5541	W 20010628 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:85822

ED Entered STN: 04 Jan 2002

GI



AB The title compds. (I) or salts thereof [wherein R1 represents hydrogen or (un)substituted hydrocarbyl; X represents a spacer having a 1 to 12 atom linear chain moiety; A represents (un)substituted amino or N-heterocyclyl; R2 represents (un)substituted hydrocarbyl or amino; and R3 represents (un)substituted hydrocarbyl; ring B and C represent an optionally further substituted benzene ring], which have an antagonism against urotensin II receptor GPR14 (orphan receptor), are prepared These compds. are also somatostatin, in particular somatostatin 5 receptor-function regulators such as somatostatin receptor agonists and antagonists and are useful for the prevention and treatment of hypertension, arteriosclerosis, cardiac hypertrophy, myocardial infarction, diabetes, obesity, diabetes complications, central diseases, digestive tract diseases, glaucoma, acromegaly, or tumor. Thus, 3'-[[2-[4- (aminosulfonyl)phenyl]ethyl]aminomethyl]-N-[2-(1-pyrrolidinyl)ethyl]-1,1'- biphenyl-3-carboxamide was condensed with trans-cinnamic acid using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole in CH<sub>2</sub>Cl<sub>2</sub> and DMF at room temperature for 18 h to give 3'-[[N-[2-[4-(aminosulfonyl)phenyl]ethyl]-N-[(E)-3-phenyl-2-propenoyl]amino]methyl]-N-[2-(1-pyrrolidinyl)ethyl]-1,1'-biphenyl-3-carboxamide (II). N-(2-aminoethyl)-3'-[[N-[4-(aminosulfonyl)benzoyl]-N-(1-naphthylmethyl)amino]methyl]-1,1'-biphenyl-2-carboxamide trifluoroacetate and N-(2-aminoethyl)-3'-[[N-[4-[[[amino(imino)methyl]amino]methyl]benzoyl]- N-(1-naphthylmethyl)amino]methyl]-1,1'-biphenyl-2-carboxamide trifluoroacetate showed IC<sub>50</sub> of 3 and 6 nM for inhibiting the binding of [125I]-somatostatin to CHO cell line expressing human somatostatin 5 receptor. A capsule and a tablet formulation containing II were prepared

IC ICM C07C233-69

ICS C07C233-78; C07C233-79; C07C311-46; C07C321-14; C07D295-12;  
C07D209-18; C07D405-12; C07D211-26; C07D213-40; C07D207-27;  
C07D401-12; C07D307-54; C07D333-20; C07D257-04; C07D409-12;  
C07D209-42; C07D213-56; C07D213-70; C07D401-14

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 63

IT	386295-63-2P	386295-65-4P	386295-67-6P	386295-69-8P	386295-71-2P
	386295-73-4P	386295-75-6P	386295-77-8P	386295-79-0P	386295-81-4P
	386295-83-6P	386295-85-8P	386295-87-0P	386295-89-2P	386295-91-6P
	386295-93-8P	386295-95-0P	386295-97-2P	386295-99-4P	386296-01-1P
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	386296-43-1P	386296-45-3P	386296-47-5P	386296-49-7P	386296-51-1P
	<u>386296-53-3P</u>	386296-55-5P	<u>386296-57-7P</u>		



10/569,873

386296-59-9P	<u>386296-61-3P</u>	386296-63-5P	386296-65-7P	
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387872-01-7P	387872-03-9P	387872-05-1P	387872-07-3P	387872-14-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(preparation of biphenylcarboxamide compds. as GPR14 antagonists or  
 somatostatin receptor regulators for therapeutic agents)

IT 386296-53-3P 386296-57-7P 386296-61-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(preparation of biphenylcarboxamide compds. as GPR14 antagonists or  
 somatostatin receptor regulators for therapeutic agents)

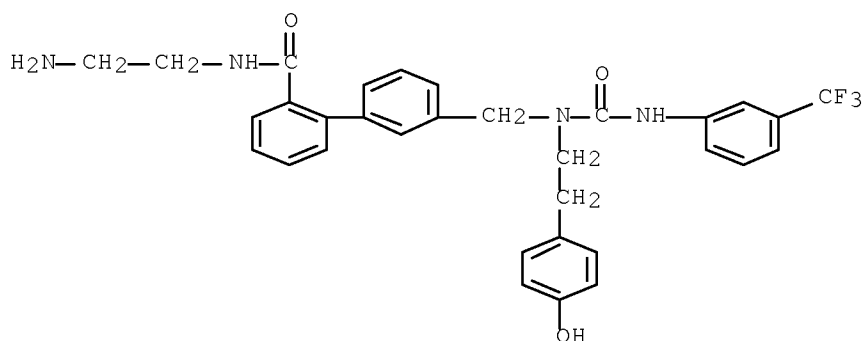
RN 386296-53-3 HCAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-(2-aminoethyl)-3'-[[[2-(4-  
 hydroxyphenyl)ethyl][[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]meth  
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CM 1

CRN 386296-52-2

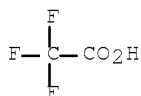
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CM 2

CRN 76-05-1

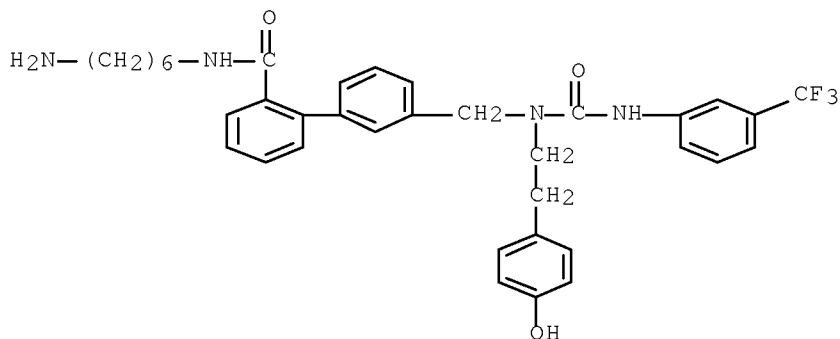
CMF C2 H F3 O2



RN 386296-57-7 HCAPLUS  
 CN [1,1'-Biphenyl]-2-carboxamide, N-(6-aminohexyl)-3'-[[[2-(4-hydroxyphenyl)ethyl][[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

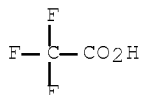
CM 1

CRN 386296-56-6  
 CMF C36 H39 F3 N4 O3



CM 2

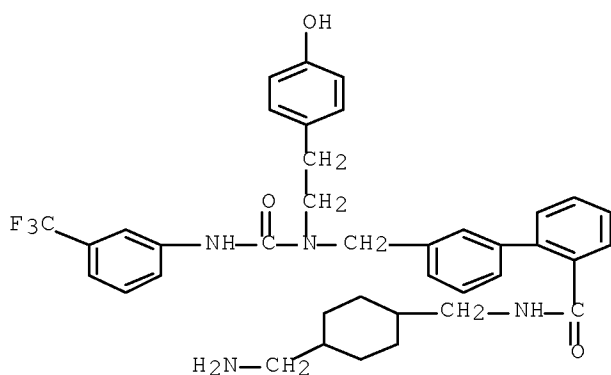
CRN 76-05-1  
 CMF C2 H F3 O2



RN 386296-61-3 HCAPLUS  
 CN [1,1'-Biphenyl]-2-carboxamide, N-[[4-(aminomethyl)cyclohexyl]methyl]-3'-[[[2-(4-hydroxyphenyl)ethyl][[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

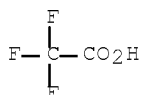
CRN 386296-60-2  
 CMF C38 H41 F3 N4 O3



CM 2

CRN 76-05-1

CMF C2 H F3 O2



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS  
RECORD (11 CITINGS)  
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

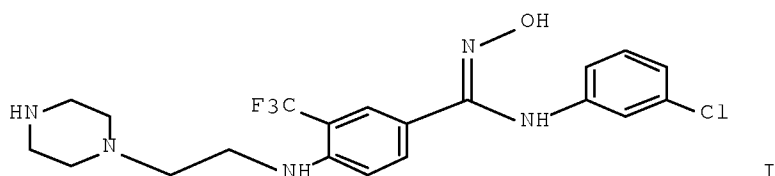
L125 ANSWER 27 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2001:526050 HCAPLUS Full-text  
DOCUMENT NUMBER: 135:107149  
TITLE: Synthesis, antibacterial activity and RNA polymerase  
inhibition of phenylamidine derivs.  
INVENTOR(S): Li, Leping; Chen, Xiaoqui; Fan, Pingchen; Mihalic,  
Jeffrey Thomas; Cutler, Serena  
PATENT ASSIGNEE(S): Tularik Inc., USA  
SOURCE: PCT Int. Appl., 104 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001051456	A2	20010719	WO 2001-US1219	20010112 <--
WO 2001051456	A3	20011220		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,

10/569,873

HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,  
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
 YU, ZA, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 CA 2397575 A1 20010719 CA 2001-2397575 20010112 <--  
 US 20020045749 A1 20020418 US 2001-759633 20010112 <--  
 US 6780858 B2 20040824  
 EP 1246795 A2 20021009 EP 2001-914329 20010112 <--  
 EP 1246795 B1 20071031  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 JP 2003519676 T 20030624 JP 2001-551838 20010112 <--  
 AT 376996 T 20071115 AT 2001-914329 20010112 <--  
 ES 2293980 T3 20080401 ES 2001-914329 20010112 <--  
 US 20040235911 A1 20041125 US 2004-877408 20040625 <--  
 US 7053234 B2 20060530  
 US 20060270651 A1 20061130 US 2006-344111 20060201 <--  
 US 7148259 B1 20061212  
 PRIORITY APPLN. INFO.: US 2000-175892P P 20000113 <--  
 US 2001-759633 A1 20010112 <--  
 WO 2001-US1219 W 20010112 <--  
 US 2004-877408 A3 20040625  
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 135:107149  
 ED Entered STN: 20 Jul 2001  
 GI



AB Synthesis of hydroxyamidines, e.g. (I) and related compds. are disclosed which are suitable as antibacterial agents by their inhibition of RNA polymerase. Antibacterial activity against *S. aureus* and *E. coli* are given.

IC ICM C07C259-18  
 ICS C07C311-37; C07C317-32; C07D295-14; C07D205-04; C07D207-09;  
 C07D207-14; C07D207-12; C07D211-58; C07D307-22; C07D211-70;  
 C07C323-42; C07D333-60; C07D213-53; C07D209-18; C07D307-54;  
 C07D333-38; C07D215-54; C07D317-46; C07D307-85

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
 Section cross-reference(s): 1

IT 288246-39-9P 350486-51-0P 350486-53-2P 350486-55-4P 350486-57-6P  
 350486-58-7P 350486-60-1P 350486-62-3P 350486-64-5P 350486-66-7P  
 350486-68-9P 350486-70-3P 350486-74-7P 350486-76-9P 350486-88-3P  
 350486-90-7P 350486-92-9P 350486-94-1P 350486-95-2P 350486-96-3P  
 350486-97-4P 350486-98-5P 350486-99-6P 350487-00-2P 350487-01-3P  
 350487-02-4P 350487-03-5P 350487-04-6P 350487-06-8P 350487-08-0P  
 350487-09-1P 350487-10-4P 350487-11-5P 350487-12-6P 350487-13-7P

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350487-14-8P	350487-15-9P	350487-16-0P	350487-17-1P	350487-18-2P
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350488-12-9P	350488-13-0P	350488-14-1P	350488-15-2P	350488-16-3P
350488-19-6P	350488-20-9P	350488-21-0P	<u>350488-22-1P</u>	
<u>350488-23-2P</u>	350488-24-3P	350488-25-4P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis, antibacterial activity and RNA polymerase inhibition of phenyl- and heterocyclylhydroxyamidine derivs.)

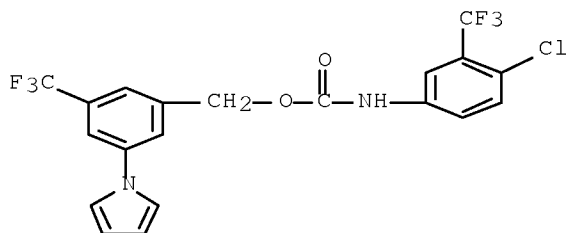
IT 350488-22-1P 350488-23-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis, antibacterial activity and RNA polymerase inhibition of phenyl- and heterocyclylhydroxyamidine derivs.)

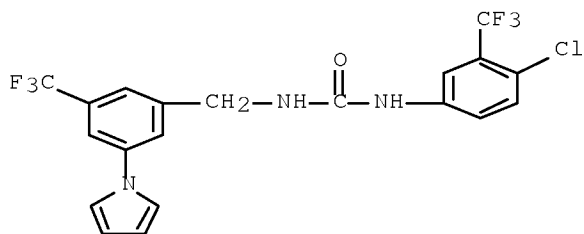
RN 350488-22-1 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [3-(1H-pyrrol-1-yl)-5-(trifluoromethyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



RN 350488-23-2 HCAPLUS

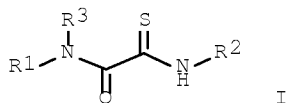
CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(1H-pyrrol-1-yl)-5-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)  
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 28 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2001:289940 HCAPLUS Full-text  
 DOCUMENT NUMBER: 134:305303  
 TITLE: Tyrosine phosphatase inhibitors as antiallergic drugs  
 INVENTOR(S): Sato, Masakazu; Kobayashi, Yuiko; Hamaguchi, Takuya  
 PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001114678	A	20010424	JP 1999-297001	19991019 <--
PRIORITY APPLN. INFO.:			JP 1999-297001	19991019 <--
OTHER SOURCE(S): MARPAT 134:305303				
ED Entered STN: 24 Apr 2001				
GI				



AB Tyrosine phosphatase inhibitors (I; R1 = Ph, halogen-substituted Ph, C1-5 alkyl and alkoxy, naphthyl, C1-10 alkyl, C3-8 cycloalkyl, etc.; R2 = Ph, halogen, C1-5 alkyl and alkoxy, naphthyl; R3 = H, benzyl) are claimed as antiallergic drugs by inhibiting CD45 antigen-activated T cells and mast cells. I were prepared, and their tyrosine phosphatase inhibiting activities were tested.

IC ICM A61K031-167  
 ICS A61K031-16; A61P037-02; A61P037-08; A61P043-00

CC 1-7 (Pharmacology)  
 Section cross-reference(s): 25

IT 125983-66-6P 125983-69-9P 328127-18-0P 335318-66-6P 335318-68-8P

10/569,873

335318-70-2P	335318-72-4P	335318-74-6P	335318-77-9P	335318-80-4P
335318-82-6P	335318-84-8P	335318-86-0P	335318-88-2P	335318-91-7P
335318-93-9P	335318-95-1P	335318-97-3P	<del>335318-99-5P</del>	
335319-01-2P	335319-03-4P	335319-05-6P	<del>335319-07-8P</del>	
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335320-27-9P	335320-28-0P	335320-29-1P	335320-30-4P	335320-31-5P
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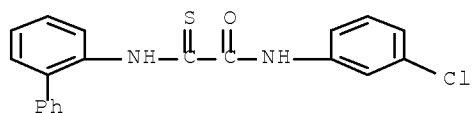
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(tyrosine phosphatase inhibitors as antiallergic drugs)

IT ~~335318-99-5P~~ ~~335319-07-8P~~ ~~335319-17-0P~~

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(tyrosine phosphatase inhibitors as antiallergic drugs)

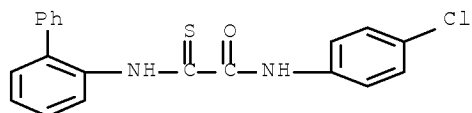
RN 335318-99-5 HCAPLUS

CN Acetamide, 2-([1,1'-biphenyl]-2-ylamino)-N-(3-chlorophenyl)-2-thioxo- (CA INDEX NAME)

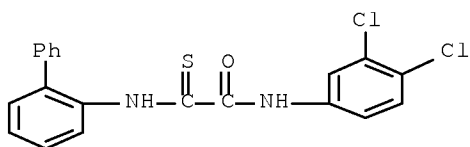


RN 335319-07-8 HCAPLUS

CN Acetamide, 2-([1,1'-biphenyl]-2-ylamino)-N-(4-chlorophenyl)-2-thioxo- (CA INDEX NAME)



RN 335319-17-0 HCAPLUS  
 CN Acetamide, 2-([1,1'-biphenyl]-2-ylamino)-N-(3,4-dichlorophenyl)-2-thioxo-  
 (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
 (2 CITINGS)

L125 ANSWER 29 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2001:247177 HCAPLUS Full-text  
 DOCUMENT NUMBER: 134:275767  
 TITLE: Synergistic anti-hypercholesterolemic drug combination  
 using an HMG-CoA reductase inhibitor with an ACAT  
 inhibitor  
 INVENTOR(S): Chao, Yu-Sheng  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
 SOURCE: PCT Int. Appl., 35 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001022962	A1	20010405	WO 2000-US26414	20000926 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 1999-157184P	P 19990930 <--

ED Entered STN: 06 Apr 2001

AB The invention provides a drug combination comprised of an HMG-CoA reductase inhibitor with an ACAT inhibitor in synergistic therapeutically effective amts., which is useful for reducing cholesterol synthesis, lowering plasma LDL cholesterol levels and lowering plasma triglyceride levels. Profound synergy can be achieved only when the ACAT inhibitor is administered in low dosage amts., above which the beneficial synergistic effects diminish and disappear.

IC ICM A61K031-435

ICS A61K031-405; A61K031-40; A61K031-35; A61K031-18; A61K031-16

CC 1-10 (Pharmacology)

IT 75225-51-3 75225-51-3D, esters 75330-75-5 79902-63-9 81093-37-0  
 81093-37-0D, esters 85956-22-5 93957-54-1 93957-54-1D, esters  
 93957-56-3 121009-77-6 121009-77-6D, esters 125995-03-1  
 134523-00-5 134523-00-5D, esters 141750-63-2 144289-00-9  
 145599-86-6, Cerivastatin 145599-86-6D, esters 147098-20-2



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147098-20-2D, esters 147526-32-7 147526-32-7D, esters 147538-81-6  
158878-47-8 162320-85-6 166518-60-1 179054-18-3  
182255-50-1 332342-31-1 332342-32-2 332342-33-3 332342-34-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(HMG-CoA reductase inhibitor-ACAT inhibitor synergistic hypocholesterolemic drug combination)

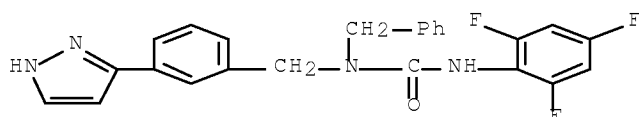
IT 179054-18-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(HMG-CoA reductase inhibitor-ACAT inhibitor synergistic hypocholesterolemic drug combination)

RN 179054-18-3 HCAPLUS

CN Urea, N-(phenylmethyl)-N-[[3-(1H-pyrazol-3-yl)phenyl]methyl]-N'-(2,4,6-trifluorophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 30 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:861682 HCAPLUS Full-text

DOCUMENT NUMBER: 134:29253

TITLE: Preparation of substituted 8-phenylxanthines as antagonists of A2B adenosine receptors

INVENTOR(S): Linden, Joel M.; Jacobson, Kenneth A.; Kim, Yong-Chul

PATENT ASSIGNEE(S): University of Virginia Patent Foundation, USA

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000073307	A2	20001207	WO 2000-US15233	20000601 <--
WO 2000073307	A3	20010531		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6545002	B1	20030408	US 2000-505504	20000217 <--
CA 2370598	A1	20001207	CA 2000-2370598	20000601 <--

EP 1192158 A2 20020403 EP 2000-938072 20000601 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO  
 PRIORITY APPLN. INFO.: US 1999-136898P P 19990601 <--  
 US 1999-136900P P 19990601 <--  
 US 1999-505504 A 19990601 <--  
 US 1999-151875P P 19990831 <--  
 US 2000-505504 A 20000217 <--  
 WO 2000-US15233 W 20000601 <--  
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 134:29253  
 ED Entered STN: 08 Dec 2000  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R, R1 = H, alkyl, alkenyl, etc.; Z = phenylene, cyclohexylene, cyclopentylene; X = alkylene, alkenylene, alkynylene, etc.; R2 = H, alkyl, alkenyl, etc.; R8 = H, cycloalkyl, aralkyl, etc.; R9 = cycloalkyl, aryl, alkyl, etc.] which are selective antagonists of A2B adenosine receptors (ARs), were prepared (general procedures for their preparation were given). Thus, hydrolysis of the ester II with 1N NaOH afforded the title compound III which showed Ki of 3.34 ± 0.51 nM against hA2B receptor binding.

IC ICM C07D473-00

CC 26-9 (Biomolecules and Their Synthetic Analogs)

Section cross-reference(s): 1

IT	106465-54-7P	249892-27-1P	249892-29-3P	249892-30-6P	249892-31-7P
	249892-32-8P	249892-33-9P	249892-34-0P	249892-35-1P	249892-38-4P
	249892-39-5P	249892-40-8P	249892-41-9P	249892-42-0P	249892-43-1P
	249892-44-2P	249892-46-4P	249892-47-5P	249892-48-6P	249892-49-7P
	249926-37-2P	264622-43-7P	264622-45-9P	264622-46-0P	264622-47-1P
	264622-48-2P	264622-49-3P	264622-50-6P	264622-51-7P	264622-52-8P
	264622-53-9P	264622-55-1P	264622-56-2P	264622-57-3P	264622-58-4P
	264622-59-5P	<u>264622-60-8P</u>	<u>264622-61-9P</u>		
	<u>264622-62-0P</u>	<u>264622-63-1P</u>	<u>264622-64-2P</u>		
	264622-65-3P	264622-66-4P	264622-67-5P	264622-68-6P	264622-69-7P
	312311-56-1P	312311-57-2P			

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted 8-phenylxanthines as antagonists of A2B adenosine receptors)

IT	<u>264622-60-8P</u>	<u>264622-61-9P</u>	<u>264622-62-0P</u>
	<u>264622-63-1P</u>	<u>264622-64-2P</u>	

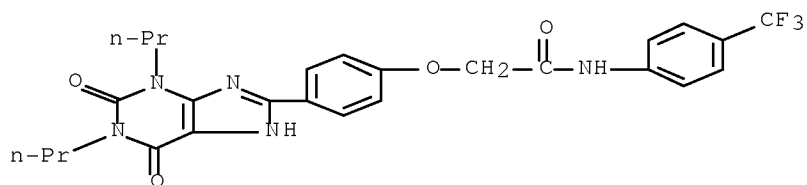
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted 8-phenylxanthines as antagonists of A2B adenosine receptors)

RN 264622-60-8 HCAPLUS

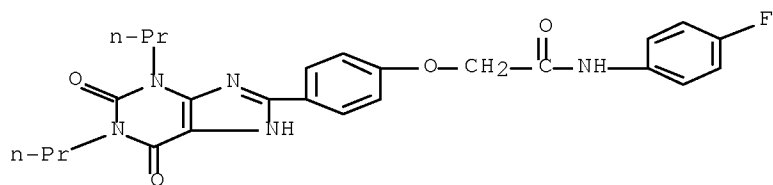
CN Acetamide, 2-[4-(2,3,6,9-tetrahydro-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)phenoxy]-N-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

10/569,873



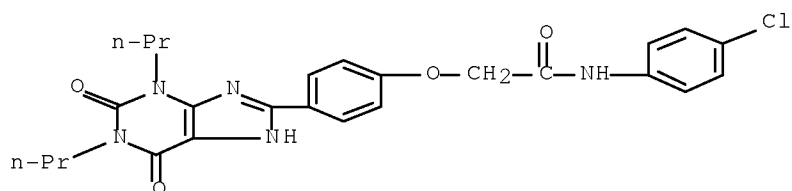
RN 264622-61-9 HCAPLUS

CN Acetamide, N-(4-fluorophenyl)-2-[4-(2,3,6,9-tetrahydro-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)phenoxy]- (CA INDEX NAME)



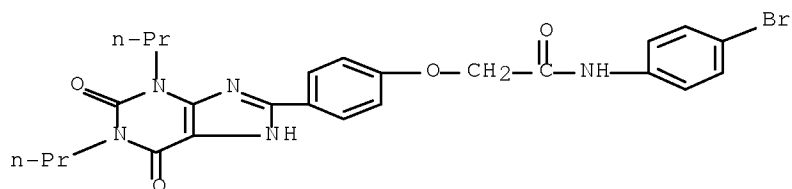
RN 264622-62-0 HCAPLUS

CN Acetamide, N-(4-chlorophenyl)-2-[4-(2,3,6,9-tetrahydro-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)phenoxy]- (CA INDEX NAME)



RN 264622-63-1 HCAPLUS

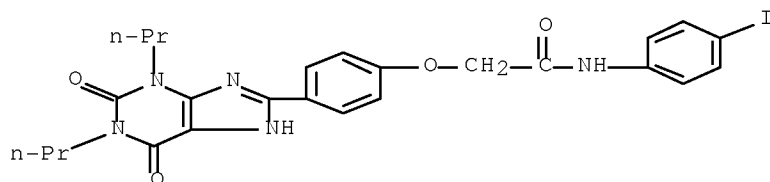
CN Acetamide, N-(4-bromophenyl)-2-[4-(2,3,6,9-tetrahydro-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)phenoxy]- (CA INDEX NAME)



RN 264622-64-2 HCAPLUS

10/569,873

CN Acetamide, N-(4-iodophenyl)-2-[4-(2,3,6,9-tetrahydro-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)phenoxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)  
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib ed abs hitind hitstr 1125 31-36  
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

L125 ANSWER 31 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2000:314682 HCAPLUS [Full-text](#)  
DOCUMENT NUMBER: 132:334449  
TITLE: Preparation of N-[4-(5-oxazolyl)phenyl] amides as novel inhibitors of IMPDH enzyme  
INVENTOR(S): Gu, Henry H.; Dhar, T. G. Murali; Iwanowicz, Edwin  
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA  
SOURCE: PCT Int. Appl., 99 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000026197	A1	20000511	WO 1999-US24889	19991022 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2348267	A1	20000511	CA 1999-2348267	19991022 <--
EP 1127054	A1	20010829	EP 1999-960145	19991022 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002528533	T	20020903	JP 2000-579586	19991022 <--
US 6624184	B1	20030923	US 1999-427953	19991027 <--
US 20040082562	A1	20040429	US 2003-465425	20030619 <--
US 7053111	B2	20060530		

10/569,873

US 20060122245	A1	20060608	US 2003-465427	20030619 <--
US 7205324	B2	20070417		
US 20040102497	A1	20040527	US 2003-717287	20031119 <--
US 7060720	B2	20060613		

PRIORITY APPLN. INFO.:

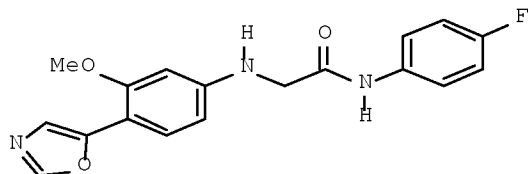
US 1998-106180P	P	19981029 <--
WO 1999-US24889	W	19991022 <--
US 1999-427953	A3	19991027 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 132:334449

ED Entered STN: 15 May 2000

GI



AB The title compds. ZJKLX [I; Z = (un)substituted monocyclic or bicyclic ring system containing up to 4 heteroatoms selected from N, O, and S; J = NR7, CO; K = NR7, CO, CHR9; L = a single bond, CO, CR10R11, etc.; X = alkyl, alkenyl, cycloalkylalkyl, etc.; R7 = H, alkyl, alkenyl, etc.; R9 = H, alkyl, alkenyl, etc.; R10, R11 = H, F, Cl, etc.], useful in treating or preventing IMPDH associated disorders, such as transplant rejection and autoimmune disease, were prepared E.g., a multi-step synthesis of glycineamide II was given. Compds. I are effective at 0.1-500 mg/kg/day.

IC ICM C07D263-34

ICS C07D413-10; A61K031-42

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))

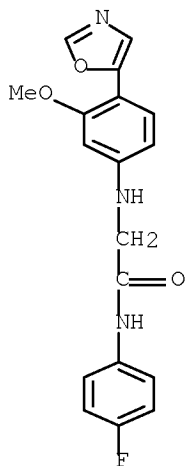
Section cross-reference(s): 1

IT	<u>267405-35-6P</u>	267405-36-7P	267405-37-8P	267405-39-0P	
	267405-40-3P	267405-41-4P	267405-42-5P	267405-43-6P	267405-44-7P
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	267405-85-6P	267405-86-7P	267405-87-8P	267405-88-9P	267405-90-3P
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	267406-16-6P	267406-17-7P	267406-18-8P	267406-19-9P	267406-20-2P
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	267406-31-5P	267406-32-6P	267406-33-7P	267406-34-8P	

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

10/569,873

(preparation of N-[4-(5-oxazolyl)phenyl] amides as novel inhibitors of  
IMPDH  
enzyme)  
IT 267405-35-6P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic  
use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of N-[4-(5-oxazolyl)phenyl] amides as novel inhibitors of  
IMPDH  
enzyme)  
RN 267405-35-6 HCAPLUS  
CN Acetamide, N-(4-fluorophenyl)-2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-  
(CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS  
RECORD (11 CITINGS)  
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 32 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2000:277959 HCAPLUS Full-text  
DOCUMENT NUMBER: 132:321662  
TITLE: Preparation of aromatic amine derivatives and agents  
containing the same  
INVENTOR(S): Oi, Satoru; Suzuki, Nobuhiro; Aso, Kazuyoshi; Banno,  
Yoshihiro  
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
SOURCE: PCT Int. Appl., 309 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2000023420	A1	20000427	WO 1999-JP5755	19991019 <--
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR,				

10/569,873

LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK,  
 SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,  
 DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2348159	A1	20000427	CA 1999-2348159	19991019 <--
AU 9961246	A	20000508	AU 1999-61246	19991019 <--
JP 2000191615	A	20000711	JP 1999-297129	19991019 <--
EP 1123918	A1	20010816	EP 1999-947962	19991019 <--
EP 1123918	B1	20050309		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO

AT 290524	T	20050315	AT 1999-947962	19991019 <--
US 7160887	B1	20070109	US 2001-807081	20010406 <--

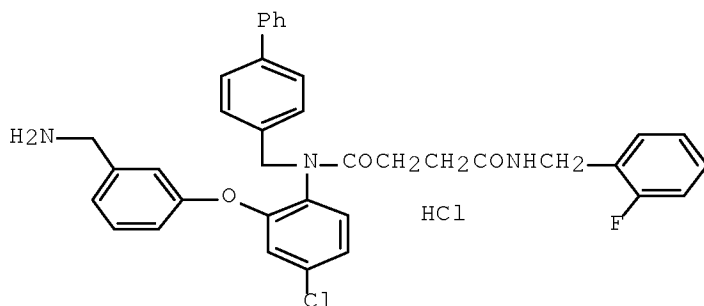
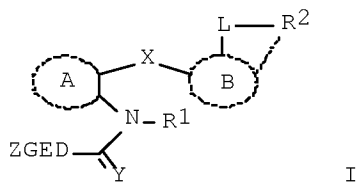
PRIORITY APPLN. INFO.:  
 JP 1998-298940 A 19981020 <--  
 WO 1999-JP5755 W 19991019 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 132:321662

ED Entered STN: 28 Apr 2000

GI



AB Title compds. [I; wherein A is an optionally substituted aromatic ring; B is an optionally substituted cyclic hydrocarbon oxy group; Z is an optionally substituted cyclic hydrocarbon group; R1 is hydrogen, optionally substituted hydrocarbyl, an optionally substituted heterocyclic group, or acyl; R2 is optionally substituted amino; D is a free valency or a divalent group; E is CO, CON(Ra), COO, N(Ra)CON(Rb), N(Ra)SO2, N(Ra), O, S, SO, SO2; G is a free valency or a divalent group; L is a free valency, an optionally substituted divalent hydrocarbon group which may be interrupted by O or S, or the like; X is oxygen, optionally oxidized sulfur, optionally substituted nitrogen, or an optionally substituted divalent hydrocarbon group; Y is two hydrogen atoms, oxygen, or sulfur; and the dotted line indicates that R2 and an atom on ring B may together form a ring] and salts are prepared and tested as somatostatin

receptor regulators. Thus, the title compound II was prepared in treatment or prevention of diabetes and obesity.

IC	ICM	C07C231-12			
ICS		C07C233-01; C07C235-00; C07C237-00; C07C311-00; C07C317-44; C07C323-50; C07D207-26; C07D209-16; C07D211-62; C07D211-96; C07D213-40; C07D213-74; C07D217-22; C07D217-24; C07D235-26; C07D277-30; C07D285-12; C07D295-10; C07D295-18			
CC		23-4 (Aliphatic Compounds)			
		Section cross-reference(s): 1, 63			
IT		5181-11-3P	10314-98-4P	14062-25-0P	18699-02-0P
		36925-05-0P	55311-42-7P	56205-90-4P	82340-96-3P
		109138-28-5P	129150-68-1P	158985-25-2P	198904-53-9P
		221040-07-9P	263893-82-9P	264915-70-0P	266368-57-4P
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		266370-49-4P	266370-50-7P	266370-57-4P	266370-64-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aromatic amine derivs. and agents containing the same as somatostatin receptor regulators)

IT	266364-65-2P	266364-67-4P	266364-69-6P	266364-75-4P	266364-83-4P
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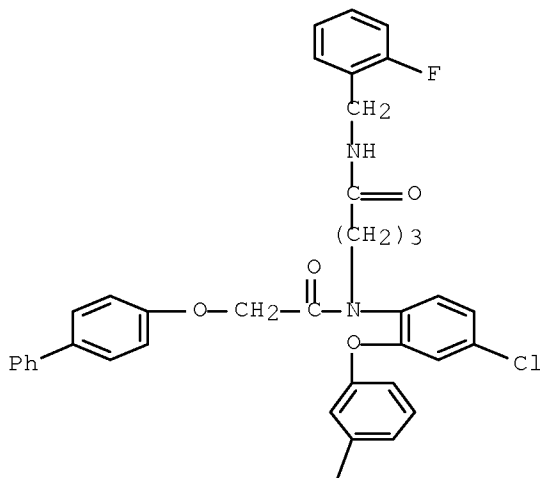
(preparation of aromatic amine derivs. and agents containing the same as
somatostatin receptor regulators)

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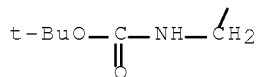
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

RN 266370-36-9 HCAPLUS

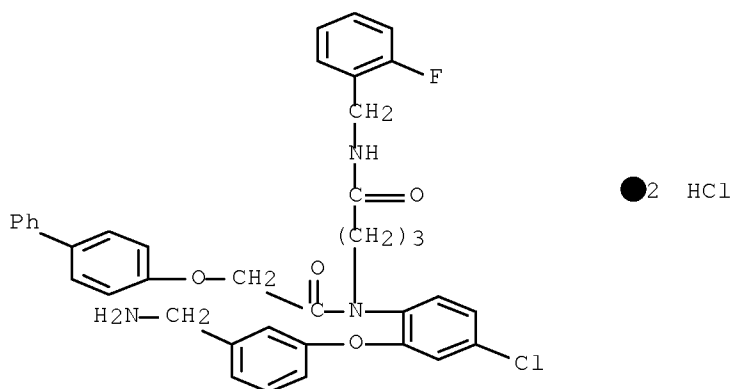
CN Carbamic acid, [[3-[2-[[[([1,1'-biphenyl]-4-yloxy)acetyl][4-[(2-fluorophenyl)methyl]amino]-4-oxobutyl]amino]-5-chlorophenoxy]phenyl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



205

IT 266368-53-0PRL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL  
(Biological study); PREP (Preparation); USES (Uses)(preparation of aromatic amine derivs. and agents containing the same as  
somatostatin receptor regulators)

RN 266368-53-0 HCAPLUS

CN Butanamide, 4-[[2-[3-(aminomethyl)phenoxy]-4-chlorophenyl][2-([1,1'-  
biphenyl]-4-yloxy)acetyl]amino]-N-[(2-fluorophenyl)methyl]-, hydrochloride  
(1:2) (CA INDEX NAME)OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 33 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:193988 HCAPLUS Full-text

DOCUMENT NUMBER: 130:237569

TITLE: Preparation of N-phenylalkylurea and  
phenylalkylcarbamate derivatives as peroxisome  
proliferator-activated receptor controllers  
INVENTOR(S): Tajima, Hisao; Nakayama, Yoshisuke; Fukushima,  
Daikichi

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 67 pp.

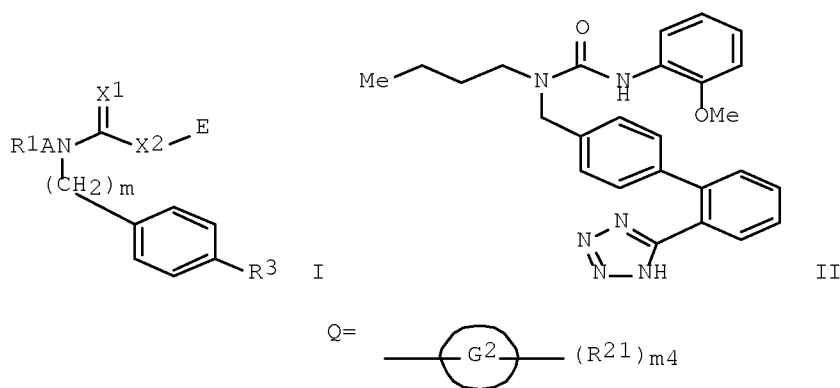
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9912534	A1	19990318	WO 1998-JP3930	19980902 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9889966	A	19990329	AU 1998-89966	19980902 <--
PRIORITY APPLN. INFO.:			JP 1997-245101	A 19970910 <--
			WO 1998-JP3930	W 19980902 <--

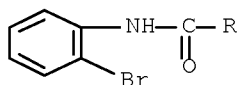
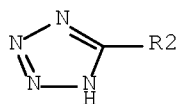
OTHER SOURCE(S): MARPAT 130:237569  
 ED Entered STN: 25 Mar 1999  
 GI



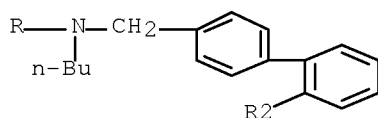
AB Claimed are peroxisome proliferator-activated receptor (PPAR) controllers containing as the active ingredient compds. represented by general formula [I; A = single bond, C1-7 alkylene, C2-6 alkenylene; when A = single bond, then R1 = C1-14 alkyl, C2-6 alkenyl or alkynyl, (un)substituted C3-14 mono- or polycyclic (un)saturated carbocyclic ring, 4- to 7-membered ring monocyclic heterocyclic ring; when A = C1-7 alkylene or C2-6 alkenylene, R1 = halo, OH, C1-4 alkoxy, PhO, C1-4 alkylthio, NH2, C1-4 alkyl-carbonyloxy, C1-4 alkoxy-carbonyloxy, (un)substituted C3-14 mono- or polycyclic (un)saturated carbocyclic ring, 4- to 7-membered ring monocyclic heterocyclic ring; X1 = O, S; X2 = NR2, O; wherein R2 = H, (un)substituted C1-4 alkyl, C2-6 alkenyl, or alkynyl; R3 = CO2H, C1-4 alkoxy-carbonyl, carboxyphenyl, C1-4 alkoxy-Ph, 1H-tetrazol-5-ylphenyl; E = Q or substituted alkyl, or E and R2 of NR2 are joined together to form an optionally benzene-fused and substituted monocyclic 4- to 7-membered saturated heterocyclic ring containing 1-2 N, one N and one O, or a total of three N and O atoms; ring G = benzene ring, 4- to 7-membered ring monocyclic unsatd. heterocyclic ring containing one N or O; R21 = H, C1-4 alkyl, alkoxy, or alkylthio, OH, hydroxy-C1-4 alkyl, halo, etc.; m4 = 1-3; m = 0, 1-4; n1 = 1-4], salts of the same, or hydrates of both. The compds. exhibit

control effects against PPAR and are therefore useful as antihyperglycemic drugs, antihyperlipidemic drugs, HDL-cholesterol-increasing agents, LDL cholesterol- and/or VLDL cholesterol-lowering agents, risk factor decreasing agents for diabetes and syndrome X, or preventive and/or therapeutic agents for metabolic diseases such as diabetes, obesity, syndrome X, hypercholesterolemia and hyperlipo-proteinemia, hyperlipemia, arteriosclerosis, circulatory diseases, polyphagy, and ischemic heart diseases. Thus, the title compound (II).Na at 100 mg/kg/day p.o. for 14 consecutive days lowered the blood lipid (free fatty acid) from 797±201 mg/dL (control) to 575±113 mg/dL and the blood triglyceride level from 79±28 mg/dL (control) to 51±34 mg/dL in mice. A tablet and an ampule formulation containing II were described.

- IC ICM A61K031-17  
ICS A61K031-41; C07D257-04
- CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 63
- IT 158695-45-5 160837-87-6 160837-92-3 160837-98-9  
160838-00-6 160838-06-2 160838-10-8 160838-11-9  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(preparation of N-phenylalkylurea and phenylalkylcarbamate derivs. as peroxisome proliferator-activated receptor controllers for treatment of diseases)
- IT 160837-98-9  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(preparation of N-phenylalkylurea and phenylalkylcarbamate derivs. as peroxisome proliferator-activated receptor controllers for treatment of diseases)
- RN 160837-98-9 HCAPLUS
- CN Urea, N'-(2-bromophenyl)-N-butyl-N-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, sodium salt (1:1) (CA INDEX NAME)



● Na



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 34 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1996:476652 HCAPLUS Full-text

DOCUMENT NUMBER: 125:142578

ORIGINAL REFERENCE NO.: 125:26685a,26688a

TITLE: Pyridopyrimidones, quinolines and fused N-heterocycles as bradykinin antagonists.

INVENTOR(S): Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe, Yoshito; Sawada, Yuki; Inoue, Takayuki; Tanaka, Hirokazu

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 263 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9613485	A1	19960509	WO 1995-JP2192	19951025 <--
W: AU, CA, CN, HU, JP, KR, MX, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2203659	A1	19960509	CA 1995-2203659	19951025 <--
AU 9537536	A	19960523	AU 1995-37536	19951025 <--
AU 705883	B2	19990603		
EP 807105	A1	19971119	EP 1995-935563	19951025 <--
EP 807105	B1	20040616		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
CN 1168667	A	19971224	CN 1995-196602	19951025 <--
JP 10507764	T	19980728	JP 1996-514166	19951025 <--
JP 3697486	B2	20050921		
AT 269310	T	20040715	AT 1995-935563	19951025 <--
ES 2218554	T3	20041116	ES 1995-935563	19951025 <--
US 5994368	A	19991130	US 1997-809416	19970425 <--
PRIORITY APPLN. INFO.:				
			GB 1994-21684	A 19941027 <--
			GB 1995-12339	A 19950616 <--
			WO 1995-JP2192	W 19951025 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 125:142578

ED Entered STN: 13 Aug 1996

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to title compds. I [Z = group Q1 or Q2; X1 = N or CR1; X2 = N or CR9; X3 = N or CR2; R1 = alkyl; R2 = H, (un)substituted alkyl, alkoxy, halo, aryl, amino, etc.; R3 = H, alkyl, alkoxy, halo; R4 = alkyl, alkoxy, halo; R5 = OH, nitro, (un)substituted alkoxy, substituted piperazinyl, NR6R7; R6 = H, alkyl; R7 = H, alkoxycarbonyl, (un)substituted aroyl, carbamoyl, -(AA)COQR8, -(AA)R10; R8 = (un)substituted arylthio, aryloxy, arylamino, heterocyclylthio, heterocyclylamino, etc.; R9 = H, alkyl; R10 = H, acylbiphenyl; A = alkylene; (AA) = amino acid; Y = O, NR11; R11 = H, N-protective group], and pharmaceutically acceptable salts thereof, processes for their preparation, pharmaceutical compns., and therapeutic use in the prevention and/or the treatment of bradykinin-mediated diseases. Such diseases include allergy, inflammation, autoimmune disease, shock, and pain. For instance, amidation of 8-[3-(N-glycyl-N-methylamino)-2,6-

dichlorobenzyloxy]-2- methylquinoline with (E)-3-[6-(ethoxycarbonyl)-3-pyridyl]acrylic acid [preps. given] using EDC and HOBt in DMF gave title compound II. The similarly prepared title compound III.HCl gave 100% inhibition of [3H]-bradykinin binding to rat ileum receptors in vitro at 10<sup>-6</sup> M.

IC ICM C07D215-16

ICS A61K031-47; C07D471-02; A61K031-395; C07D215-26; C07D471-04

ICI C07D471-04, C07D221-00; C07D471-04, C07D221-00, C07D277-00; C07D471-04, C07D221-00, C07D241-00

CC 27-17 (Heterocyclic Compounds (One Hetero Atom))  
Section cross-reference(s): 1, 28

IT	179623-46-2P	179623-47-3P	179623-48-4P	179623-49-5P	179623-50-8P
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	179625-30-0P	179626-51-8P			

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridopyrimidones, quinolines, and fused N-heterocycles as bradykinin antagonists)

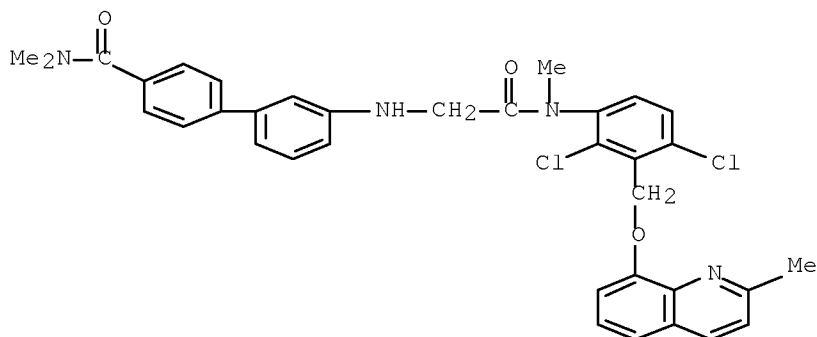
IT ~~179625-12-8P~~

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridopyrimidones, quinolines, and fused N-heterocycles as bradykinin antagonists)

10/569,873

RN 179625-12-8 HCAPLUS  
 CN [1,1'-Biphenyl]-4-carboxamide, 3'-[[2-[[2,4-dichloro-3-[[2-methyl-8-quinolinyl)oxy]methyl]phenyl]methylamino]-2-oxoethyl]amino]-N,N-dimethyl-  
 (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS  
 RECORD (12 CITINGS)  
 REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 35 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1996:455768 HCAPLUS Full-text  
 DOCUMENT NUMBER: 125:114322  
 ORIGINAL REFERENCE NO.: 125:21442h,21443a  
 TITLE: Preparation of urea derivatives as cholesterol  
 acyltransferase inhibitors  
 INVENTOR(S): Terasawa, Takeshi; Tanaka, Akira; Chiba, Toshiyuki;  
 Takasugi, Hisashi  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 228 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9610559	A1	19960411	WO 1995-JP1982	19950929 <--
W: AU, CA, CN, HU, JP, KR, MX, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
IN 1995MA01229	A	20050225	IN 1995-MA1229	19950922 <--
CA 2200981	A1	19960411	CA 1995-2200981	19950929 <--
AU 9535779	A	19960426	AU 1995-35779	19950929 <--
EP 784612	A1	19970723	EP 1995-932934	19950929 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 10510512	T	19981013	JP 1995-511616	19950929 <--
ZA 9508365	A	19960508	ZA 1995-8365	19951004 <--
PRIORITY APPLN. INFO.:			GB 1994-19970	A 19941004 <--
			GB 1995-6720	A 19950331 <--
			GB 1995-14021	A 19950710 <--
			WO 1995-JP1982	W 19950929 <--
OTHER SOURCE(S):			MARPAT 125:114322	

ED Entered STN: 02 Aug 1996

AB R4YC6H4(CH2)<sub>n</sub>NR2CONHR3 [R2 = (ar)alkyl, heterocyclyl(alkyl), alkoxyalkyl, etc.; R3,R4 = (un)substituted aryl, heterocyclyl; Y = bond, alkylene, O, CO, CONH, etc.; n = 0 or 1] were prepare Thus, 1-cycloheptyl-1-(4-phenoxyphenylmethyl)-3-(2,4,6-trifluorophenyl)urea had IC50 of 1.1x10<sup>-8</sup>M against cholesterol acyltransferase in vitro.

IC ICM C07C275-28  
ICS C07D213-75; C07D257-04; C07D231-12; C07D401-12; A61K031-17; A61K031-44; A61K031-41; C07D213-40; C07D307-38; C07D277-28; C07D233-54; C07C311-21; C07D333-20

CC 25-21 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
Section cross-reference(s): 1

IT 179053-26-0P 179053-27-1P 179053-28-2P 179053-29-3P 179053-30-6P  
179053-31-7P 179053-32-8P 179053-33-9P 179053-34-0P 179053-35-1P  
179053-36-2P 179053-37-3P 179053-38-4P 179053-39-5P 179053-40-8P  
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~~179053-83-9P~~ ~~179053-84-0P~~ ~~179053-85-1P~~  
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179053-90-8P ~~179053-91-9P~~ 179053-92-0P 179053-93-1P  
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of urea derivs. as cholesterol acyltransferase inhibitors)

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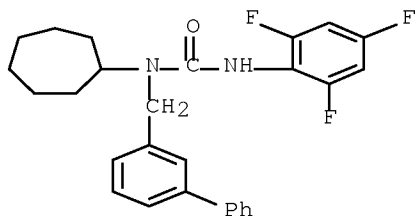


10/569,873

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of urea derivs. as cholesterol acyltransferase inhibitors)

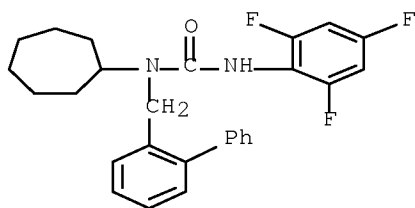
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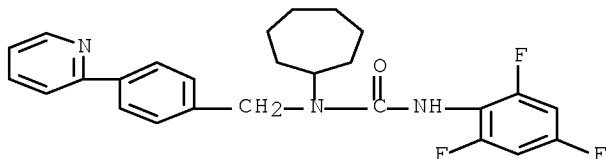
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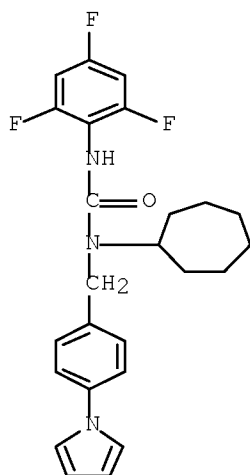
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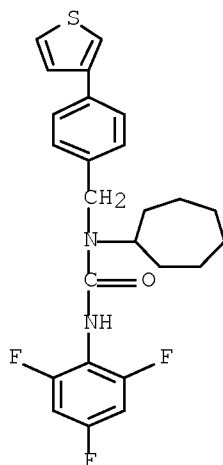
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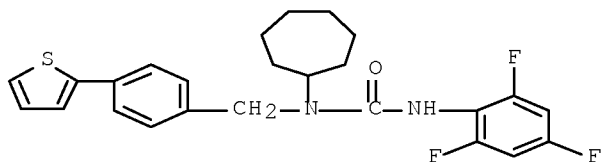
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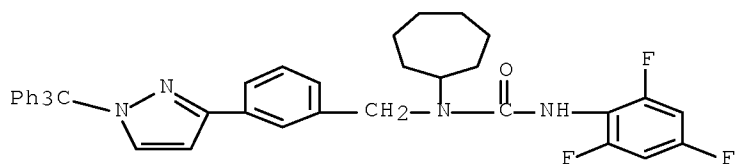
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10/569,873

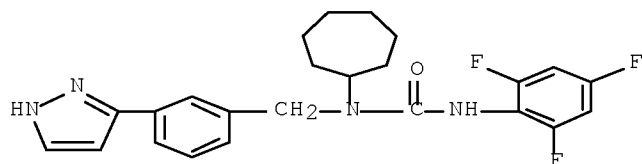
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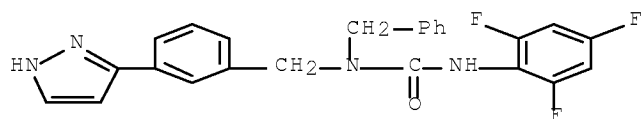
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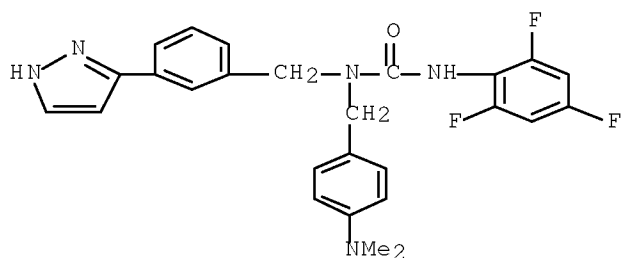
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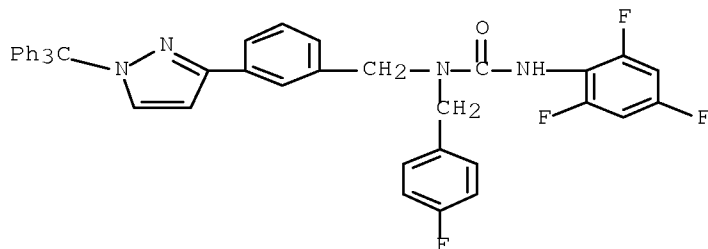


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OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)  
 REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 36 OF 36 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1995:346786 HCAPLUS Full-text

DOCUMENT NUMBER: 122:133193

ORIGINAL REFERENCE NO.: 122:24843a,24846a

TITLE: Preparation of  
 N-[[2'-(1H-tetrazol-5-yl)-1,1'-biphenyl-4-yl]methyl]urea derivatives as angiotensin II antagonists

INVENTOR(S): Mori, Tetsuya; Matsui, Toshiaki; Kawamura, Masanori

PATENT ASSIGNEE(S): Ono Pharmaceutical Co, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 44 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06211814	A	19940802	JP 1993-22099	19930114 <--
JP 3116256	B2	20001211		
PRIORITY APPLN. INFO.:			JP 1993-22099	19930114 <--

OTHER SOURCE(S): MARPAT 122:133193

ED Entered STN: 11 Feb 1995

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; T = O, S; ring A = benzene ring, 4- to 7-membered ring monocyclic unsatd. heterocycle containing 1 S or 1 N atom.; R1 = C1-8 alkyl; R2 = H, C1-4 alkyl,alkoxy, alkylthio, or hydroxyalkyl, halo, trihalomethyl, trihalomethyloxy, NO2, Ph, OCH2Ph, DR4, etc. (wherein D = single bond, C1-4 alkylene or alkyleneoxy, R4 = CO2R5, CH(OH)CO2R5, C(O)CO2R5, COCH2OH; R5 = H, C1-4 alkyl, CH2CONR6R7; R6, R7 = H, C1-4 alkyl); R3 = H, C1-4 alkyl, C2-6 alkenyl, CO2H, C1-4 alkoxy carbonyl, CONR12R13 (wherein R12, R13 = H, C1-3 alkyl or N R12R13 = 4- to 7-membered ring saturated monocyclic heterocyclyl containing 1 or 2 N atoms or 1 N and 1 O atom); Z = 1H-tetrazol-5-yl; m = 1-3;

provided that when  $m = 3$ , all 3  $R_2 = DR_4$  and all 3  $R_4 = CO_2R_5$ ], useful for the treatment of hypertension, are prepared. Thus, 77  $\mu$ L Et<sub>3</sub>N and 105 mg Et 2-isocyanatobenzoate were added to a suspension of N-butyl-N-[[2'-[1-(2-cyanoethyl)tetrazol-5-yl]-1,1'-biphenyl-4-yl]methyl]amine hydrochloride in THF and the resulting mixture was stirred at room temperature for 1 h to give intermediate (II;  $R = CH_2CH_2CN$ ). The latter compound was stirred with DBU in THF at room temperature for 5 h to give title compound II ( $R = H$ ) which (109 mg) was dissolved in 1,4-dioxane-H<sub>2</sub>O (2:1), treated with 0.22 mL 1 N aqueous NaOH, and lyophilized to give 260 mg title compound Na salt II ( $R = Na$ ) (III). A tablet formulation containing III was described.

IC ICM C07D257-04

ICS C07D401-12; C07D409-12

ICA A61K031-41; A61K031-44

CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 63

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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-[[1H-tetrazolyl]biphenyl]methyl]-N-(Ph or heterocyclyl)urea derivs. as angiotensin II antagonists)

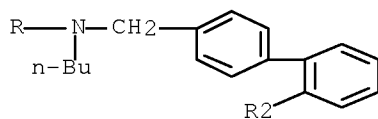
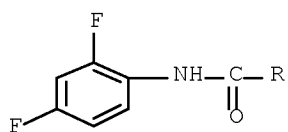
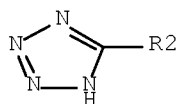
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-[[1H-tetrazolyl]biphenyl]methyl]-N-(Ph or heterocyclyl)urea derivs. as angiotensin II antagonists)

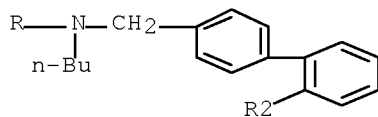
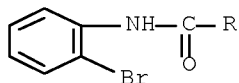
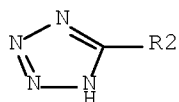
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RN 160837-98-9 HCAPLUS

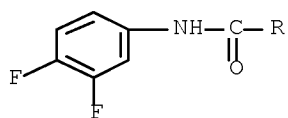
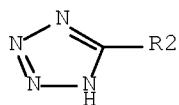
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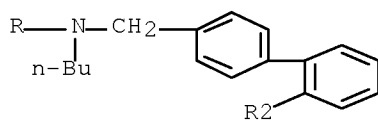
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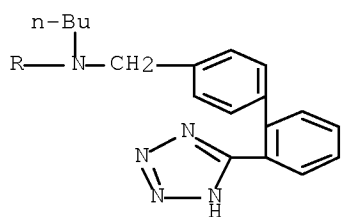


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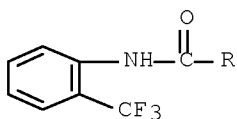


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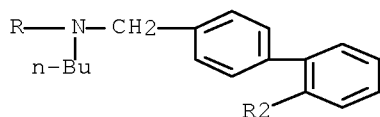
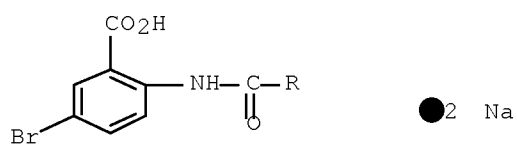
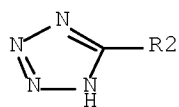


● Na



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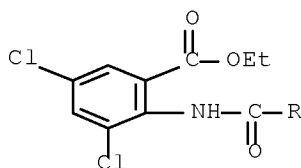
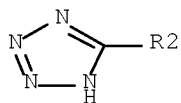
CN Benzoic acid, 5-bromo-2-[[[butyl[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]amino]carbonyl]amino]-, sodium salt (1:2) (CA INDEX NAME)



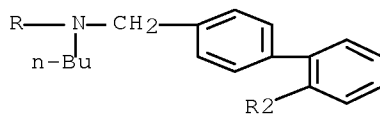
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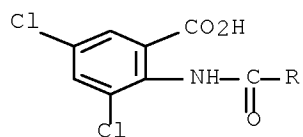
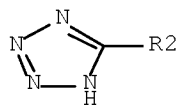
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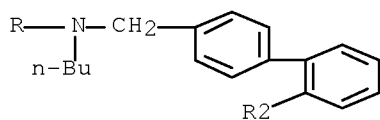


10/569,873

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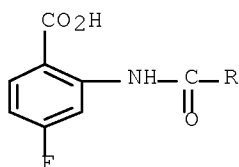
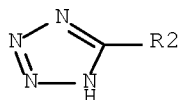
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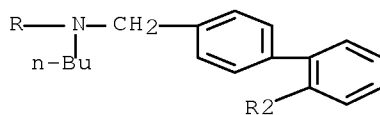
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PAGE 1-A



PAGE 2-A

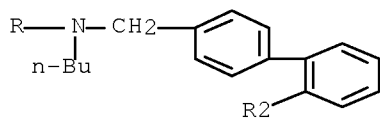
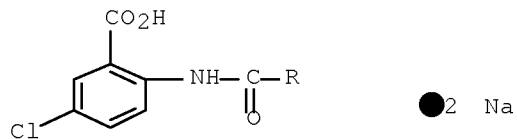
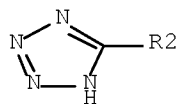


●2 Na

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RN 160838-25-5 HCAPLUS

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OS.CITING REF COUNT: 3

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L118    183 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L117
L119    2 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L118 AND (L53 OR L54
      OR L55 OR L56 OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63
      OR L64 OR L65 OR L66 OR L67 OR L68)
L120    1 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L1 AND L119
L121    0 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L1 NOT L119
L122    2 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  (L119 OR L120 OR
      L121)

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YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

L122 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:216619 HCAPLUS Full-text

DOCUMENT NUMBER: 142:297864

TITLE: Preparation of aniline derivatives and related compounds as c-kit modulators

INVENTOR(S): Cheng, Wei; Co, Erick Wang;  
Kim, Moon Hwan; Klein, Rhett Ronald;  
Le Donna, T.; Lew, Amy; Nuss,  
John M.; Xu, Wei; Bajjalieh,  
William

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 169 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

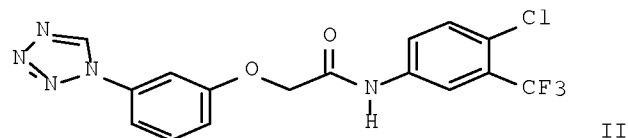
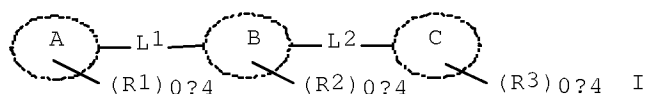
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005020921	A3	20051006		
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004268621	A1	20050310	AU 2004-268621	20040827
CA 2536954	A1	20050310	CA 2004-2536954	20040827
EP 1663204	A2	20060607	EP 2004-782473	20040827
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR			
JP 2007504160	T	20070301	JP 2006-524905	20040827
US 20080096892	A1	20080424	US 2007-569873	20070904 <--
PRIORITY APPLN. INFO.:			US 2003-499224P	P 20030829
			WO 2004-US28001	W 20040827

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 142:297864; MARPAT 142:297864

ED Entered STN: 11 Mar 2005

GI



AB Compds. I [wherein ring A is a five- to fourteen-membered heteroaryl; R1, R2 and R3 are H, halo, trihalomethyl, cyano, nitro, etc.; L1 is a single bond, (un)substituted alkylene, O, CH2O, etc.; ring B is five- to ten-membered aryl or heterocyclyl; ring C is five- to ten-membered (hetero)aryl; L2 is alkylene, alkylidene, alkylidyne, etc.; with some limitations and exclusions, and pharmaceutically acceptable salts, hydrates or prodrugs thereof], as exemplified by carbonyl compds. of anilines, were prepared as c-Kit kinase modulators. For example, 3-aminophenoxyacetic acid, which was obtained from the corresponding nitro compound in 76% yield via catalytic hydrogenation, was treated with HC(OEt)<sub>3</sub> and NaN<sub>3</sub> in AcOH followed by NaNO<sub>2</sub>/HCl to give a tetrazole in 61% yield. This acid was coupled with 5-amino-2-chlorobenzotrifluoride in the presence of HATU to afford acetamide II in 46% yield, which showed inhibition against c-Kit kinase with a IC<sub>50</sub> of < 50 nM. Therefore, I and pharmaceutical compns. thereof are useful for modulating c-Kit kinase activity and for treating diseases or disorders associated with uncontrolled, abnormal, and/or unwanted cellular activities.

IC ICM A61K

CC 25-4 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1, 63

IT	332176-73-5P	<del>332176-74-6P</del>	337354-96-8P	337496-38-5P	
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(modulator; preparation of anilines and related compds. as C-kit modulators)

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<u>847609-65-8P</u>	<u>847609-67-0P</u>	<u>847609-69-2P</u>
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(modulator; preparation of anilines and related compds. as C-kit modulators)

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 14213-12-8P 85553-54-4P 90084-67-6P 125620-16-8P 198084-13-8P,  
 4-(5-Pyrimidinyl)benzyl alcohol 229643-02-1P 352347-24-1P  
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of anilines and related compds. as C-kit modulators)

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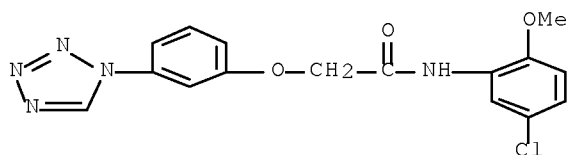
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847609-93-2P		

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(modulator; preparation of anilines and related compds. as C-kit modulators)

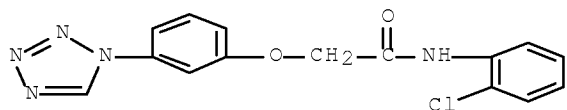
RN 332176-74-6 HCAPLUS

CN Acetamide, N-(5-chloro-2-methoxyphenyl)-2-[3-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



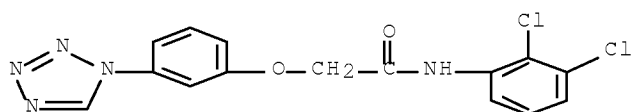
RN 483337-32-2 HCAPLUS

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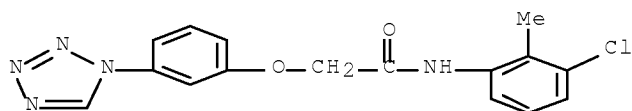
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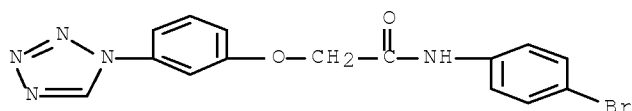
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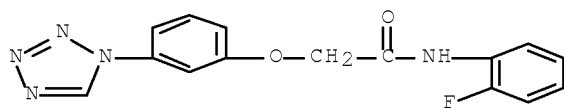
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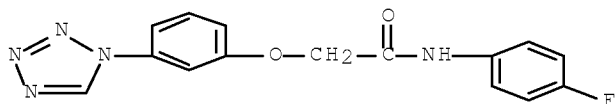
RN 483337-38-8 HCAPLUS

CN Acetamide, N-(2-fluorophenyl)-2-[3-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX  
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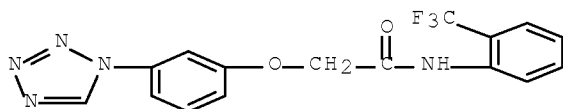
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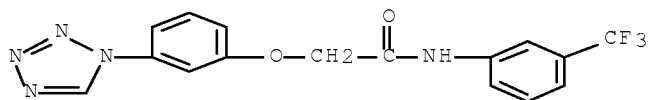
RN 483337-40-2 HCAPLUS

CN Acetamide, 2-[3-(1H-tetrazol-1-yl)phenoxy]-N-[2-(trifluoromethyl)phenyl]-  
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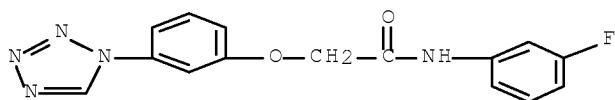
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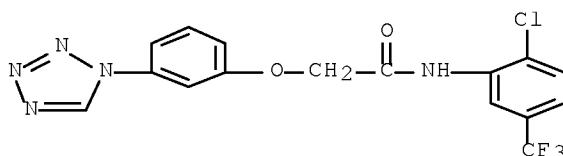
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NAME)



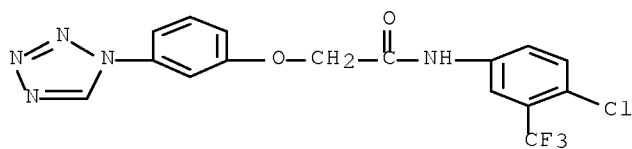
RN 505052-18-6 HCAPLUS

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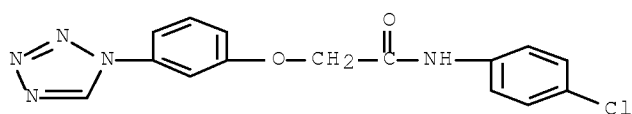
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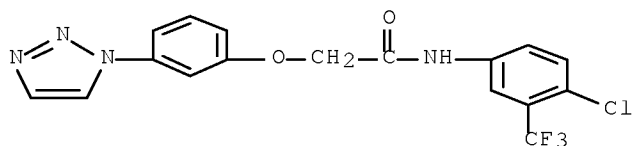
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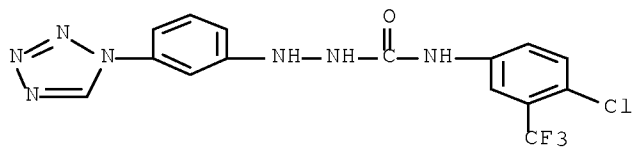
RN 847606-67-1 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(1H-1,2,3-triazol-1-yl)phenoxy]- (CA INDEX NAME)



RN 847606-71-7 HCAPLUS

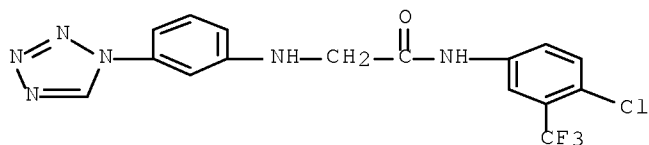
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RN 847606-73-9 HCAPLUS

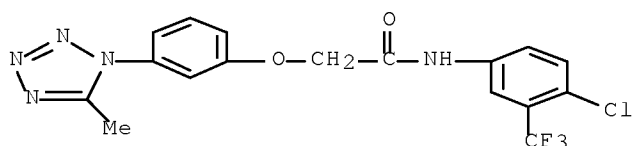
10/569,873

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[[3-(1H-tetrazol-1-yl)phenyl]amino]- (CA INDEX NAME)



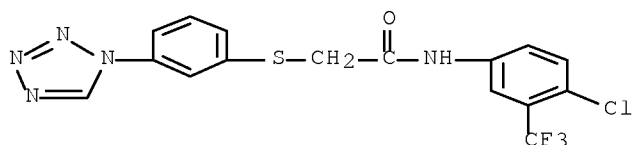
RN 847606-74-0 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(5-methyl-1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



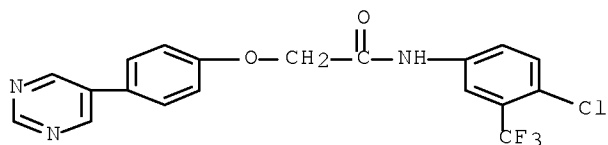
RN 847606-76-2 HCAPLUS

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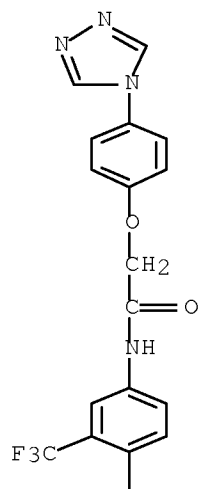
RN 847606-77-3 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(5-pyrimidinyl)phenoxy]- (CA INDEX NAME)



RN 847606-78-4 HCAPLUS

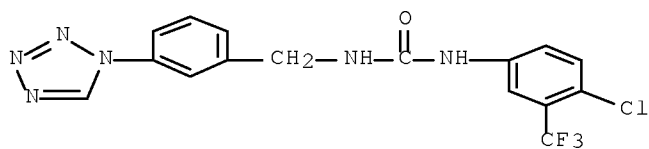
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C1

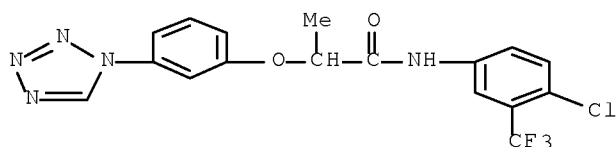
RN 847606-81-9 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(1H-tetrazol-1-yl)phenyl]methyl]- (CA INDEX NAME)



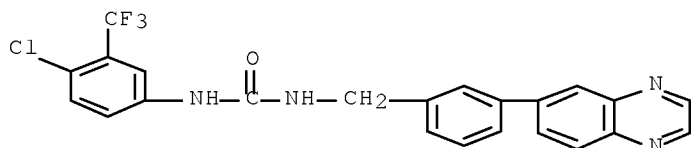
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CN Propanamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



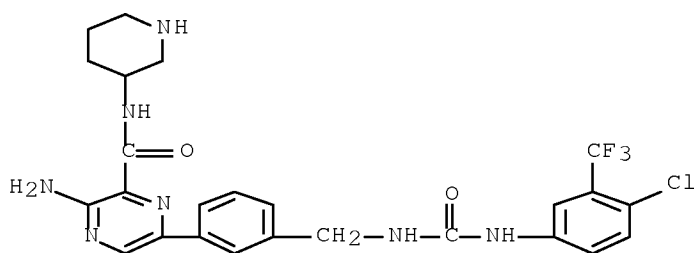
RN 847606-87-5 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(6-quinoxaliny)phenyl]methyl]- (CA INDEX NAME)



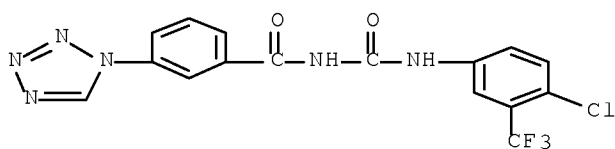
RN 847606-88-6 HCAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-[3-[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-N-3-piperidiny- (CA INDEX NAME)



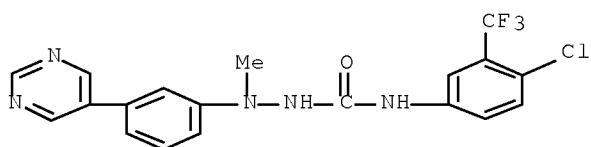
RN 847606-90-0 HCAPLUS

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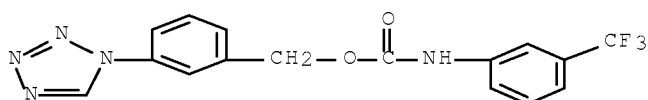
RN 847606-92-2 HCAPLUS

CN Hydrazinecarboxamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-methyl-2-[3-(5-pyrimidinyl)phenyl]- (CA INDEX NAME)



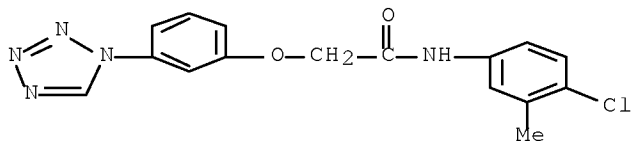
RN 847606-93-3 HCAPLUS

CN Carbamic acid, [3-(trifluoromethyl)phenyl]-,  
[3-(1H-tetrazol-1-yl)phenyl]methyl ester (9CI) (CA INDEX NAME)



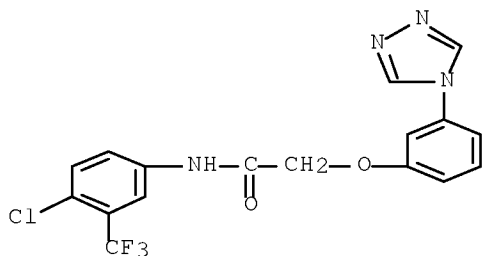
RN 847606-95-5 HCAPLUS

CN Acetamide, N-(4-chloro-3-methylphenyl)-2-[3-(1H-tetrazol-1-yl)phenoxy]-  
(CA INDEX NAME)



RN 847607-05-0 HCAPLUS

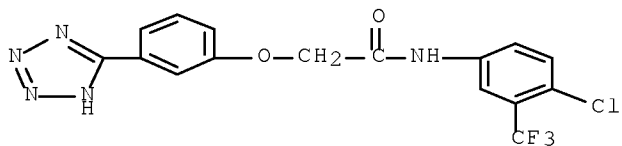
CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(4H-1,2,4-triazol-4-yl)phenoxy]- (CA INDEX NAME)



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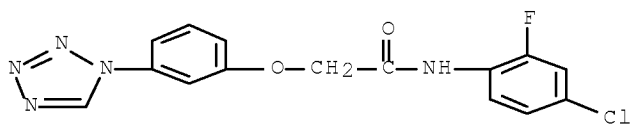
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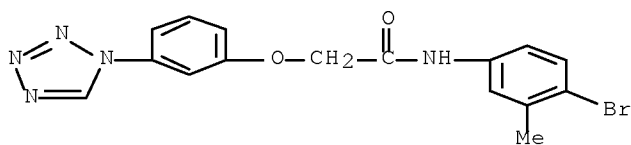
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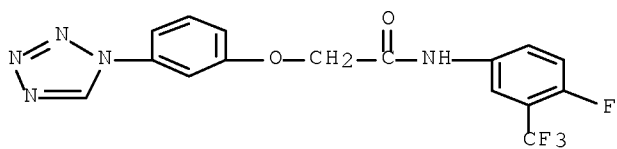
RN 847607-15-2 HCAPLUS

CN Acetamide, N-(4-bromo-3-methylphenyl)-2-[3-(1H-tetrazol-1-yl)phenoxy]-  
(CA INDEX NAME)



RN 847607-17-4 HCAPLUS

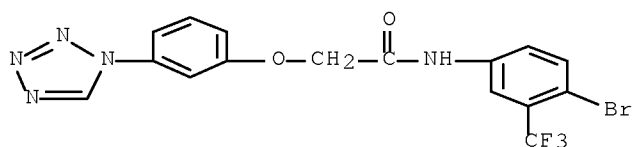
CN Acetamide, N-[4-fluoro-3-(trifluoromethyl)phenyl]-2-[3-(1H-tetrazol-1-yl)phenoxy]-  
(CA INDEX NAME)



RN 847607-18-5 HCAPLUS

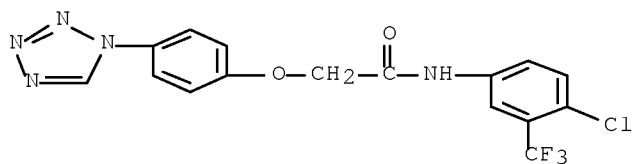
CN Acetamide, N-[4-bromo-3-(trifluoromethyl)phenyl]-2-[3-(1H-tetrazol-1-yl)phenoxy]-  
(CA INDEX NAME)

10/569,873



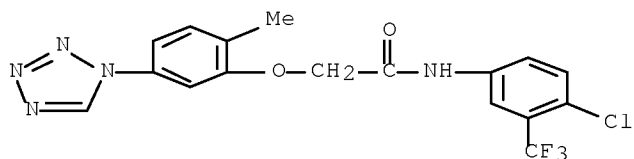
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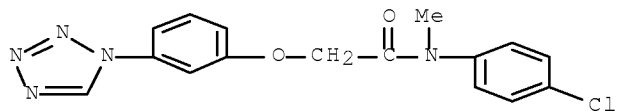
RN 847607-20-9 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[2-methyl-5-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



RN 847607-21-0 HCAPLUS

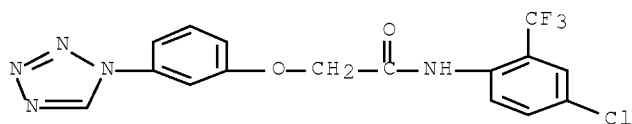
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RN 847607-22-1 HCAPLUS

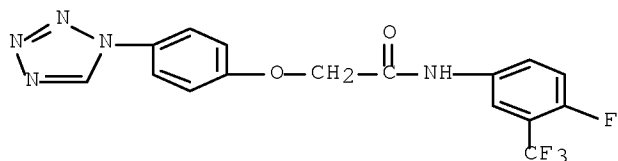
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10/569,873



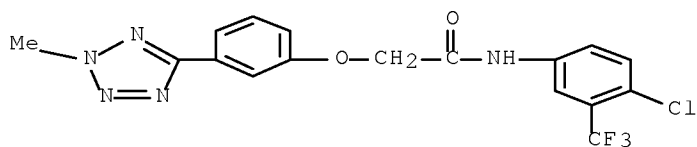
RN 847607-25-4 HCAPLUS

CN Acetamide, N-[4-fluoro-3-(trifluoromethyl)phenyl]-2-[4-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



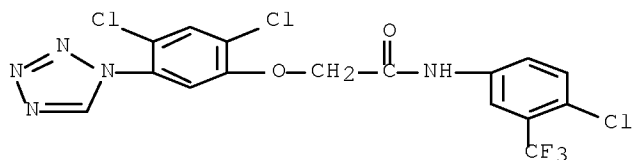
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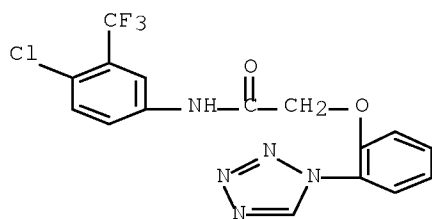
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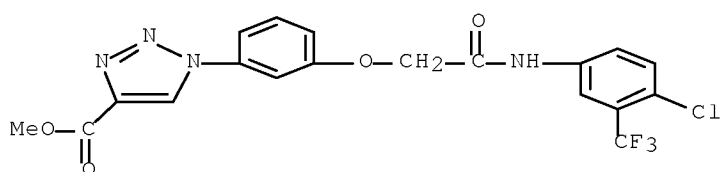
RN 847607-28-7 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[2-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



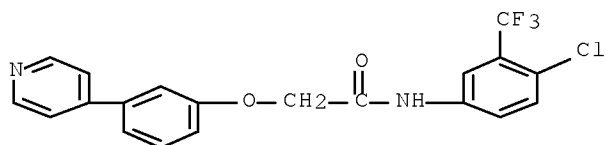
RN 847607-29-8 HCAPLUS

CN 1H-1,2,3-Triazole-4-carboxylic acid,  
1-[3-[2-[[4-chloro-3-(trifluoromethyl)phenyl]amino]-2-oxoethoxy]phenyl]-,  
methyl ester (CA INDEX NAME)



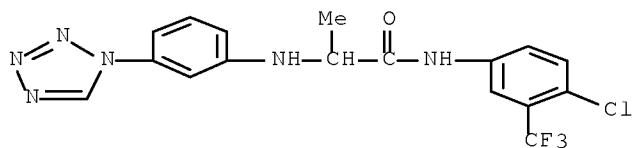
RN 847607-37-8 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(4-pyridinyl)phenoxy]- (CA INDEX NAME)



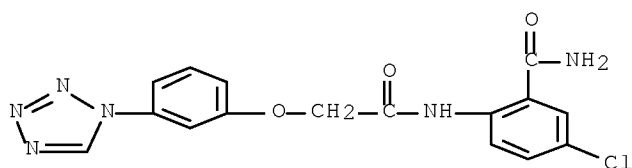
RN 847607-38-9 HCAPLUS

CN Propanamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[[3-(1H-tetrazol-1-yl)phenyl]amino]- (CA INDEX NAME)



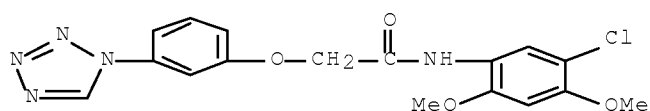
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CN Benzamide, 5-chloro-2-[[2-[3-(1H-tetrazol-1-yl)phenoxy]acetyl]amino]- (CA INDEX NAME)



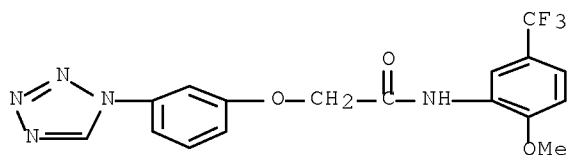
RN 847607-48-1 HCAPLUS

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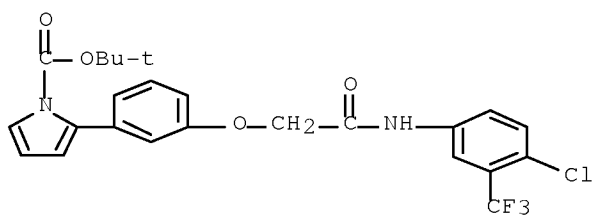
RN 847607-51-6 HCAPLUS

CN Acetamide, N-[2-methoxy-5-(trifluoromethyl)phenyl]-2-[3-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



RN 847607-56-1 HCAPLUS

CN 1H-Pyrrole-1-carboxylic acid, 2-[3-[2-[[4-chloro-3-(trifluoromethyl)phenyl]amino]-2-oxoethoxy]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

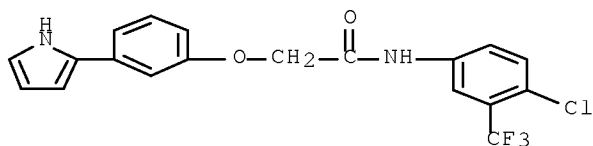


RN 847607-57-2 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(1H-pyrrol-2-

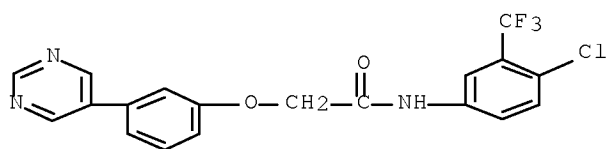
10/569,873

yl)phenoxy]- (CA INDEX NAME)



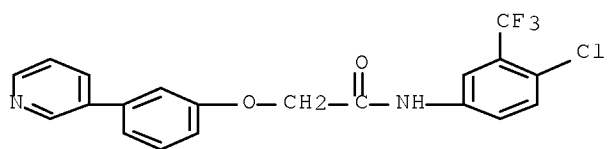
RN 847607-58-3 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(5-pyrimidinyl)phenoxy]- (CA INDEX NAME)



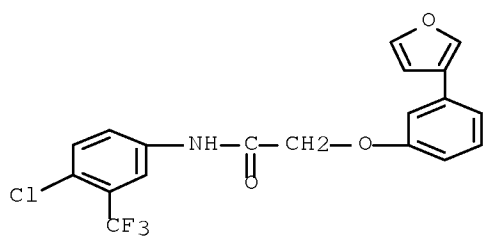
RN 847607-61-8 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(3-pyridinyl)phenoxy]- (CA INDEX NAME)



RN 847607-63-0 HCAPLUS

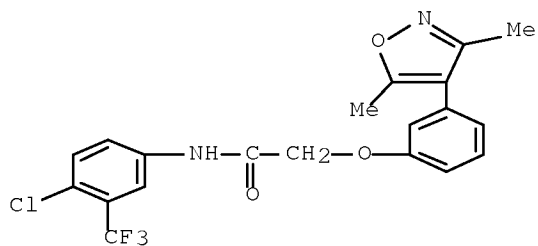
CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(3-furanyl)phenoxy]- (CA INDEX NAME)



RN 847607-68-5 HCAPLUS

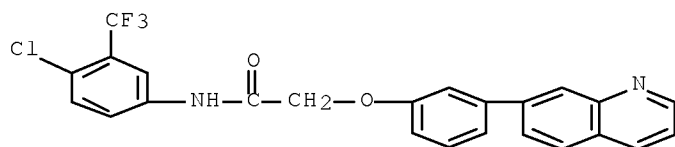
10/569,873

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(3,5-dimethyl-4-isoxazolyl)phenoxy]- (CA INDEX NAME)



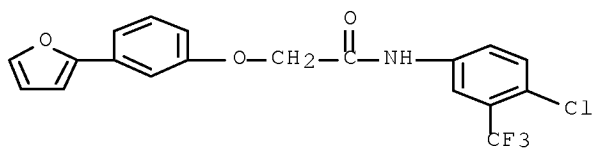
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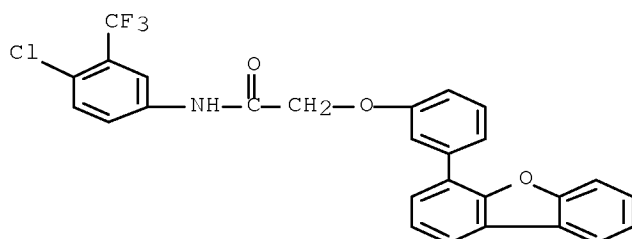
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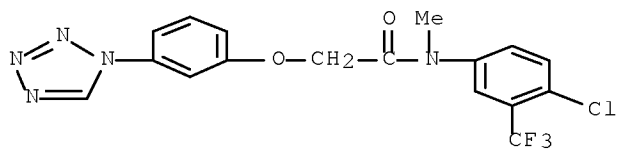
RN 847607-71-0 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(4-dibenzofuranyl)phenoxy]- (CA INDEX NAME)



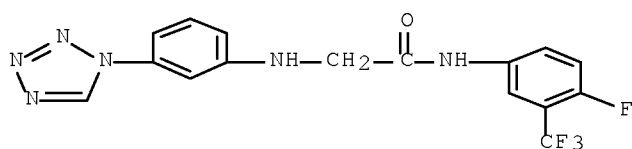
RN 847607-73-2 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-N-methyl-2-[3-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



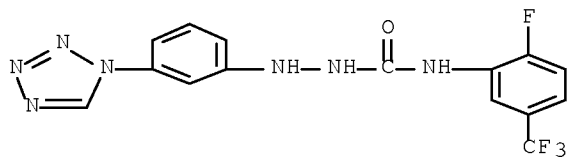
RN 847607-74-3 HCAPLUS

CN Acetamide, N-[4-fluoro-3-(trifluoromethyl)phenyl]-2-[[3-(1H-tetrazol-1-yl)phenyl]amino]- (CA INDEX NAME)



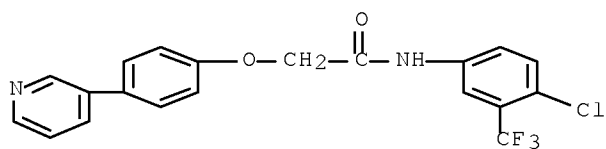
RN 847607-76-5 HCAPLUS

CN Hydrazinecarboxamide, N-[2-fluoro-5-(trifluoromethyl)phenyl]-2-[3-(1H-tetrazol-1-yl)phenyl]- (CA INDEX NAME)



RN 847607-77-6 HCAPLUS

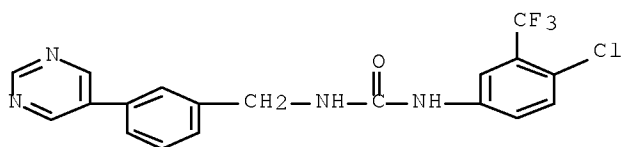
CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(3-pyridinyl)phenoxy]- (CA INDEX NAME)





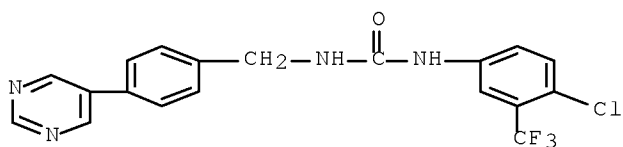
RN 847607-78-7 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(5-pyrimidinyl)phenyl]methyl]- (CA INDEX NAME)



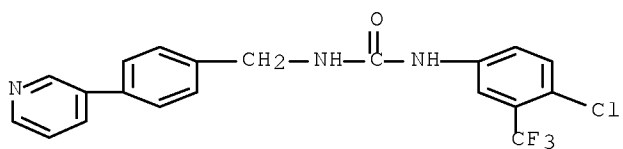
RN 847607-79-8 HCAPLUS

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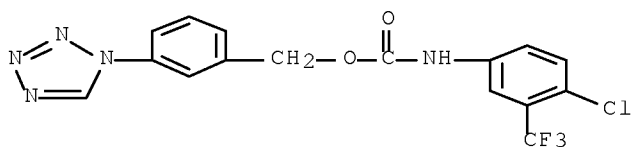
RN 847607-80-1 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



RN 847607-81-2 HCAPLUS

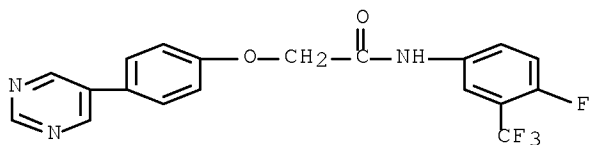
CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [3-(1H-tetrazol-1-yl)phenyl]methyl ester (9CI) (CA INDEX NAME)



RN 847607-82-3 HCAPLUS

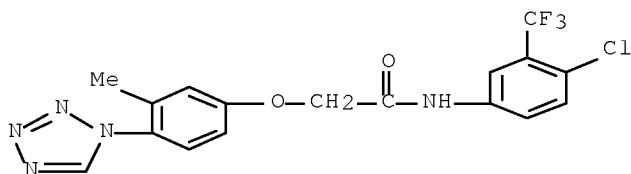
10/569,873

CN Acetamide, N-[4-fluoro-3-(trifluoromethyl)phenyl]-2-[4-(5-pyrimidinyl)phenoxy]- (CA INDEX NAME)



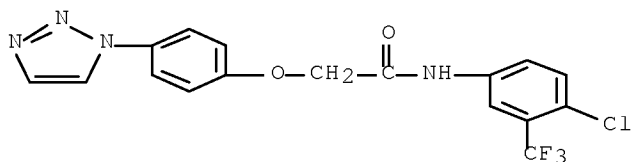
RN 847607-86-7 HCAPLUS

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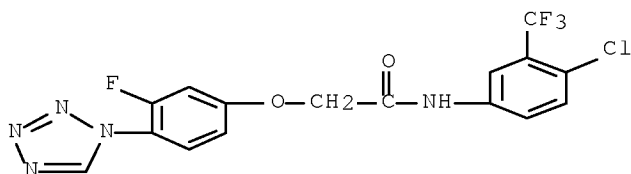
RN 847607-87-8 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(1H-1,2,3-triazol-1-yl)phenoxy]- (CA INDEX NAME)



RN 847607-88-9 HCAPLUS

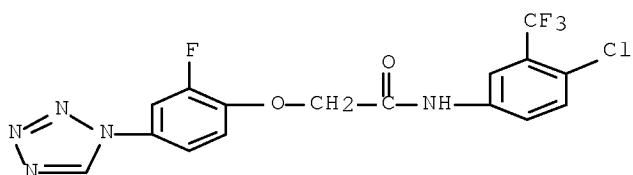
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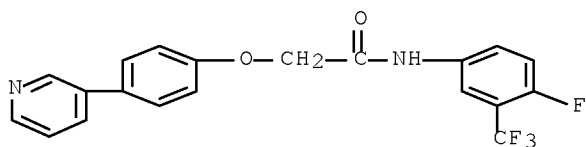
RN 847607-89-0 HCAPLUS

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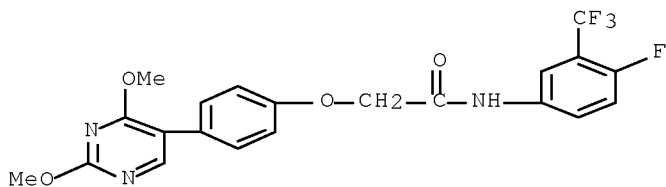
RN 847607-92-5 HCAPLUS

CN Acetamide, N-[4-fluoro-3-(trifluoromethyl)phenyl]-2-[4-(3-pyridinyl)phenoxy]- (CA INDEX NAME)



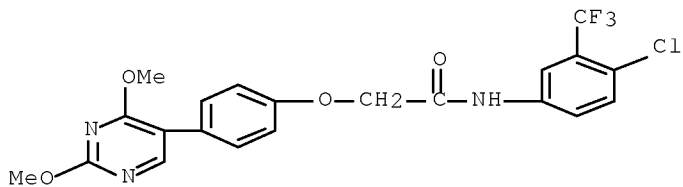
RN 847607-93-6 HCAPLUS

CN Acetamide, 2-[4-(2,4-dimethoxy-5-pyrimidinyl)phenoxy]-N-[4-fluoro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 847607-94-7 HCAPLUS

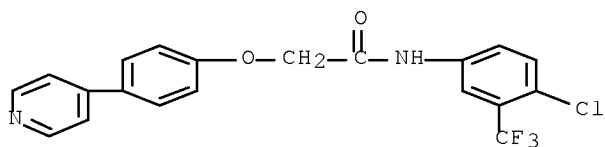
CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(2,4-dimethoxy-5-pyrimidinyl)phenoxy]- (CA INDEX NAME)



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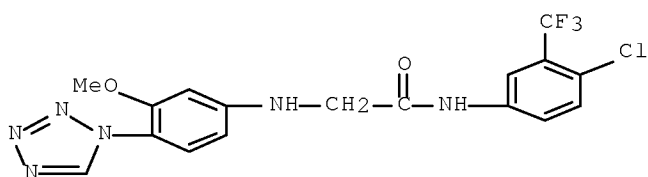
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CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(4-pyridinyl)phenoxy]- (CA INDEX NAME)



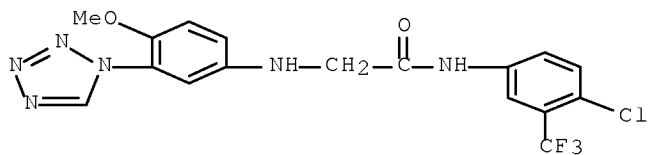
RN 847607-96-9 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[[3-methoxy-4-(1H-tetrazol-1-yl)phenyl]amino]- (CA INDEX NAME)



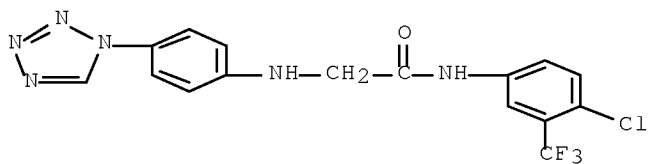
RN 847607-97-0 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[[4-methoxy-3-(1H-tetrazol-1-yl)phenyl]amino]- (CA INDEX NAME)



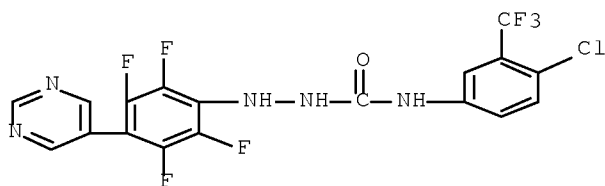
RN 847607-98-1 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[[4-(1H-tetrazol-1-yl)phenyl]amino]- (CA INDEX NAME)



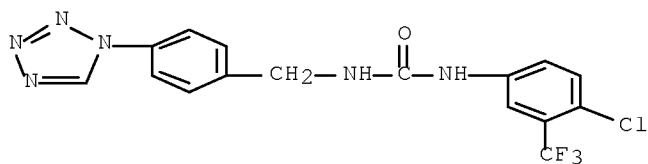
RN 847607-99-2 HCAPLUS

CN Hydrazinecarboxamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[2,3,5,6-tetrafluoro-4-(5-pyrimidinyl)phenyl]- (CA INDEX NAME)



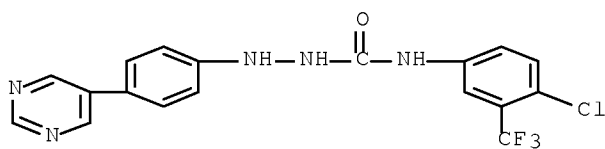
RN 847608-00-8 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(1H-tetrazol-1-yl)phenyl]methyl]- (CA INDEX NAME)



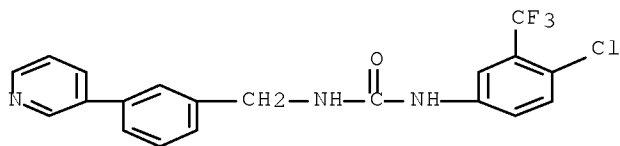
RN 847608-01-9 HCAPLUS

CN Hydrazinecarboxamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(5-pyrimidinyl)phenyl]- (CA INDEX NAME)



RN 847608-02-0 HCAPLUS

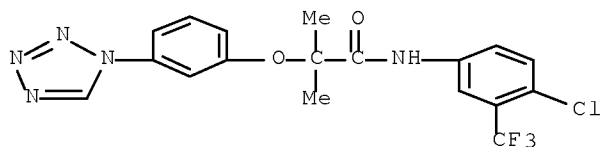
CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



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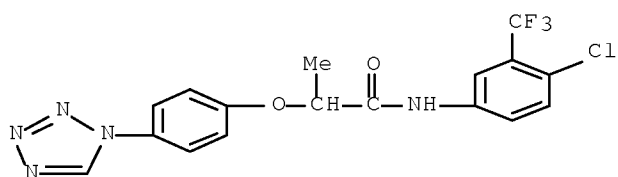
RN 847608-03-1 HCAPLUS

CN Propanamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-methyl-2-[3-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



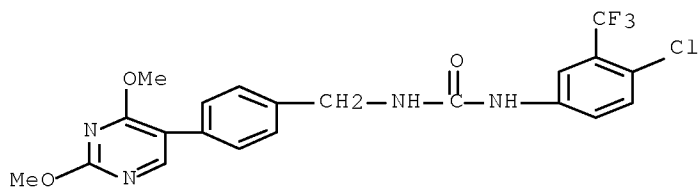
RN 847608-04-2 HCAPLUS

CN Propanamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



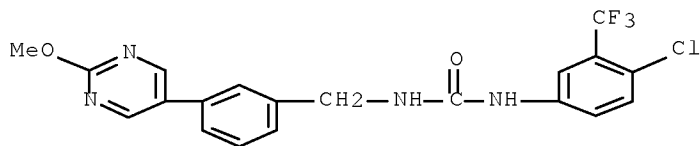
RN 847608-05-3 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(2,4-dimethoxy-5-pyrimidinyl)phenyl]methyl]- (CA INDEX NAME)



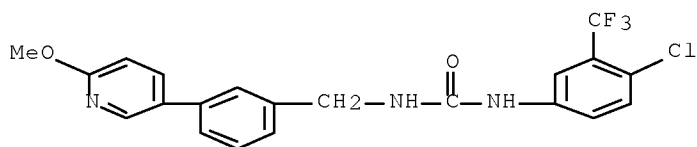
RN 847608-06-4 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(2-methoxy-5-pyrimidinyl)phenyl]methyl]- (CA INDEX NAME)



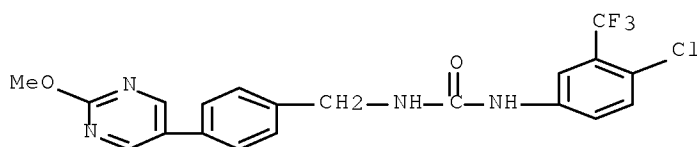
RN 847608-07-5 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(6-methoxy-3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



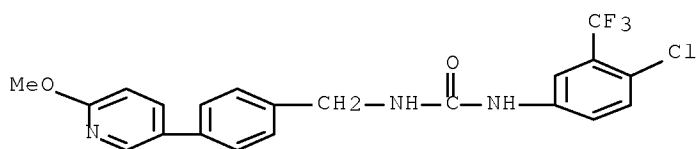
RN 847608-08-6 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(2-methoxy-5-pyrimidinyl)phenyl]methyl]- (CA INDEX NAME)



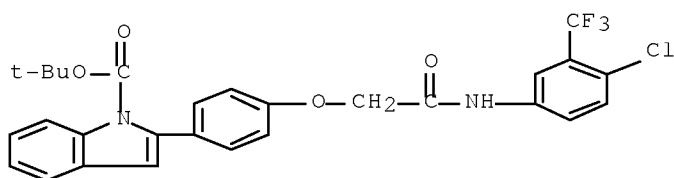
RN 847608-09-7 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(6-methoxy-3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



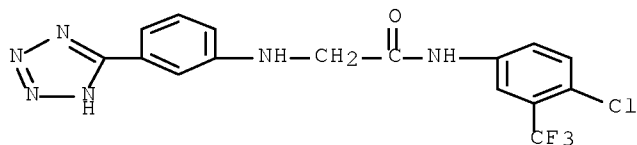
RN 847608-10-0 HCAPLUS

CN 1H-Indole-1-carboxylic acid, 2-[4-[2-[[4-chloro-3-(trifluoromethyl)phenyl]amino]-2-oxoethoxy]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



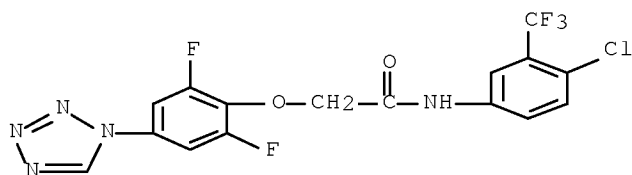
RN 847608-12-2 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[[3-(2H-tetrazol-5-yl)phenyl]amino]- (CA INDEX NAME)



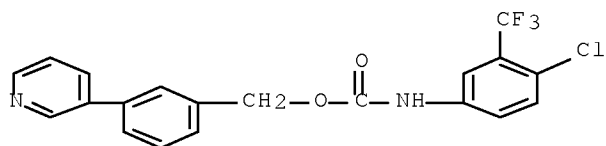
RN 847608-13-3 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[2,6-difluoro-4-(1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)



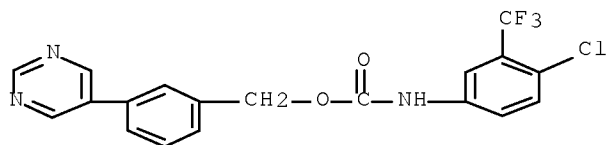
RN 847608-14-4 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [3-(3-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



RN 847608-15-5 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [3-(5-pyrimidinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)

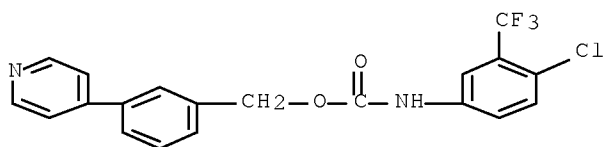




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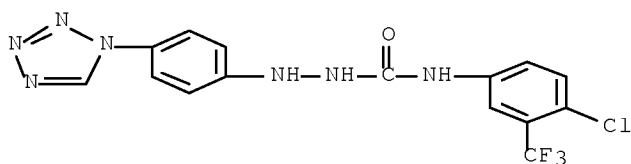
RN 847608-16-6 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,  
[3-(4-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



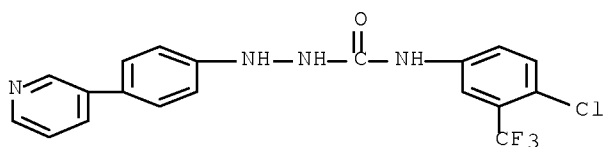
RN 847608-17-7 HCAPLUS

CN Hydrazinecarboxamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(1H-tetrazol-1-yl)phenyl]- (CA INDEX NAME)



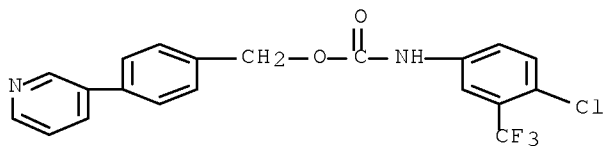
RN 847608-18-8 HCAPLUS

CN Hydrazinecarboxamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(3-pyridinyl)phenyl]- (CA INDEX NAME)



RN 847608-19-9 HCAPLUS

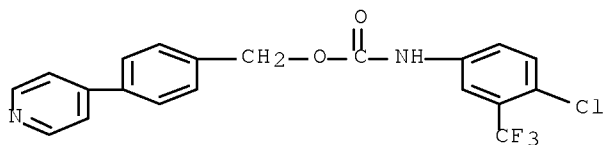
CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,  
[4-(3-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



RN 847608-20-2 HCAPLUS

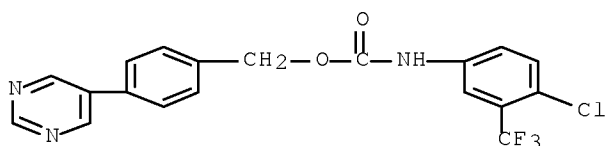
10/569,873

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,  
[4-(4-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



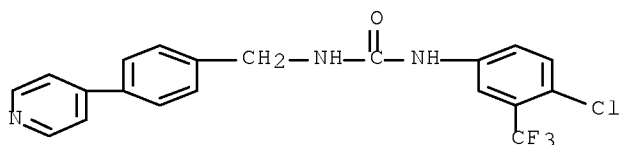
RN 847608-21-3 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,  
[4-(5-pyrimidinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



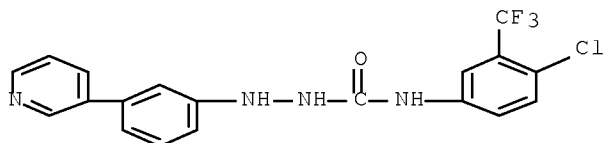
RN 847608-23-5 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(4-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



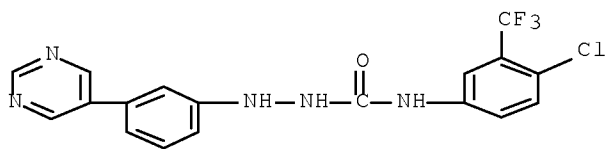
RN 847608-24-6 HCAPLUS

CN Hydrazinecarboxamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(3-pyridinyl)phenyl]- (CA INDEX NAME)



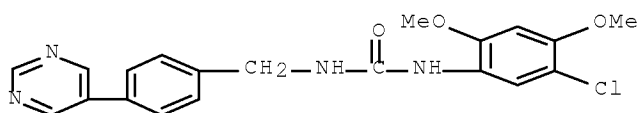
RN 847608-25-7 HCAPLUS

CN Hydrazinecarboxamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(5-pyrimidinyl)phenyl]- (CA INDEX NAME)



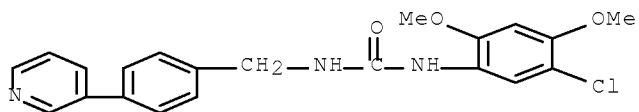
RN 847608-26-8 HCAPLUS

CN Urea, N-(5-chloro-2,4-dimethoxyphenyl)-N'-[[4-(5-pyrimidinyl)phenyl]methyl]- (CA INDEX NAME)



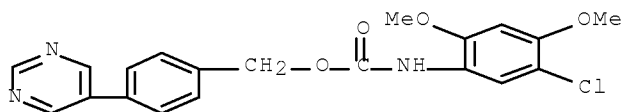
RN 847608-27-9 HCAPLUS

CN Urea, N-(5-chloro-2,4-dimethoxyphenyl)-N'-[[4-(3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



RN 847608-29-1 HCAPLUS

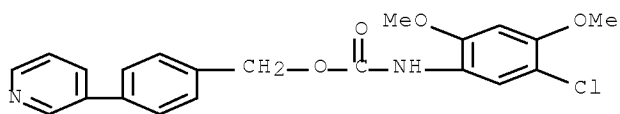
CN Carbamic acid, (5-chloro-2,4-dimethoxyphenyl)-, [4-(5-pyrimidinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



RN 847608-30-4 HCAPLUS

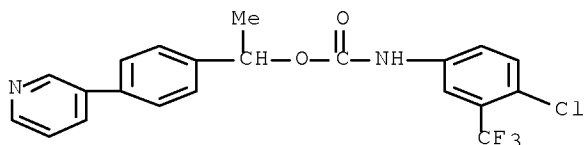
CN Carbamic acid, (5-chloro-2,4-dimethoxyphenyl)-, [4-(3-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)

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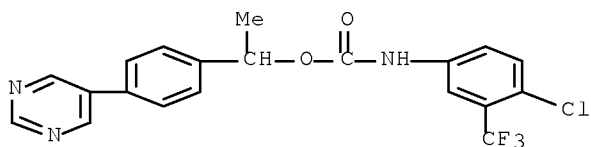
RN 847608-31-5 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,  
1-[4-(3-pyridinyl)phenyl]ethyl ester (9CI) (CA INDEX NAME)



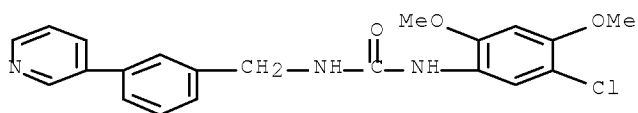
RN 847608-32-6 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,  
1-[4-(5-pyrimidinyl)phenyl]ethyl ester (9CI) (CA INDEX NAME)



RN 847608-33-7 HCAPLUS

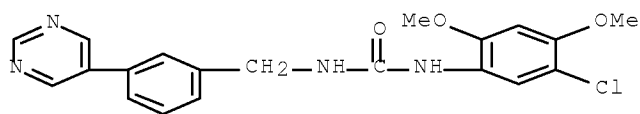
CN Urea, N-(5-chloro-2,4-dimethoxyphenyl)-N'-[[3-(3-pyridinyl)phenyl]methyl]-  
(CA INDEX NAME)



RN 847608-35-9 HCAPLUS

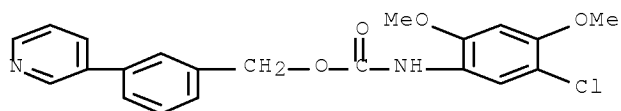
CN Urea, N-(5-chloro-2,4-dimethoxyphenyl)-N'-[[3-(5-pyrimidinyl)phenyl]methyl]- (CA INDEX NAME)

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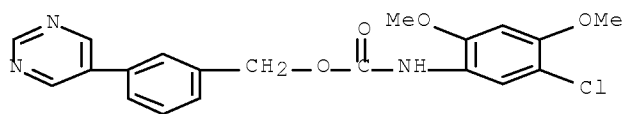
RN 847608-37-1 HCAPLUS

CN Carbamic acid, (5-chloro-2,4-dimethoxyphenyl)-,  
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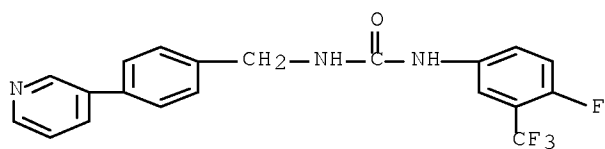
RN 847608-39-3 HCAPLUS

CN Carbamic acid, (5-chloro-2,4-dimethoxyphenyl)-,  
[3-(5-pyrimidinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



RN 847608-42-8 HCAPLUS

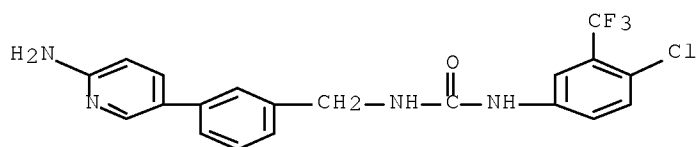
CN Urea, N-[4-fluoro-3-(trifluoromethyl)phenyl]-N'-[[4-(3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



RN 847608-44-0 HCAPLUS

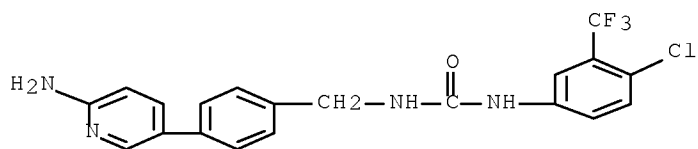
CN Urea, N-[[3-(6-amino-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

10/569,873



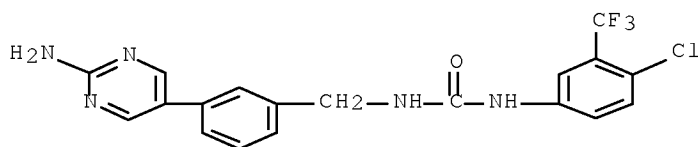
RN 847608-46-2 HCAPLUS

CN Urea, N-[[4-(6-amino-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



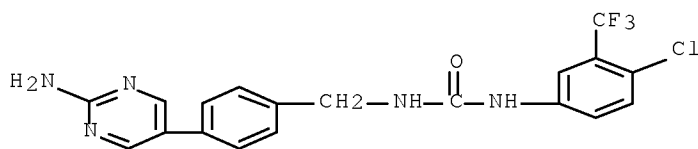
RN 847608-48-4 HCAPLUS

CN Urea, N-[[3-(2-amino-5-pyrimidinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



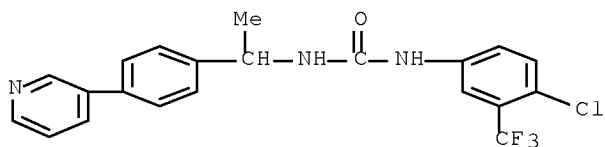
RN 847608-50-8 HCAPLUS

CN Urea, N-[[4-(2-amino-5-pyrimidinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



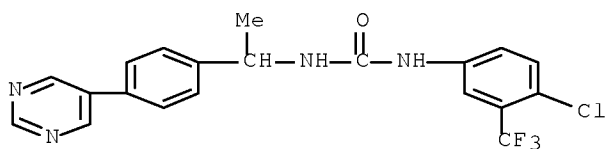
RN 847608-51-9 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[1-[4-(3-pyridinyl)phenyl]ethyl]- (CA INDEX NAME)



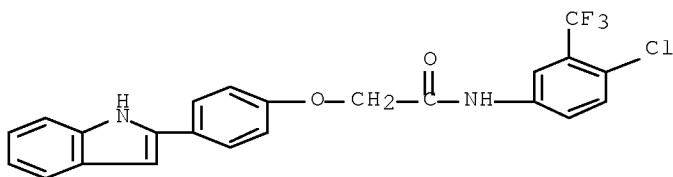
RN 847608-53-1 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[1-[4-(5-pyrimidinyl)phenyl]ethyl]- (CA INDEX NAME)



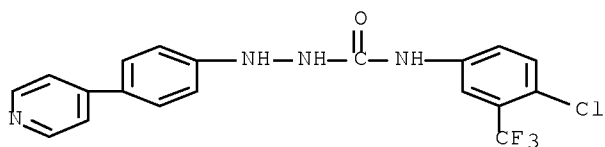
RN 847608-55-3 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(1H-indol-2-yl)phenoxy]- (CA INDEX NAME)



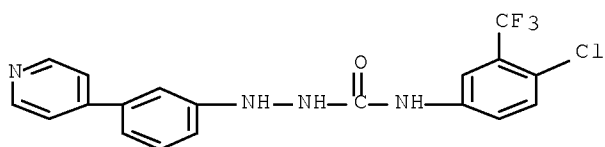
RN 847608-58-6 HCAPLUS

CN Hydrazinecarboxamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(4-pyridinyl)phenyl]- (CA INDEX NAME)



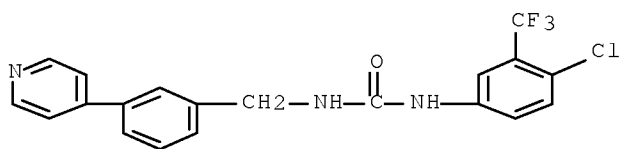
RN 847608-59-7 HCAPLUS

CN Hydrazinecarboxamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(4-pyridinyl)phenyl]- (CA INDEX NAME)



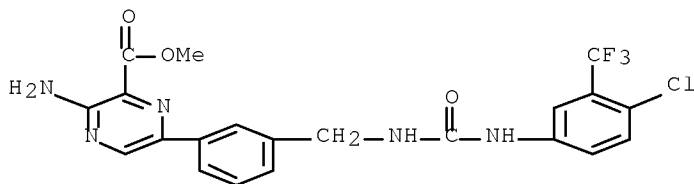
RN 847608-60-0 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(4-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



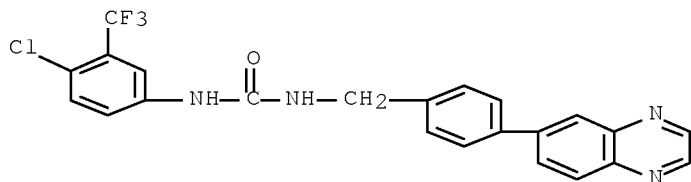
RN 847608-61-1 HCAPLUS

CN 2-Pyrazinecarboxylic acid, 3-amino-6-[3-[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-, methyl ester (CA INDEX NAME)



RN 847608-62-2 HCAPLUS

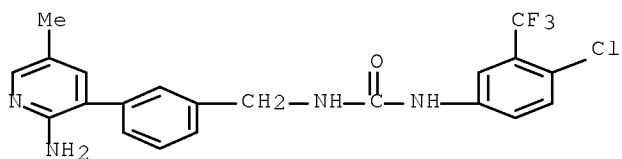
CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(6-quinoxaliny)phenyl]methyl]- (CA INDEX NAME)



RN 847608-63-3 HCAPLUS

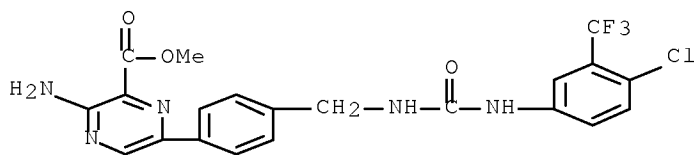
CN Urea, N-[[3-(2-amino-5-methyl-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)





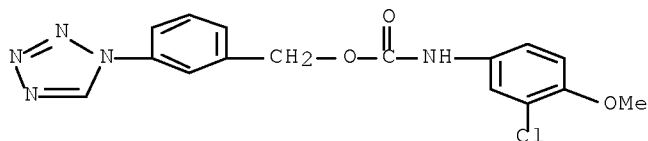
RN 847608-64-4 HCAPLUS

CN 2-Pyrazinecarboxylic acid, 3-amino-6-[4-[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-, methyl ester (CA INDEX NAME)



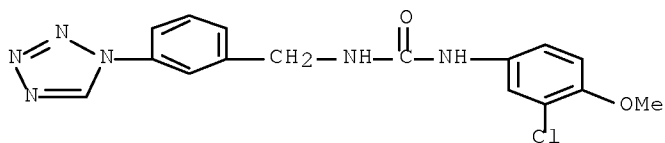
RN 847608-65-5 HCAPLUS

CN Carbamic acid, (3-chloro-4-methoxyphenyl)-, [3-(1H-tetrazol-1-yl)phenyl]methyl ester (9CI) (CA INDEX NAME)



RN 847608-66-6 HCAPLUS

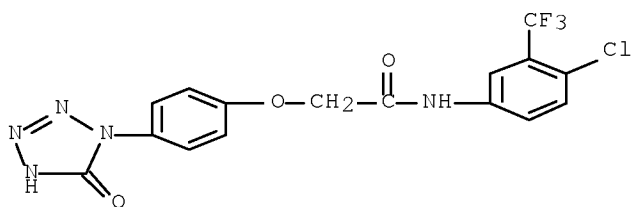
CN Urea, N-(3-chloro-4-methoxyphenyl)-N'-[[3-(1H-tetrazol-1-yl)phenyl]methyl]- (CA INDEX NAME)



RN 847608-67-7 HCAPLUS

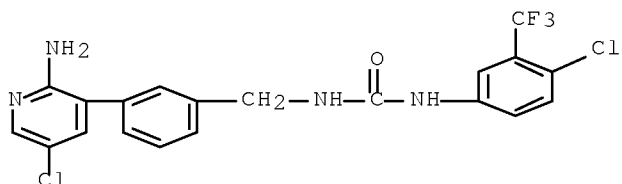
CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(2,5-dihydro-5-oxo-1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)

10/569,873



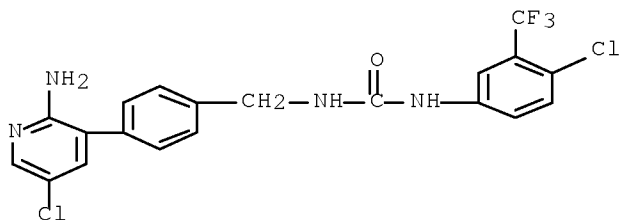
RN 847608-68-8 HCAPLUS

CN Urea, N-[[3-(2-amino-5-chloro-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



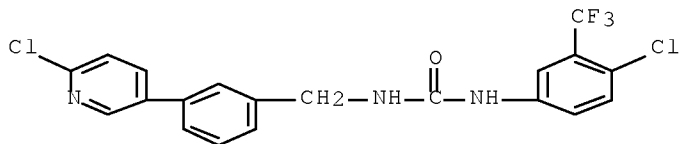
RN 847608-69-9 HCAPLUS

CN Urea, N-[[4-(2-amino-5-chloro-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 847608-70-2 HCAPLUS

CN Urea, N-[[3-(6-chloro-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

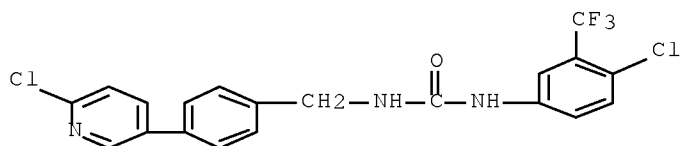


RN 847608-71-3 HCAPLUS

CN Urea, N-[[4-(6-chloro-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

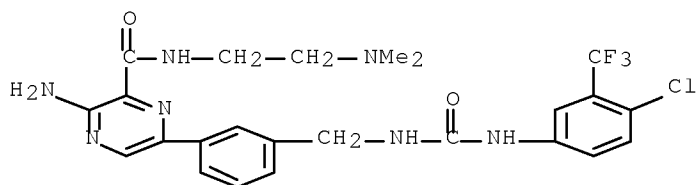
10/569,873

(trifluoromethyl)phenyl]- (CA INDEX NAME)



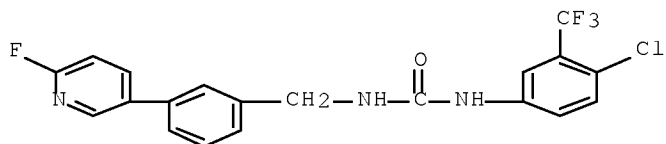
RN 847608-73-5 HCAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-[3-[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-N-[2-(dimethylamino)ethyl]- (CA INDEX NAME)



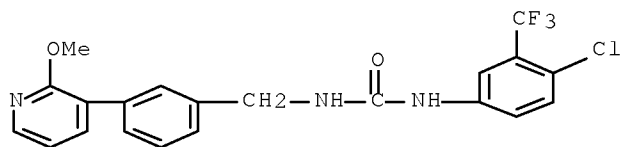
RN 847608-74-6 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(6-fluoro-3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



RN 847608-75-7 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(2-methoxy-3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)

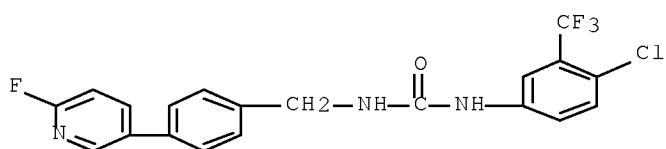


RN 847608-77-9 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(6-fluoro-3-

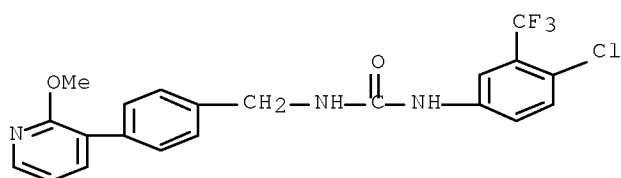
10/569,873

pyridinyl)phenyl)methyl]- (CA INDEX NAME)



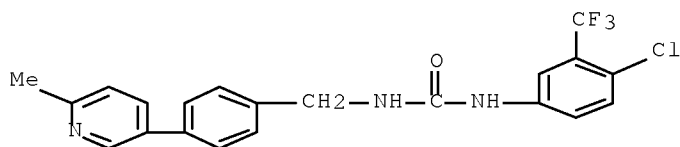
RN 847608-79-1 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[4-(2-methoxy-3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



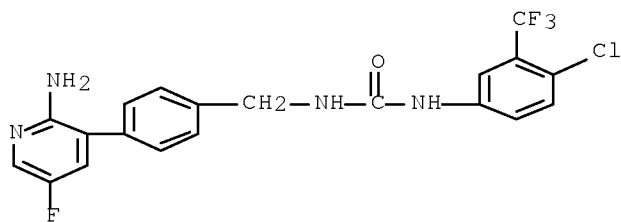
RN 847608-80-4 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[4-(6-methyl-3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



RN 847608-81-5 HCAPLUS

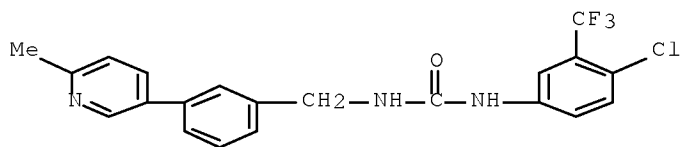
CN Urea, N-[4-(2-amino-5-fluoro-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 847608-82-6 HCAPLUS

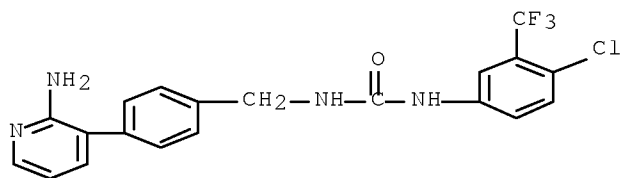
10/569,873

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(6-methyl-3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



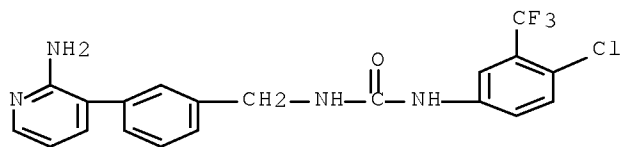
RN 847608-83-7 HCAPLUS

CN Urea, N-[[4-(2-amino-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



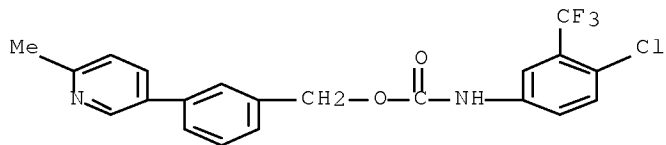
RN 847608-84-8 HCAPLUS

CN Urea, N-[[3-(2-amino-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 847608-85-9 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [3-(6-methyl-3-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)

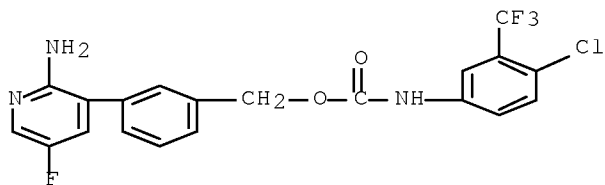


RN 847608-86-0 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,

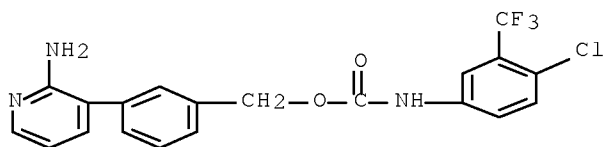
10/569,873

[3-(2-amino-5-fluoro-3-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



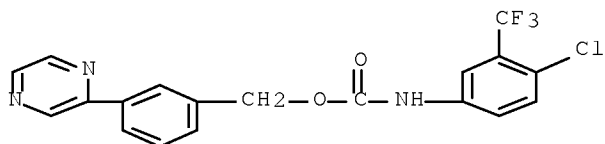
RN 847608-87-1 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [3-(2-amino-3-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



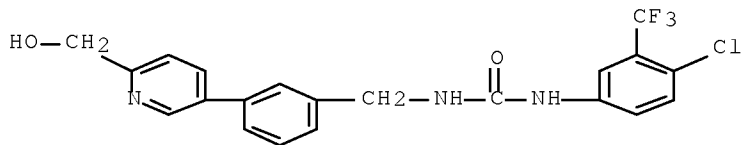
RN 847608-88-2 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, (3-pyrazinylphenyl)methyl ester (9CI) (CA INDEX NAME)



RN 847608-89-3 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-[6-(hydroxymethyl)-3-pyridinyl]phenyl]methyl]- (CA INDEX NAME)

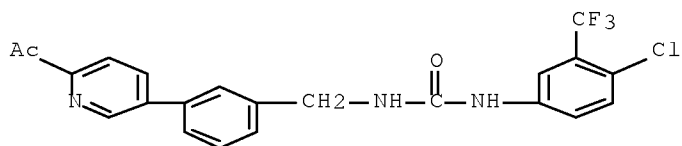


RN 847608-90-6 HCAPLUS

CN Urea, N-[[3-(6-acetyl-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-

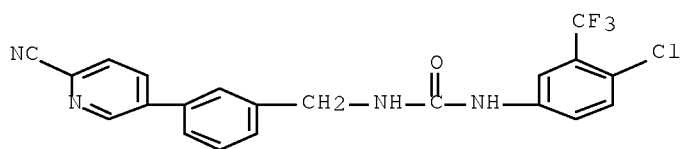
10/569,873

(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 847608-91-7 HCAPLUS

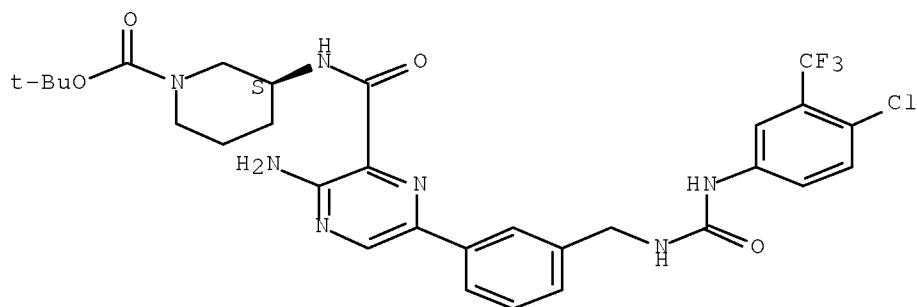
CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(6-cyano-3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)



RN 847608-93-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 3-[[[3-amino-6-[3-[[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-2-pyrazinyl]carbonyl]amino]-, 1,1-dimethylethyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

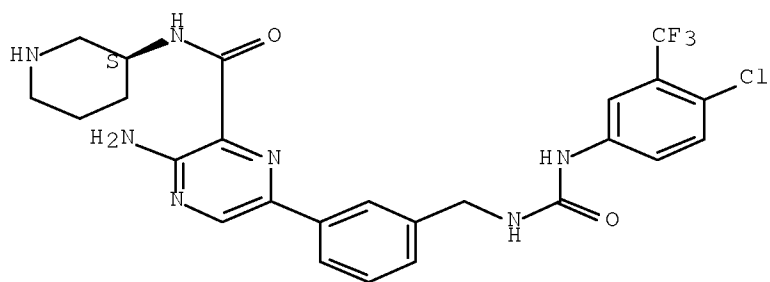


RN 847608-94-0 HCAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-[3-[[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-N-(3S)-3-piperidinyl- (CA INDEX NAME)

Absolute stereochemistry.

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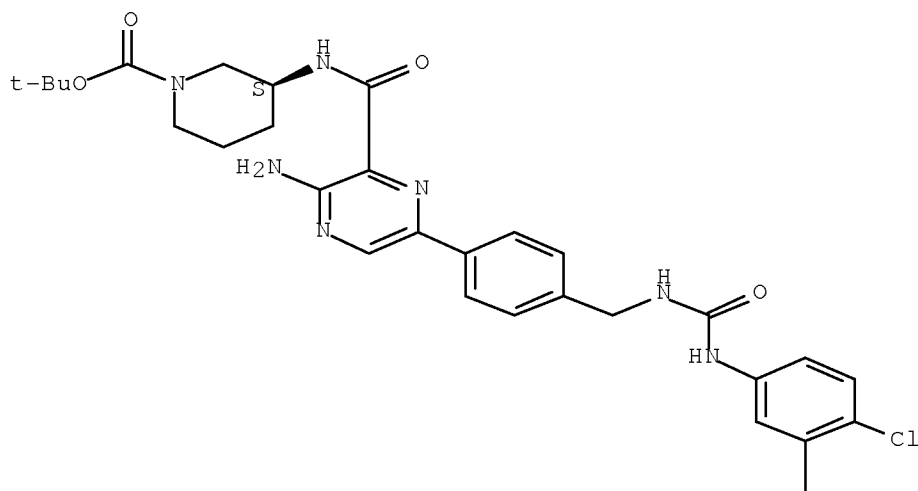


RN 847608-95-1 HCAPLUS

CN 1-Piperidinecarboxylic acid, 3-[[[3-amino-6-[4-[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-2-pyrazinyl]carbonyl]amino]-, 1,1-dimethylethyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

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CF<sub>3</sub>

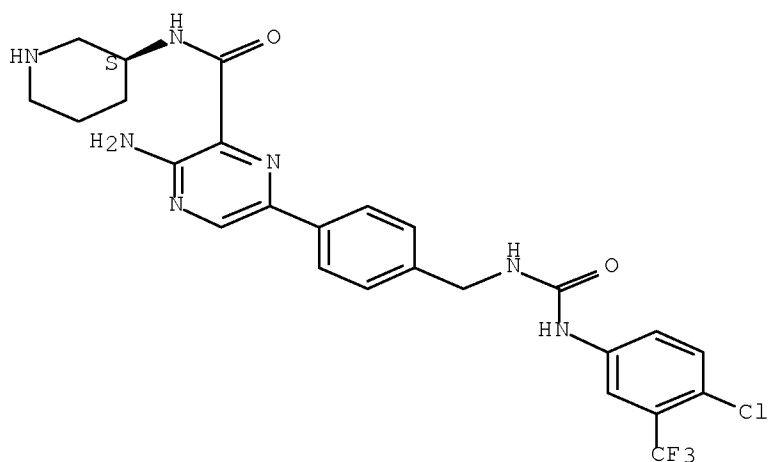
RN 847608-96-2 HCAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-[4-[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-N-(3S)-3-piperidinyl- (CA INDEX NAME)

Absolute stereochemistry.

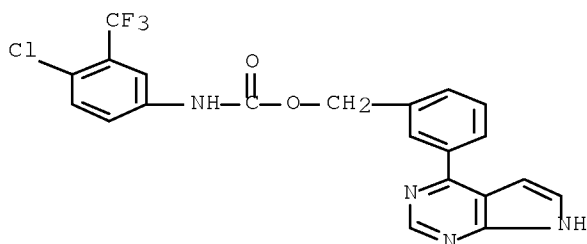


10/569,873



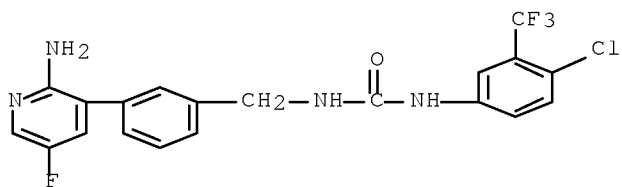
RN 847608-98-4 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,  
[3-(1H-pyrrolo[2,3-d]pyrimidin-4-yl)phenyl]methyl ester (9CI) (CA INDEX  
NAME)



RN 847609-00-1 HCAPLUS

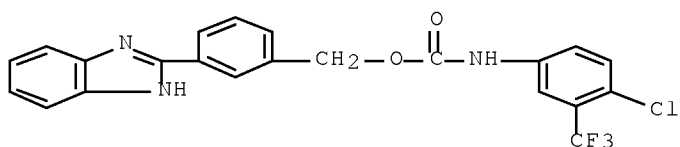
CN Urea, N-[[3-(2-amino-5-fluoro-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 847609-04-5 HCAPLUS

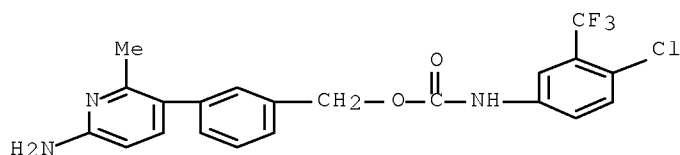
CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,  
[3-(1H-benzimidazol-2-yl)phenyl]methyl ester (9CI) (CA INDEX NAME)

10/569,873



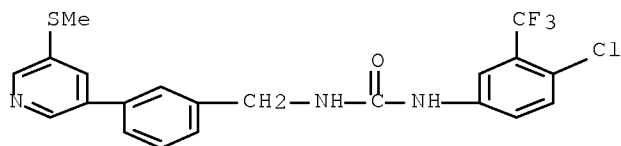
RN 847609-06-7 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [3-(6-amino-2-methyl-3-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



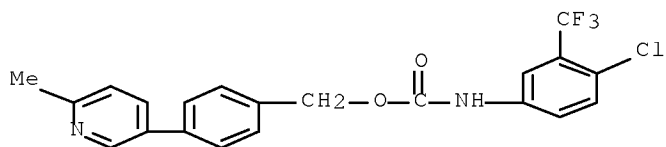
RN 847609-08-9 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-[5-(methylthio)-3-pyridinyl]phenyl]methyl]- (CA INDEX NAME)



RN 847609-10-3 HCAPLUS

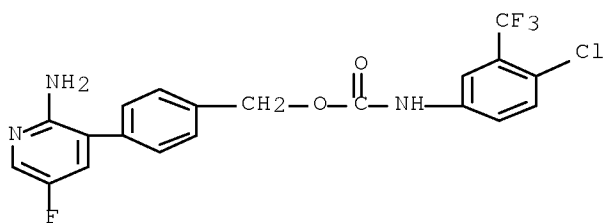
CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [4-(6-methyl-3-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



RN 847609-12-5 HCAPLUS

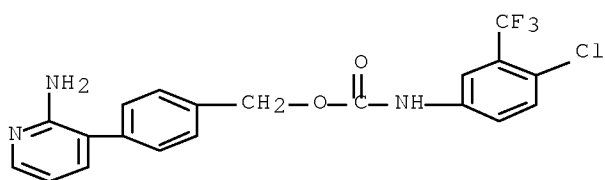
CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [4-(2-amino-5-fluoro-3-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)

10/569,873



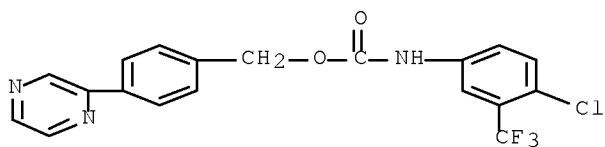
RN 847609-14-7 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,  
[4-(2-amino-3-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



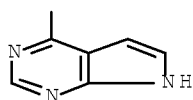
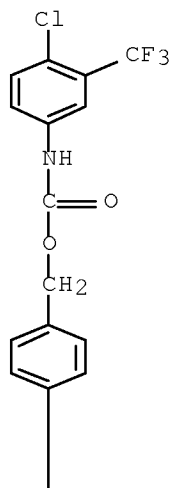
RN 847609-16-9 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,  
(4-pyrazinylphenyl)methyl ester (9CI) (CA INDEX NAME)

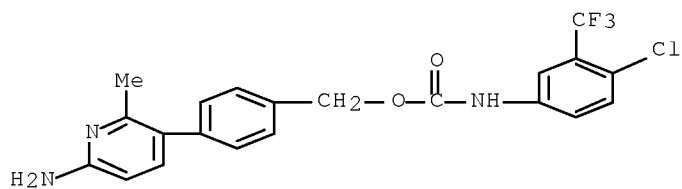


RN 847609-18-1 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,  
[4-(1H-pyrrolo[2,3-d]pyrimidin-4-yl)phenyl]methyl ester (9CI) (CA INDEX  
NAME)

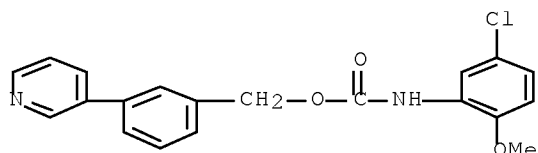


RN 847609-20-5 HCAPLUS  
 CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,  
 [4-(6-amino-2-methyl-3-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX  
 NAME)



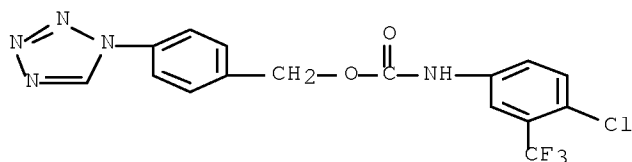
RN 847609-28-3 HCAPLUS  
 CN Carbamic acid, (5-chloro-2-methoxyphenyl)-, [3-(3-pyridinyl)phenyl]methyl  
 ester (9CI) (CA INDEX NAME)

10/569,873



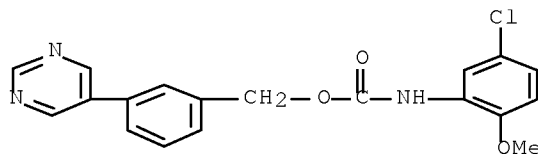
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CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,  
[4-(1H-tetrazol-1-yl)phenyl]methyl ester (9CI) (CA INDEX NAME)



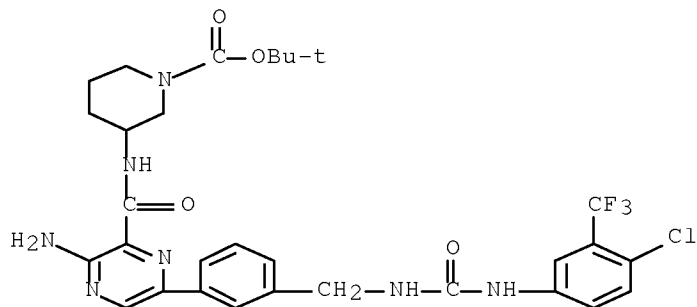
RN 847609-32-9 HCAPLUS

CN Carbamic acid, (5-chloro-2-methoxyphenyl)-,  
[3-(5-pyrimidinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



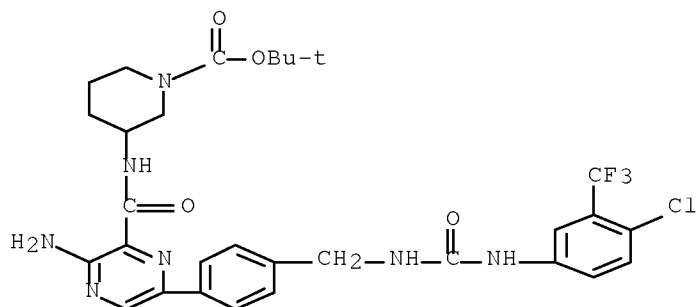
RN 847609-35-2 HCAPLUS

CN 1-Piperidinecarboxylic acid, 3-[[[3-amino-6-[3-[[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-2-pyrazinyl]carbonyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

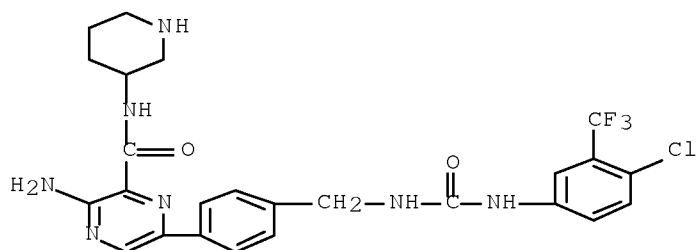


10/569,873

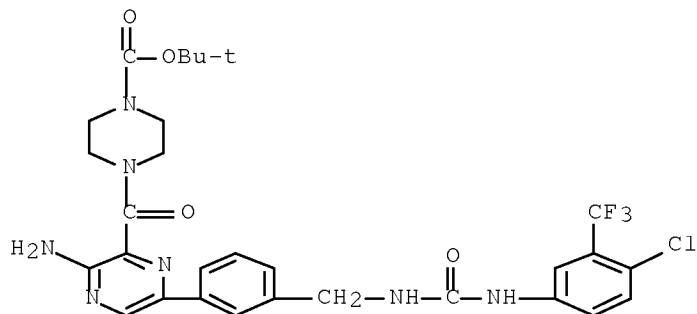
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 CN 1-Piperidinecarboxylic acid, 3-[[[3-amino-6-[4-[[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-2-pyrazinyl]carbonyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 847609-39-6 HCAPLUS  
 CN 2-Pyrazinecarboxamide, 3-amino-6-[4-[[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-N-3-piperidinyl- (CA INDEX NAME)



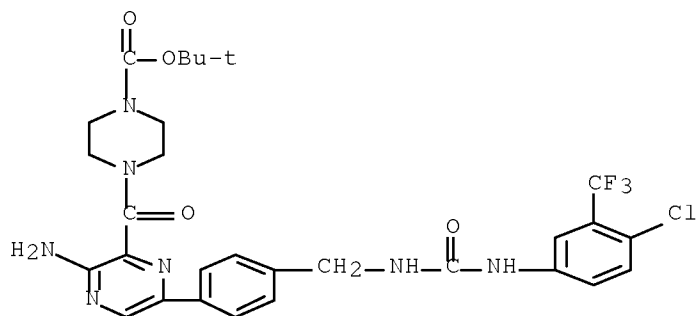
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 CN 1-Piperazinecarboxylic acid, 4-[[[3-amino-6-[3-[[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-2-pyrazinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



10/569,873

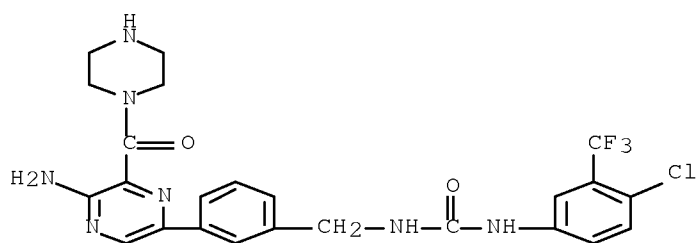
RN 847609-43-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[3-amino-6-[4-[[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]-2-pyrazinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



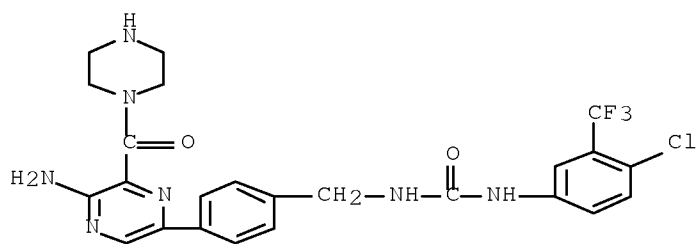
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CN Urea, N-[[3-[5-amino-6-(1-piperazinylcarbonyl)-2-pyrazinyl]phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



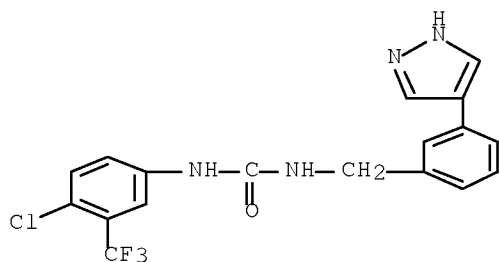
RN 847609-48-7 HCAPLUS

CN Urea, N-[[4-[5-amino-6-(1-piperazinylcarbonyl)-2-pyrazinyl]phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 847609-50-1 HCAPLUS

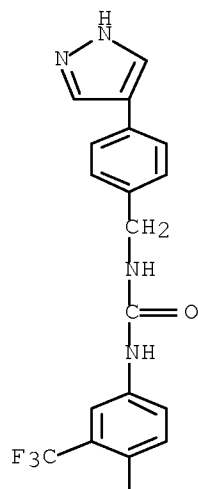
CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(1H-pyrazol-4-yl)phenyl]methyl]- (CA INDEX NAME)



RN 847609-52-3 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(1H-pyrazol-4-yl)phenyl]methyl]- (CA INDEX NAME)

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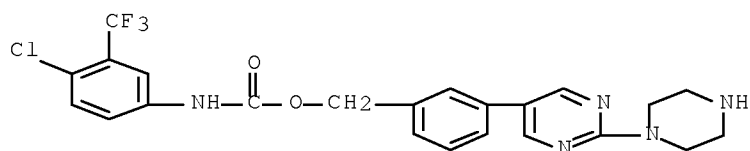
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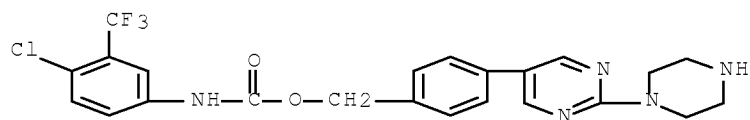
CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [3-[2-(1-piperazinyl)-5-pyrimidinyl]phenyl]methyl ester (9CI) (CA INDEX NAME)





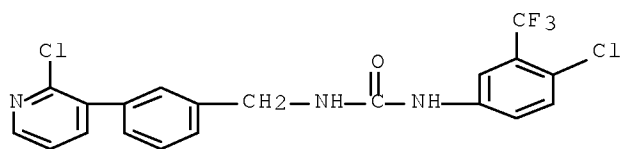
RN 847609-56-7 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,  
[4-[2-(1-piperazinyl)-5-pyrimidinyl]phenyl]methyl ester (9CI) (CA INDEX  
NAME)



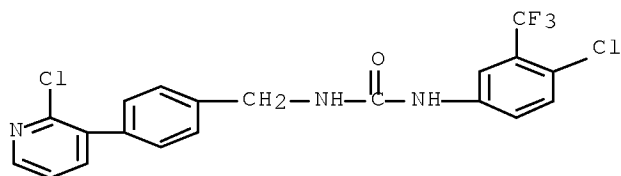
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CN Urea, N-[[3-(2-chloro-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



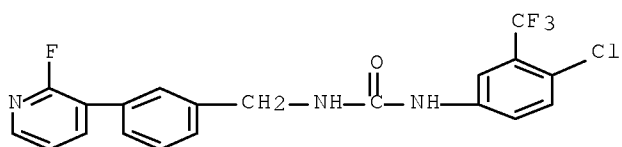
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CN Urea, N-[[4-(2-chloro-3-pyridinyl)phenyl]methyl]-N'-[4-chloro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



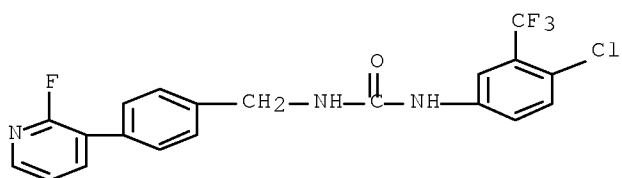
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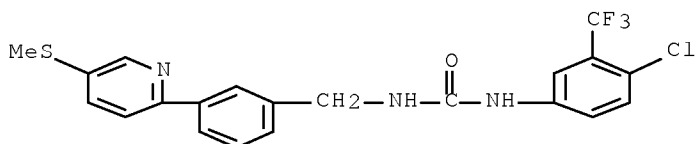
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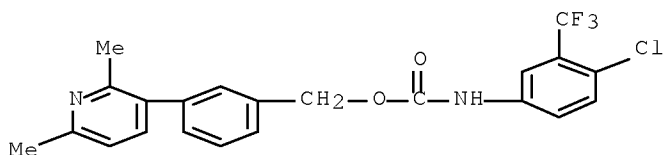
RN 847609-63-6 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-[5-(methylthio)-2-pyridinyl]phenyl]methyl]- (CA INDEX NAME)



RN 847609-65-8 HCAPLUS

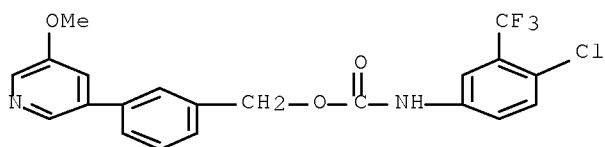
CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [3-(2,6-dimethyl-3-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



RN 847609-67-0 HCAPLUS

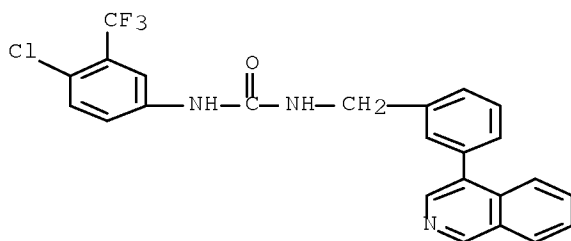
CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [3-(5-methoxy-3-pyridinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)

10/569,873



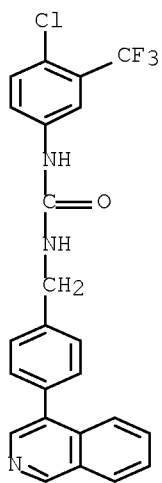
RN 847609-73-8 HCAPLUS

CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(4-isoquinolinyl)phenyl]methyl]- (CA INDEX NAME)



RN 847609-75-0 HCAPLUS

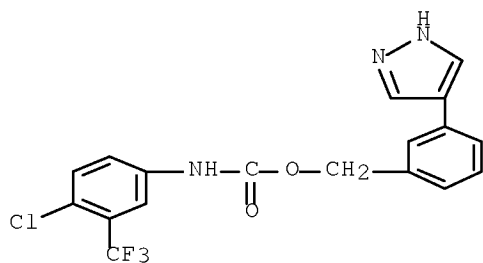
CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[4-(4-isoquinolinyl)phenyl]methyl]- (CA INDEX NAME)



RN 847609-79-4 HCAPLUS

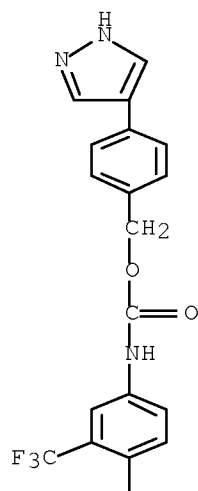
CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-, [3-(1H-pyrazol-4-yl)phenyl]methyl ester (9CI) (CA INDEX NAME)

10/569,873



RN 847609-81-8 HCAPLUS  
 CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,  
 [4-(1H-pyrazol-4-yl)phenyl]methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

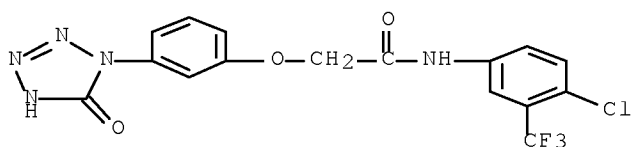


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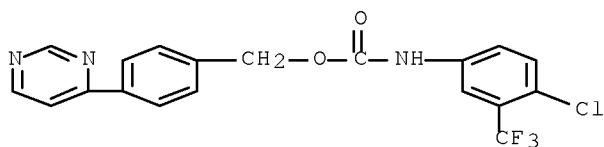
RN 847609-86-3 HCAPLUS  
 CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(2,5-dihydro-5-oxo-1H-tetrazol-1-yl)phenoxy]- (CA INDEX NAME)

10/569,873



RN 847609-93-2 HCAPLUS

CN Carbamic acid, [4-chloro-3-(trifluoromethyl)phenyl]-,  
[4-(4-pyrimidinyl)phenyl]methyl ester (9CI) (CA INDEX NAME)

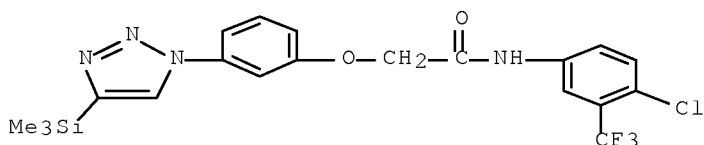


IT 847606-70-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of anilines and related compds. as C-kit modulators)

RN 847606-70-6 HCAPLUS

CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-[4-(trimethylsilyl)-  
1H-1,2,3-triazol-1-yl]phenoxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD  
(7 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L122 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:892800 HCAPLUS Full-text

DOCUMENT NUMBER: 139:395950

TITLE: Preparation of substituted pyrazines as protein kinase  
modulators

INVENTOR(S): Buhr, Chris A.; Baik, Tae-Gon; Ma, Sunghoon; Tesfai,  
Zerom; Wang, Longcheng; Co, Erick Wang;  
Epshteyn, Sergey; Kennedy, Abigail R.; Chen, Baili;  
Dubenko, Larisa; Anand, Neel Kumar; Tsang, Tsze H.;  
Nuss, John M.; Peto, Csaba J.; Rice, Kenneth  
D.; Ibrahim, Mohamed Abdulkader; Schnepf, Kevin Luke;  
Shi, Xian; Leahy, James William; Chen, Jeff;  
Dalrymple, Lisa Esther; Forsyth, Timothy Patrick;

10/569,873

Huynh, Tai Phat; Mann, Grace; Mann, Lary Wayne;  
Takeuchi, Craig Stacy  
PATENT ASSIGNEE(S): Exelixis, Inc., USA  
SOURCE: PCT Int. Appl., 468 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

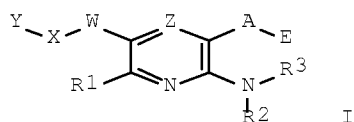
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WO 2003093297	A3	20040701		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2484209	A1	20031113	CA 2003-2484209	20030502
AU 2003234464	A1	20031117	AU 2003-234464	20030502
AU 2003234464	B2	20090604		
EP 1501514	A2	20050202	EP 2003-728690	20030502
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005530760	T	20051013	JP 2004-501436	20030502
US 20060211709	A1	20060921	US 2005-513081	20050727
PRIORITY APPLN. INFO.:			US 2002-377933P	P 20020503
			WO 2003-US13869	W 20030502

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:395950

ED Entered STN: 14 Nov 2003

GI



AB This invention relates to compds. I [R1 = H, halo, CN, etc.; R2, R3 = H, alkyl, aryl, etc.; R4 = H, alkyl, aryl, etc.; Z = N, CH; A = CO, CS, C(:NR6), R7 (when A = R7, E does not exist); R6 = H, NO2, CN, etc.; R7 = (un)substituted 5-7 membered heterocyclyl; E = NR8R9, NNR2R3, OR4, etc.; R8 = H, alkyl; R9 = H, heteroarylalkyl, etc.; NR8R9 = (un)substituted 5-7 membered heteroalicyclyl; W = 6-10 membered arylene, 5-10 membered heteroarylene; X = a bond, (un)substituted alkylene, O(CH2)2-30, etc.; Y = H, alkyl, aryl, etc.; with provisos] for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion, and to pharmaceutical compns. containing such compds. Even more specifically, the invention relates to compds. I that

inhibit, regulate and/or modulate kinases, particularly Checkpoint Kinases, even more particularly Checkpoint Kinase 1, or Chk1. Preparation of representative compds. I is described. Thus, amidation of 3-amino-6-phenylpyrazinecarboxylic acid (preparation given) with benzylamine afforded 67% 3-amino-6-phenyl-N-(phenylmethyl)pyrazine-2-carboxamide which showed IC<sub>50</sub> of 10,000 nM or greater against Chk1. Table presenting activity data with respect to Chk1 for over 1000 compds. I is given. Methods of therapeutically or prophylactically using the compds. I and compns. to treat kinase-dependent diseases and conditions are also an aspect of the invention, and include methods of treating cancer, as well as other disease states associated with unwanted angiogenesis and/or cellular proliferation, by administering effective amts. of such compds.

IC ICM C07K

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

IT 625466-66-2P 625466-67-3P 625466-68-4P 625466-69-5P 625466-70-8P  
 625466-71-9P 625466-72-0P 625466-73-1P 625466-74-2P 625466-75-3P  
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10/569,873

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of protein kinase modulators)

IT 625468-35-1P 625468-49-7P 625468-54-4P  
625468-61-3P 625468-62-4P 625468-71-5P  
625468-97-5P

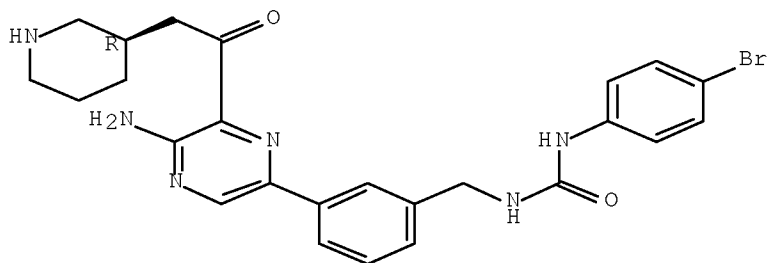
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of protein kinase modulators)

RN 625468-35-1 HCAPLUS

CN Urea, N-[[3-[5-amino-6-[2-(3R)-3-piperidinylacetyl]-2-pyrazinyl]phenyl]methyl]-N'-(4-bromophenyl)- (CA INDEX NAME)

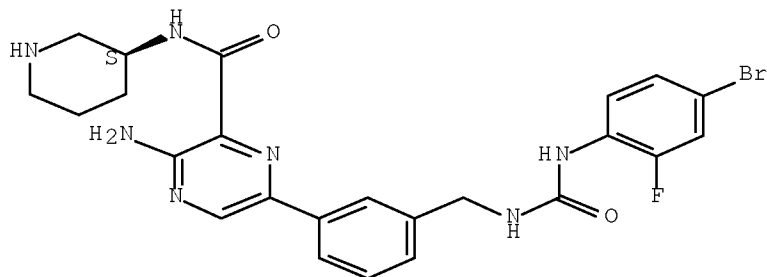
Absolute stereochemistry.



RN 625468-49-7 HCAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-[3-[[[(4-bromo-2-fluorophenyl)amino]carbonyl]amino]methyl]phenyl]-N-(3S)-3-piperidinyl- (CA INDEX NAME)

Absolute stereochemistry.



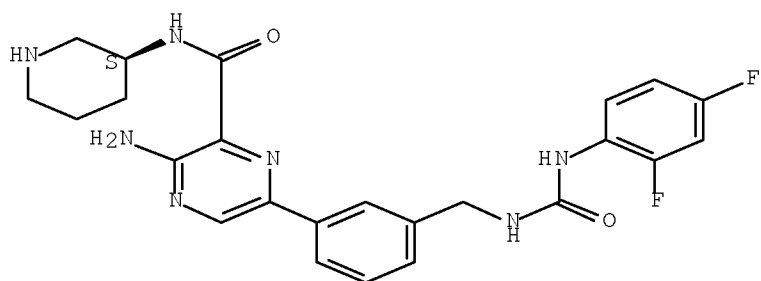
RN 625468-54-4 HCAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-[3-[[[(2,4-difluorophenyl)amino]carbonyl]amino]methyl]phenyl]-N-(3S)-3-piperidinyl- (CA INDEX NAME)



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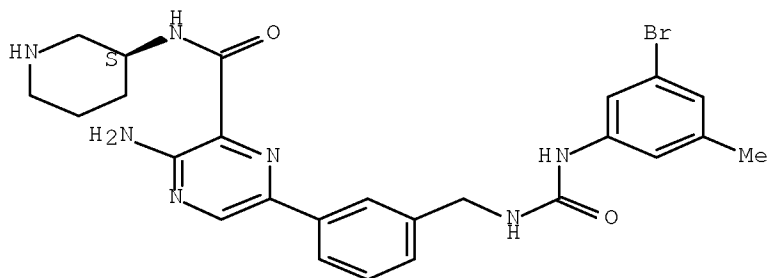
Absolute stereochemistry.



RN 625468-61-3 HCAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-[3-[[[(3-bromo-5-methylphenyl)amino]carbonyl]amino]methyl]phenyl]-N-(3S)-3-piperidinyl- (CA INDEX NAME)

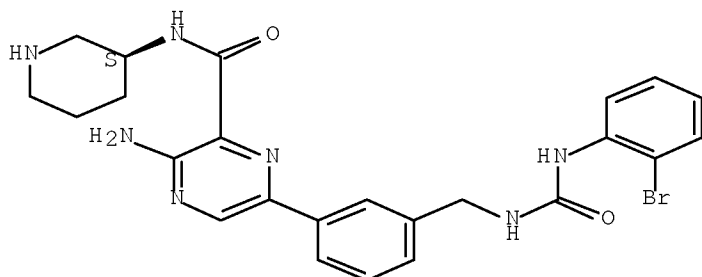
Absolute stereochemistry.



RN 625468-62-4 HCAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-[3-[[[(2-bromophenyl)amino]carbonyl]amino]methyl]phenyl]-N-(3S)-3-piperidinyl- (CA INDEX NAME)

Absolute stereochemistry.



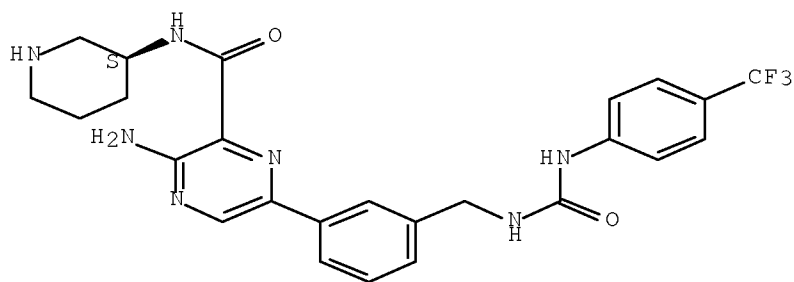
RN 625468-71-5 HCAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-(3S)-3-piperidinyl-6-[3-[[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]methyl]phenyl]- (CA INDEX NAME)

10/569,873

NAME)

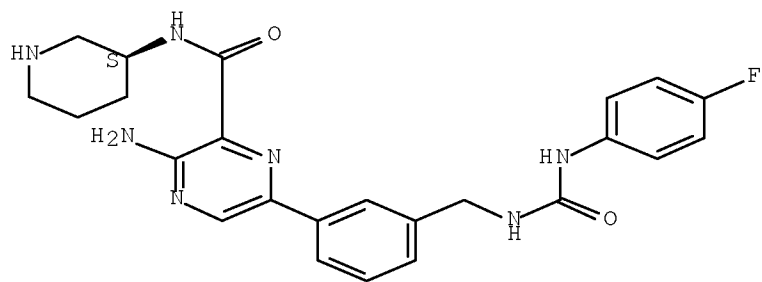
Absolute stereochemistry.



RN 625468-97-5 HCAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-6-[3-[[[(4-fluorophenyl)amino]carbonyl]amino]methyl]phenyl]-N-(3S)-3-piperidinyl-  
(CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS  
RECORD (15 CITINGS)

=> file stnguide

FILE 'STNGUIDE' ENTERED AT 10:44:58 ON 21 APR 2010

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FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Apr 16, 2010 (20100416/UP).

=> d his ful

(FILE 'HOME' ENTERED AT 09:27:32 ON 21 APR 2010)

FILE 'STNGUIDE' ENTERED AT 09:27:35 ON 21 APR 2010  
D SAVED

FILE 'ZCAPLUS' ENTERED AT 09:28:22 ON 21 APR 2010  
E US2007-569873/APPS

FILE 'HCAPLUS' ENTERED AT 09:28:40 ON 21 APR 2010  
L1 1 SEA SPE=ON ABB=ON PLU=ON US2007-569873/APPS

FILE 'WPIX' ENTERED AT 09:28:57 ON 21 APR 2010  
L2 1 SEA SPE=ON ABB=ON PLU=ON US2007-569873/APPS

FILE 'REGISTRY' ENTERED AT 09:29:18 ON 21 APR 2010

FILE 'HCAPLUS' ENTERED AT 09:29:22 ON 21 APR 2010  
L3 TRA PLU=ON L1 1- RN : 322 TERMS

FILE 'REGISTRY' ENTERED AT 09:29:22 ON 21 APR 2010  
L4 322 SEA SPE=ON ABB=ON PLU=ON L3  
ACT BIA873RSET1/A

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L5 STR  
L6 ( 402314)SEA SSS FUL L5  
L7 STR  
L8 STR  
L9 33651 SEA SUB=L6 SSS FUL (L7 OR L8)

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D QUE  
ACT BIA873RSET2/A

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L10 STR  
L11 ( 402314)SEA SSS FUL L10  
L12 STR  
L13 STR  
L14 ( 33651)SEA SUB=L11 SSS FUL (L12 OR L13)  
L15 STR  
L16 STR  
L17 7261 SEA SUB=L14 SSS FUL (L15 OR L16)

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D QUE  
D QUE L9

FILE 'LREGISTRY' ENTERED AT 09:30:46 ON 21 APR 2010  
L18 STR L7  
L19 STR L18

FILE 'REGISTRY' ENTERED AT 09:34:37 ON 21 APR 2010  
L20 50 SEA SUB=L9 SSS SAM (L18 OR L19)

FILE 'STNGUIDE' ENTERED AT 09:35:21 ON 21 APR 2010  
D QUE STAT

FILE 'REGISTRY' ENTERED AT 09:39:51 ON 21 APR 2010  
L21 9722 SEA SUB=L9 SSS FUL (L18 OR L19)  
SAVE TEMP L21 BIA873RSET1B/A

10/569,873

ACT BIA873CROSS/A

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L22          STR
L23 ( 402314)SEA SSS FUL L22
L24          STR
L25          STR
L26 ( 33651)SEA SUB=L23 SSS FUL (L24 OR L25)
L27          QUE SPE=ON ABB=ON PLU=ON 1-2 5/SZS
L28 ( 21400)SEA SPE=ON ABB=ON PLU=ON L26 AND L27
L29          QUE SPE=ON ABB=ON PLU=ON 2 6/SZS
L30 ( 3263)SEA SPE=ON ABB=ON PLU=ON L26 AND L29
L31 ( 113)SEA SPE=ON ABB=ON PLU=ON L26 AND NCNCNC/ESS
L32          STR
L33          STR
L34 ( 7261)SEA SUB=L26 SSS FUL (L32 OR L33)
L35 29198 SEA SPE=ON ABB=ON PLU=ON L28 OR L30 OR L31 OR L34
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L36 8396 SEA SPE=ON ABB=ON PLU=ON L21 AND L35
      SAVE TEMP L36 BIS873CROSSB/A
L37 88 SEA SPE=ON ABB=ON PLU=ON L4 AND L36
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FILE 'STNGUIDE' ENTERED AT 09:42:47 ON 21 APR 2010  
D SAVED

FILE 'HCAPLUS' ENTERED AT 09:43:18 ON 21 APR 2010  
ACT BIA873INV/A

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L38 ( 1)SEA SPE=ON ABB=ON PLU=ON US2007-569873/APPS
L39          STR
L40 ( 402314)SEA SSS FUL L39
L41          STR
L42          STR
L43 ( 33651)SEA SUB=L40 SSS FUL (L41 OR L42)
L44          QUE SPE=ON ABB=ON PLU=ON 1-2 5/SZS
L45 ( 21400)SEA SPE=ON ABB=ON PLU=ON L43 AND L44
L46          QUE SPE=ON ABB=ON PLU=ON 2 6/SZS
L47 ( 3263)SEA SPE=ON ABB=ON PLU=ON L43 AND L46
L48 ( 113)SEA SPE=ON ABB=ON PLU=ON L43 AND NCNCNC/ESS
L49          STR
L50          STR
L51 ( 7261)SEA SUB=L43 SSS FUL (L49 OR L50)
L52 ( 29198)SEA SPE=ON ABB=ON PLU=ON L45 OR L47 OR L48 OR L51
L53          QUE SPE=ON ABB=ON PLU=ON CHENG, W?/AU,AUTH
L54          QUE SPE=ON ABB=ON PLU=ON CO, E?/AU,AUTH
L55          QUE SPE=ON ABB=ON PLU=ON WANG-CO, E?/AU,AUTH
L56          QUE SPE=ON ABB=ON PLU=ON WANG CO, E?/AU,AUTH
L57          QUE SPE=ON ABB=ON PLU=ON WANGCO, E?/AU,AUTH
L58          QUE SPE=ON ABB=ON PLU=ON KIM, M?/AU,AUTH
L59          QUE SPE=ON ABB=ON PLU=ON KLEIN, R?/AU,AUTH
L60          QUE SPE=ON ABB=ON PLU=ON LE, D?/AU,AUTH
L61          QUE SPE=ON ABB=ON PLU=ON TSUHAKE, A?/AU,AUTH
L62          QUE SPE=ON ABB=ON PLU=ON LEW, A?/AU,AUTH
L63          QUE SPE=ON ABB=ON PLU=ON LEW-TSUHAKE, A?/AU,AUTH
L64          QUE SPE=ON ABB=ON PLU=ON LEWTSUHAKE, A?/AU,AUTH
L65          QUE SPE=ON ABB=ON PLU=ON NUSS, J?/AU,AUTH
L66          QUE SPE=ON ABB=ON PLU=ON XU, W?/AU,AUTH
L67          QUE SPE=ON ABB=ON PLU=ON BAJJALIEH, W?/AU,AUTH
L68          QUE SPE=ON ABB=ON PLU=ON BAJJALIEH, B?/AU,AUTH
L69 ( 2007)SEA SPE=ON ABB=ON PLU=ON L52
L70 ( 3)SEA SPE=ON ABB=ON PLU=ON L69 AND (L53 OR L54 OR L55 OR L56
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10/569,873

OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63 OR L64 OR L65  
OR L66 OR L67 OR L68)

L71 ( 1)SEA SPE=ON ABB=ON PLU=ON L38 AND L70  
L72 ( 0)SEA SPE=ON ABB=ON PLU=ON L38 NOT L70  
L73 ( 3)SEA SPE=ON ABB=ON PLU=ON (L70 OR L71 OR L72)  
L74 ( 1)SEA SPE=ON ABB=ON PLU=ON L52 AND (MEDLINE OR BIOSIS OR  
EMBASE)/LC  
L75 ( 0)SEA SPE=ON ABB=ON PLU=ON L74  
L76 ( 12)SEA SPE=ON ABB=ON PLU=ON L74  
L77 ( 0)SEA SPE=ON ABB=ON PLU=ON L74  
L78 ( 12)SEA SPE=ON ABB=ON PLU=ON L74  
L79 ( 0)SEA SPE=ON ABB=ON PLU=ON L75 AND (L53 OR L54 OR L55 OR L56  
OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63 OR L64 OR L65  
OR L66 OR L67 OR L68)  
L80 ( 0)SEA SPE=ON ABB=ON PLU=ON L76 AND (L53 OR L54 OR L55 OR L56  
OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63 OR L64 OR L65  
OR L66 OR L67 OR L68)  
L81 ( 0)SEA SPE=ON ABB=ON PLU=ON L77 AND (L53 OR L54 OR L55 OR L56  
OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63 OR L64 OR L65  
OR L66 OR L67 OR L68)  
L82 ( 0)SEA SPE=ON ABB=ON PLU=ON L78 AND (L53 OR L54 OR L55 OR L56  
OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63 OR L64 OR L65  
OR L66 OR L67 OR L68)  
L83 3 DUP REM L73 L82 (0 DUPLICATES REMOVED)  
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FILE 'STNGUIDE' ENTERED AT 09:43:30 ON 21 APR 2010

FILE 'ZCAPLUS' ENTERED AT 09:44:13 ON 21 APR 2010

L84 QUE SPE=ON ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004 OR  
MY<2004 OR REVIEW/DT

FILE 'STNGUIDE' ENTERED AT 09:44:55 ON 21 APR 2010

FILE 'STNGUIDE' ENTERED AT 10:01:14 ON 21 APR 2010

FILE 'HCAPLUS' ENTERED AT 10:01:38 ON 21 APR 2010

L85 359 SEA SPE=ON ABB=ON PLU=ON L36  
L86 1 SEA SPE=ON ABB=ON PLU=ON L85 AND (L53 OR L54 OR L55 OR L56  
OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63 OR L64 OR L65  
OR L66 OR L67 OR L68)  
L87 1 SEA SPE=ON ABB=ON PLU=ON L1 AND L86  
D BIB  
L88 0 SEA SPE=ON ABB=ON PLU=ON L1 NOT L86  
L89 1 SEA SPE=ON ABB=ON PLU=ON (L86 OR L87 OR L88)  
L90 358 SEA SPE=ON ABB=ON PLU=ON L85 NOT L89  
L91 229 SEA SPE=ON ABB=ON PLU=ON L90 AND L84

FILE 'STNGUIDE' ENTERED AT 10:03:12 ON 21 APR 2010

FILE 'ZCAPLUS' ENTERED AT 10:03:50 ON 21 APR 2010

L92 QUE SPE=ON ABB=ON PLU=ON C(1W)KIT  
L93 QUE SPE=ON ABB=ON PLU=ON STEM(1W)CELL

FILE 'HCAPLUS' ENTERED AT 10:04:28 ON 21 APR 2010

L94 0 SEA SPE=ON ABB=ON PLU=ON L91 AND (L92 OR L93)  
L95 197 SEA SPE=ON ABB=ON PLU=ON L85 (L) (THU OR PKT OR PAC OR  
DMA)/RL  
L96 88 SEA SPE=ON ABB=ON PLU=ON L91 AND L95  
L97 88 SEA SPE=ON ABB=ON PLU=ON L94 OR L96

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FILE 'STNGUIDE' ENTERED AT 10:06:22 ON 21 APR 2010

FILE 'ZCAPLUS' ENTERED AT 10:06:28 ON 21 APR 2010

E C-KIT PROTEIN/CT

E C-KIT /CT

L98 QUE SPE=ON ABB=ON PLU=ON "C-KIT (PROTEIN)"+PFT,OLD,NEW,NT/CT

FILE 'HCAPLUS' ENTERED AT 10:07:22 ON 21 APR 2010

L99 0 SEA SPE=ON ABB=ON PLU=ON L91 AND L98

L100 88 SEA SPE=ON ABB=ON PLU=ON L97 OR L99

L101 2 SEA SPE=ON ABB=ON PLU=ON L37

L102 1 SEA SPE=ON ABB=ON PLU=ON L101 AND (L53 OR L54 OR L55 OR L56  
OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63 OR L64 OR L65  
OR L66 OR L67 OR L68)

L103 1 SEA SPE=ON ABB=ON PLU=ON L89 OR L102

L104 90 SEA SPE=ON ABB=ON PLU=ON (L100 OR L101)

L105 89 SEA SPE=ON ABB=ON PLU=ON L104 NOT L103

L106 88 SEA SPE=ON ABB=ON PLU=ON L105 AND L84

FILE 'STNGUIDE' ENTERED AT 10:09:21 ON 21 APR 2010

FILE 'REGISTRY' ENTERED AT 10:09:53 ON 21 APR 2010

FILE 'HCAPLUS' ENTERED AT 10:10:01 ON 21 APR 2010

L107 TRA PLU=ON L106 1- RN HIT : 471 TERMS

FILE 'REGISTRY' ENTERED AT 10:10:16 ON 21 APR 2010

L108 471 SEA SPE=ON ABB=ON PLU=ON L107

L109 459 SEA SPE=ON ABB=ON PLU=ON L108 NOT ETHANEDIAMIDE/CNS

FILE 'HCAPLUS' ENTERED AT 10:12:09 ON 21 APR 2010

L110 115 SEA SPE=ON ABB=ON PLU=ON L109

L111 98 SEA SPE=ON ABB=ON PLU=ON L91 AND L110

L112 86 SEA SPE=ON ABB=ON PLU=ON L106 AND L111

L113 0 SEA SPE=ON ABB=ON PLU=ON L112 AND (L53 OR L54 OR L55 OR L56  
OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63 OR L64 OR L65  
OR L66 OR L67 OR L68)

L114 86 SEA SPE=ON ABB=ON PLU=ON L112 NOT L113

L115 86 SEA SPE=ON ABB=ON PLU=ON L114 AND L84

SAVE TEMP L103 BIA873INVB/A

SAVE TEMP L115 BIA873MAINB2/A

FILE 'STNGUIDE' ENTERED AT 10:14:08 ON 21 APR 2010

D SAVED

D QUE STAT L9

D QUE STAT L21

D QUE STAT L36

D QUE NOS L115

FILE 'HCAPLUS' ENTERED AT 10:17:06 ON 21 APR 2010

D IBIB ED ABS HITIND HITSTR 1-30

FILE 'STNGUIDE' ENTERED AT 10:17:43 ON 21 APR 2010

FILE 'HCAPLUS' ENTERED AT 10:22:04 ON 21 APR 2010

D IBIB ED ABS HITIND HITSTR 31-60

FILE 'STNGUIDE' ENTERED AT 10:22:43 ON 21 APR 2010

10/569,873

FILE 'LREGISTRY' ENTERED AT 10:24:36 ON 21 APR 2010

FILE 'REGISTRY' ENTERED AT 10:26:34 ON 21 APR 2010

L116 50 SEA SUB=L21 SSS SAM L18  
L117 3003 SEA SUB=L21 SSS FUL L18  
SAVE TEMP L117 BIA873RSET2B/A

FILE 'HCAPLUS' ENTERED AT 10:28:30 ON 21 APR 2010

L118 183 SEA SPE=ON ABB=ON PLU=ON L117  
L119 2 SEA SPE=ON ABB=ON PLU=ON L118 AND (L53 OR L54 OR L55 OR L56  
OR L57 OR L58 OR L59 OR L60 OR L61 OR L62 OR L63 OR L64 OR L65  
OR L66 OR L67 OR L68)  
L120 1 SEA SPE=ON ABB=ON PLU=ON L1 AND L119  
D BIB  
L121 0 SEA SPE=ON ABB=ON PLU=ON L1 NOT L119  
L122 2 SEA SPE=ON ABB=ON PLU=ON (L119 OR L120 OR L121)  
L123 181 SEA SPE=ON ABB=ON PLU=ON L118 NOT L122  
L124 117 SEA SPE=ON ABB=ON PLU=ON L123 AND L84  
L125 36 SEA SPE=ON ABB=ON PLU=ON L115 AND L124

FILE 'STNGUIDE' ENTERED AT 10:30:40 ON 21 APR 2010

FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 10:30:44 ON 21 APR 2010

FILE 'REGISTRY' ENTERED AT 10:30:58 ON 21 APR 2010

L126 0 SEA SPE=ON ABB=ON PLU=ON L117 AND (MEDLINE OR EMBASE OR  
BIOSIS)/LC

FILE 'HCAPLUS' ENTERED AT 10:31:19 ON 21 APR 2010

SAVE TEMP L122 BIA873INVB/A  
SAVE TEMP L125 BIA873MAINB2/A

FILE 'STNGUIDE' ENTERED AT 10:31:53 ON 21 APR 2010

D SAVED  
D QUE STAT L9  
D QUE STAT L21  
D QUE STAT L36  
D QUE STAT L117  
D QUE NOS L125

FILE 'HCAPLUS' ENTERED AT 10:34:11 ON 21 APR 2010

D IBIB ED ABS HITIND HITSTR L125 1-30

FILE 'STNGUIDE' ENTERED AT 10:34:52 ON 21 APR 2010

FILE 'HCAPLUS' ENTERED AT 10:41:42 ON 21 APR 2010

D IBIB ED ABS HITIND HITSTR L125 31-36

FILE 'STNGUIDE' ENTERED AT 10:41:49 ON 21 APR 2010

D QUE NOS L126  
D QUE NOS L122

FILE 'HCAPLUS' ENTERED AT 10:44:40 ON 21 APR 2010

D IBIB ED ABS HITIND HITSTR L122 1-2

FILE 'STNGUIDE' ENTERED AT 10:44:48 ON 21 APR 2010

FILE 'STNGUIDE' ENTERED AT 10:44:58 ON 21 APR 2010

FILE HOME

FILE STNGUIDE

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Apr 16, 2010 (20100416/UP).

FILE ZCAPLUS

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FILE COVERS 1907 - 21 Apr 2010 VOL 152 ISS 17

FILE LAST UPDATED: 20 Apr 2010 (20100420/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2010

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2010

ZCAplus now includes complete International Patent Classification (IPC) reclassification data for the first quarter of 2010.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE HCAPLUS

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FILE COVERS 1907 - 21 Apr 2010 VOL 152 ISS 17

FILE LAST UPDATED: 20 Apr 2010 (20100420/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2010

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2010

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the first quarter of 2010.

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This file contains CAS Registry Numbers for easy and accurate substance identification.



## FILE WPIX

FILE LAST UPDATED: 14 APR 2010 <20100414/UP>  
MOST RECENT UPDATE: 201024 <201024/DW>  
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE  
>>> Now containing more than 1.5 million chemical structures in DCR <<<

>>> IPC, ECLA, US National Classifications and Japanese F-Terms  
and FI-Terms have been updated with reclassifications to  
end of December 2009.  
No update date (UP) has been created for the reclassified  
documents, but they can be identified by  
specific update codes (see HELP CLA for details) <<<

>>> FOR THE LATEST DERWENT WORLD PATENTS INDEX (DWPI)  
STN USER DOCUMENTATION, PLEASE VISIT:  
[http://www.stn-international.com/stn\\_dwpi.html](http://www.stn-international.com/stn_dwpi.html) <<<

>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<

>>> For changes in DWPI see HELP CHANGE - last updated April 6, 2010 <<<

>>> New display format ALLSTR available - see NEWS <<<

>>> US National Patent Classification thesaurus added - see NEWS <<<

## FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 20 APR 2010 HIGHEST RN 1219791-89-5  
DICTIONARY FILE UPDATES: 20 APR 2010 HIGHEST RN 1219791-89-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

## FILE LREGISTRY

LREGISTRY IS A STATIC LEARNING FILE

CAS INFORMATION USE POLICIES, ENTER HELP USAGETERMS FOR DETAILS.

## FILE MEDLINE

FILE LAST UPDATED: 20 Apr 2010 (20100420/UP). FILE COVERS 1947 TO DATE.

MEDLINE and LMEDLINE have been updated with the 2010 Medical Subject  
Headings (MeSH) vocabulary and tree numbers from the U.S. National Library  
of Medicine (NLM). Additional information is available at

[http://www.nlm.nih.gov/pubs/techbull/nd09/nd09\\_medline\\_data\\_changes\\_2010](http://www.nlm.nih.gov/pubs/techbull/nd09/nd09_medline_data_changes_2010).

10/569,873

The Medline file has been reloaded effective January 24, 2010. See HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

See HELP RANGE before carrying out any RANGE search.

FILE BIOSIS

FILE COVERS 1926 TO DATE.

CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT  
FROM JANUARY 1926 TO DATE.

RECORDS LAST ADDED: 15 April 2010 (20100415/ED)

BIOSIS has been augmented with 1.8 million archival records from 1926 through 1968. These records have been re-indexed to match current BIOSIS indexing.

FILE EMBASE

FILE COVERAGE: EMBASE-originated material 1974 to 20 Apr 2010 (20100420/E)  
Unique MEDLINE content 1948 to present

EMBASE is now updated daily. SDI frequency remains weekly (default) and biweekly.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=>